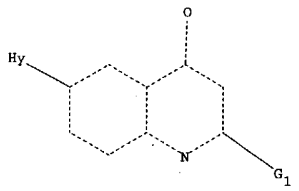
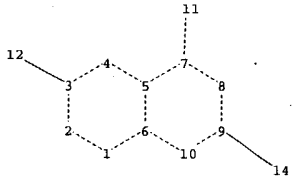
C:\Program Files\Stnexp\Queries\09840504.str

Search afth amendment





chain nodes :
11 12 14
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
3-12 7-11 9-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 2-3 3-4 3-12 4-5 5-6 5-7 6-10 7-8 7-11 8-9 9-10 9-14

G1:C,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS
12:Atom 14:CLASS
Generic attributes :
12:
Saturation : Unsaturated
Type of Ring System : Monocyclic

```
Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:ssspta1611hxl

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  JAN 27   Source of Registration (SR) information in REGISTRY updated
                   and searchable
 NEWS  4  JAN 27   A new search aid, the Company Name Thesaurus, available in
                   CA/CAplus
 NEWS  5  FEB 05   German (DE) application and patent publication number format
                   changes
 NEWS  6  MAR 03   MEDLINE and LMEDLINE reloaded
 NEWS  7  MAR 03   MEDLINE file segment of TOXCENTER reloaded
 NEWS  8  MAR 03   FRANCEPAT now available on STN
 NEWS  9  MAR 29   Pharmaceutical Substances (PS) now available on STN
 NEWS 10  MAR 29   WPIFV now available on STN
 NEWS 11  MAR 29   No connect hour charges in WPIFV until May 1, 2004
 NEWS 12  MAR 29   New monthly current-awareness alert (SDI) frequency in RAPRA
 NEWS 13  APR 26   PROMT: New display field available
 NEWS 14  APR 26   IFIPAT/IFIUDB/IFICDB: New super search and display field
                   available
 NEWS 15  APR 26   LITALERT now available on STN
 NEWS 16  APR 27   NLDB: New search and display fields available

 NEWS EXPRESS  MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

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  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:10:56 ON 05 MAY 2004

=> fil reg
```

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 09:11:15 ON 05 MAY 2004
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STRUCTURE FILE UPDATES: 3 MAY 2004 HIGHEST RN 679390-57-9
DICTIONARY FILE UPDATES: 3 MAY 2004 HIGHEST RN 679390-57-9

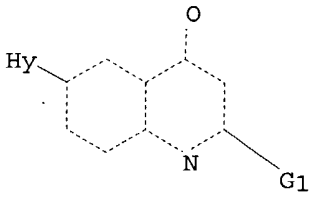
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

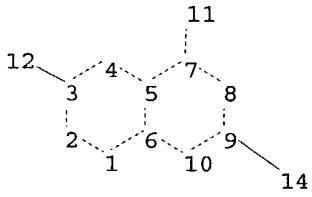
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\09840504.str





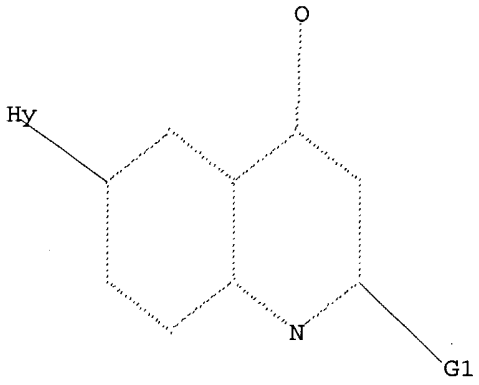
chain nodes :
11 12 14
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
3-12 7-11 9-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 2-3 3-4 3-12 4-5 5-6 5-7 6-10 7-8 7-11 8-9 9-10 9-14

G1:C,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 14:CLASS
Generic attributes :
12:
Saturation : Unsaturated
Type of Ring System : Monocyclic

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,Cy

Structure attributes must be viewed using STN Express query preparation.

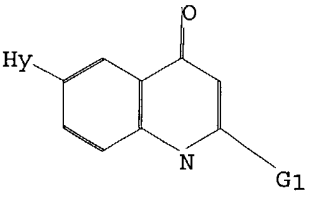
=> s l1
SAMPLE SEARCH INITIATED 09:11:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 24292 TO ITERATE

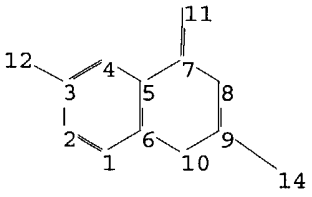
4.1% PROCESSED 1000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 476524 TO 495156
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=>
Uploading C:\Program Files\Stnexp\Queries\09840503.str





chain nodes :
11 12 14
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
3-12 7-11 9-14

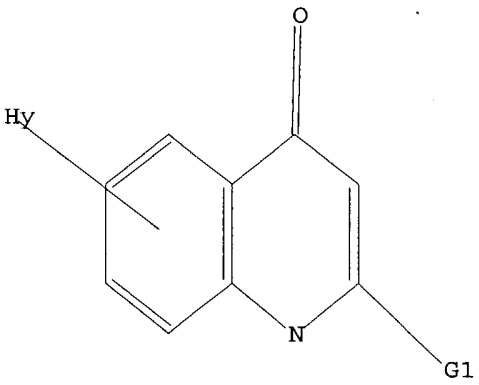
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
3-12 5-7 6-10 7-8 7-11 8-9 9-10 9-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:C,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 14:CLASS
Generic attributes :
12:
Saturation : Unsaturated
Type of Ring System : Monocyclic

L3 STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3 STR



G1 C,Cy

Structure attributes must be viewed using STN Express query preparation.

=> s l3
SAMPLE SEARCH INITIATED 09:13:05 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 24292 TO ITERATE

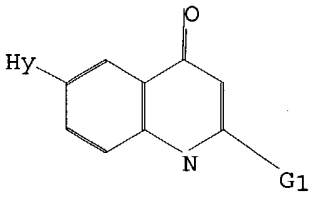
4.1% PROCESSED 1000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

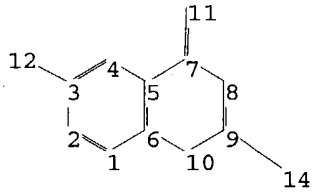
FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 476524 TO 495156

PROJECTED ANSWERS: 190 TO 780

L4 1 SEA SSS SAM L3

=>
Uploading C:\Program Files\Stnexp\Queries\09840503.str





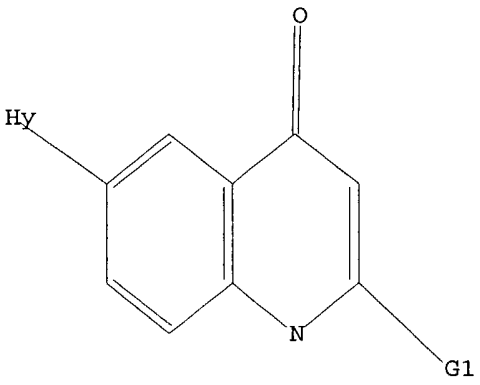
chain nodes :
11 12 14
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
3-12 7-11 9-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
3-12 5-7 6-10 7-8 7-11 8-9 9-10 9-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:C,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 14:CLASS
Generic attributes :
12:
Saturation : Unsaturated
Type of Ring System : Monocyclic

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR



G1 C,Cy

Structure attributes must be viewed using STN Express query preparation.

```
=> s l5
SAMPLE SEARCH INITIATED 09:13:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  24292 TO ITERATE

  4.1% PROCESSED    1000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       476524 TO   495156
PROJECTED ANSWERS:               0 TO        0

L6             0 SEA SSS SAM L5

=>
=> s c6-nC5/es
L7             0 C6-NC5/ES

=> s C6-NC5/es
L8             0 C6-NC5/ES

=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    13.06      13.27

FILE 'REGISTRY' ENTERED AT 09:17:10 ON 05 MAY 2004
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provided by InfoChem.

STRUCTURE FILE UPDATES:     3 MAY 2004  HIGHEST RN 679390-57-9
DICTIONARY FILE UPDATES:    3 MAY 2004  HIGHEST RN 679390-57-9
```

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

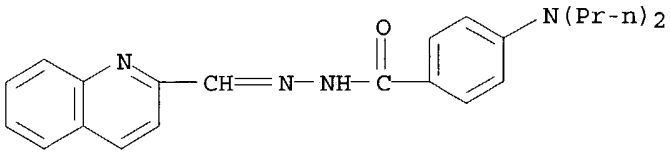
=> s sc4-NCNC3/es
L9 31412 SC4-NCNC3/ES

=> s C6-NC5/es
L10 0 C6-NC5/ES

=> s NC5-C6/es
L11 588847 NC5-C6/ES

=> d scan

L11 588847 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Benzoic acid, 4-(dipropylamino)-, (2-quinolinylmethylene)hydrazide (9CI)
MF C23 H26 N4 O

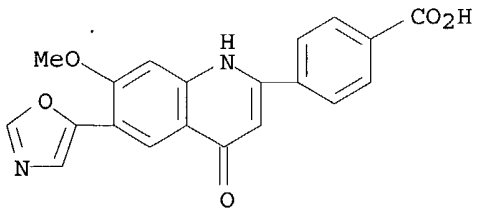


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

```
=> s l1 sub=l11
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):sample
SAMPLE SUBSET SEARCH INITIATED 09:18:59 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -   6227 TO ITERATE

 16.1% PROCESSED    1000 ITERATIONS                              1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):          ONLINE  **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):      119810 TO   129270
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):              1 TO      273

L12           1 SEA SUB=L11 SSS SAM L1

=> d scan
```

L12 1 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Benzoic acid, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-
(9CI)
MF C20 H14 N2 O5



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

```
=> s l1 sub=l11 ful
FULL SUBSET SEARCH INITIATED 09:19:20 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 120802 TO ITERATE

100.0% PROCESSED  120802 ITERATIONS                      220 ANSWERS
SEARCH TIME: 00.00.01

L13          220 SEA SUB=L11 SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               169.97     183.24

FILE 'CAPLUS' ENTERED AT 09:19:25 ON 05 MAY 2004
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```
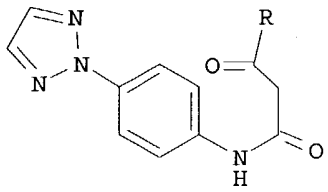
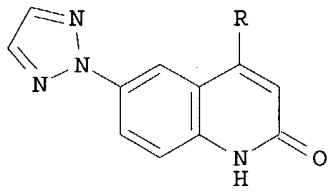
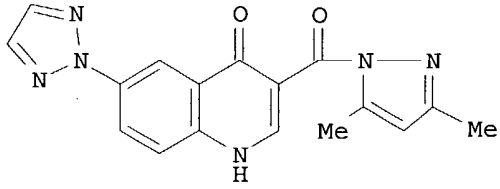


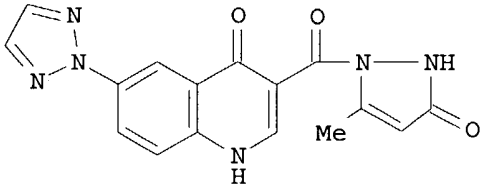

```
FILE COVERS 1907 - 5 May 2004  VOL 140 ISS 19
FILE LAST UPDATED: 4 May 2004  (20040504/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l13
L14          16 L13

=> d abs ibib hitstr 1-
YOU HAVE REQUESTED DATA FROM 16 ANSWERS - CONTINUE? Y/(N):y
```

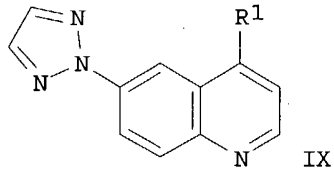
L14 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
GI


II


V


VII

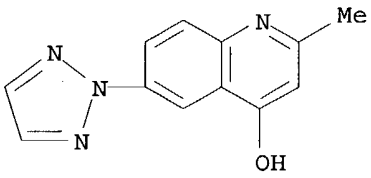

VIII


IX

AB 2,4-Di-Me and 2-methyl-4-hydroxy-6-(2H-1,2,3-triazol-2-yl) quinolines were synthesized from 2-(4-aminophenyl)-2H-1,2,3-triazole (I) with acetyl acetone / Et acetoacetate resp. I with Et acetoacetate/diketone gave an intermediate [II; R = Me (III)] whereas with di-Et malonate gave II [R = OEt (IV)]. III and IV on cyclodehydration yielded the corresponding V (R = Me, OEt). 2,4-Dichloro-6-(2H-1,2,3-triazol-2-yl) quinoline was obtained directly in one pot synthesis of I with malonic acid. I with di-Et ethoxy methylene malonate gave 6-substituted-3-carbethoxy-quinolin-4-one (VI) which was converted to the corresponding hydrazide which when reacted with acetyl acetone/ethyl acetoacetate yielded the resp. pyrazole and pyrazolone derivs. (VII & VIII). The ester VI on aqueous hydrolysis followed by decarboxylation gave IX (R1 = OH) which when reacted with POCl3 gave IX [R1 = Cl (X)]. The chloro derivative X was reacted with a few cyclic secondary amines to give 4-N-substituted-6-(2H-1,2,3-triazol-2-yl)quinolines IX [R1 = piperidinyl, morpholinyl, {6-(2H-1,2,3-triazol-2-yl)-quinolin-4-yl}piperazinyl]. All the synthesized compds. were evaluated for their antibacterial activity.

ACCESSION NUMBER: 2003:835627 CAPLUS
DOCUMENT NUMBER: 140:270818
TITLE: Synthesis and biological activity of substituted quinolines derived from 4-aminophenyl-2H-1,2,3-triazole
AUTHOR(S): Singh, Brijesh Kumar N.; Fernandes, P. S.
CORPORATE SOURCE: Jai Hind College, Mumbai, 400 020, India
SOURCE: Indian Journal of Heterocyclic Chemistry (2003), 13(1), 19-24
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Prof. R. S. Varma

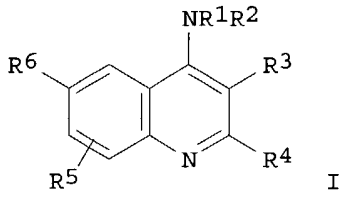
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **673477-91-3P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of triazolyl quinoline derivs.)
RN 673477-91-3 CAPLUS
CN 4-Quinolinol, 2-methyl-6-(2H-1,2,3-triazol-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

GI



I

AB Title compds. [I; R1 R2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkylalkyl, heterocycloalkylalkyl, arylalkyl, heteroarylalkyl; R1R2N = (substituted) heterocyclyl; R3, R4 = H, halo, (substituted) alkyl, perfluoroalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloheteroalkyl, cycloheteroalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, OR7, NR7R7, CO2R7, cyano, CONR7R7; R3R4 = atoms to form a (substituted) 5-7 membered (hetero)cycloalkyl; R5 = H, halo, alkyl, perfluoroalkyl, OR7, NR7R7; R6 = (CH2)nR7, (CH2)naryl-R7, (CH2)n-heteroaryl-R7, (CH2)n-heterocycloalkyl-R7, (CH2)nCN, (CH2)nCON(R7)2, (CH2)nCO2R7, (CH2)nCOR7, (CH2)nNR7COR7, (CH2)nNR7CO(CH2)nSR7 (CH2)nNR7CO2R7, (CH2)nNR7CON(R7)2, (CH2)nNR7SO2R7, (CH2)nSOpR7, (CH2)nSO2N(R7)2, (CH2)nOR7, (CH2)nOC(O)R7, (CH2)nOCO2R7, (CH2)nO2CN(R7)2, (CH2)nN(R7)2, (CH2)nNR7SO2N(R7)2; R7 = H, (substituted) alkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, aralkyl, heteroarylalkyl, cycloalkylalkyl, heterocycloalkylalkyl, arylalkenyl, heteroarylalkenyl, cycloalkylalkenyl, heterocycloalkylalkenyl; n = 0-5; p = 0-2], were prepared Thus, 2-propylquinoline-4,6-diamine and (2E)-3-(4-chlorophenyl)prop-2-enoyl chloride were stirred 6 h in HOAc to give (2E)-N-(4-amino-2-propylquinolin-6-yl)-3-(4-chlorophenyl)prop-2-enamide. I are useful for the treatment or prevention of obesity or eating disorders, osteoarthritis, certain cancers, AIDS wasting, cachexia, frailty, mental disorders, stress, cognitive disorders, sexual function, reproductive function, kidney function, locomotor disorders, attention deficit disorder, substance abuse disorders, dyskinesias, Huntington's disease, epilepsy, memory function, and spinal muscular atrophy. I showed IC50 = 0.1-10000 nM for MCH-1R receptor binding activity.

ACCESSION NUMBER: 2003:434536 CAPLUS
DOCUMENT NUMBER: 139:22115
TITLE: Preparation of 4-aminoquinolines as melanin concentrating hormone receptor antagonists, particularly MCH-1R antagonists.
INVENTOR(S): Devita, Robert J.; Chang, Lehua; Hoang, Myle Thi; Jiang, Jinlong; Lin, Peter; Sailer, Andreas W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045920	A1	20030605	WO 2002-US37510	20021122

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-333464P P 20011127

OTHER SOURCE(S): MARPAT 139:22115

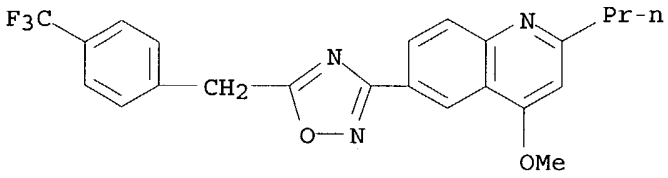
IT **538360-72-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-aminoquinolines as melanin concentrating hormone receptor antagonists, particularly MCH-1R antagonists)

RN 538360-72-4 CAPLUS

CN Quinoline, 4-methoxy-2-propyl-6-[5-[[4-(trifluoromethyl)phenyl]methyl]-1,2,4-oxadiazol-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

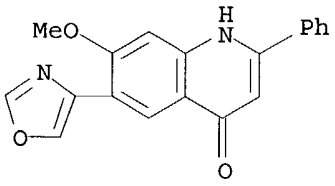
L14 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

AB The first reported structure-activity relationships (SARs) about the N-[3-methoxy-4-(5-oxazolyl)phenyl] moiety for a series of recently disclosed inosine monophosphate dehydrogenase (IMPDH) inhibitors are described. The syntheses and in vitro inhibitory values for IMPDH II, and T-cell proliferation (for select analogs) are given.

ACCESSION NUMBER: 2003:405949 CAPLUS
DOCUMENT NUMBER: 139:127427
TITLE: Inhibitors of inosine monophosphate dehydrogenase: SARs about the N-[3-Methoxy-4-(5-oxazolyl)phenyl] moiety
AUTHOR(S): Iwanowicz, Edwin J.; Watterson, Scott H.; Guo, Junqing; Pitts, William J.; Murali Dhar, T. G.; Shen, Zhongqi; Chen, Ping; Gu, Henry H.; Fleener, Catherine A.; Rouleau, Katherine A.; Cheney, Daniel L.; Townsend, Robert M.; Hollenbaugh, Diane L.
CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(12), 2059-2063
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

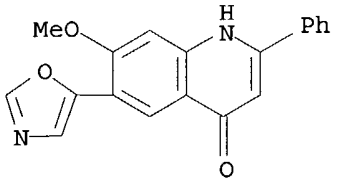
IT **568556-58-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors of inosine monophosphate dehydrogenase and structure-activity relations about the 3-Methoxy(5-oxazolyl)phenyl moiety in relation to inhibition of T-cell proliferation)

RN 568556-58-1 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(4-oxazolyl)-2-phenyl- (9CI) (CA INDEX NAME)



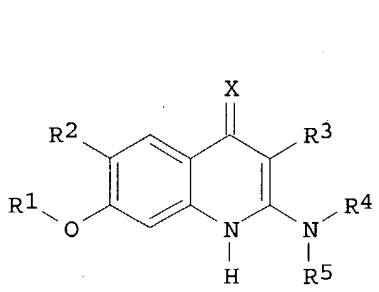
IT **371249-67-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitors of inosine monophosphate dehydrogenase and structure-activity relations about the 3-Methoxy(5-oxazolyl)phenyl moiety in relation to inhibition of T-cell proliferation)

RN 371249-67-1 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
GI



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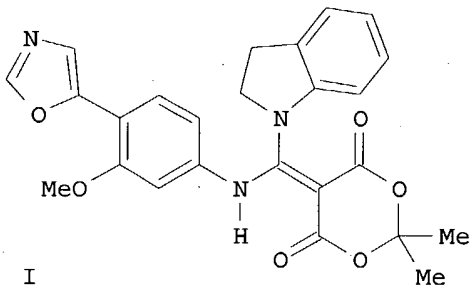
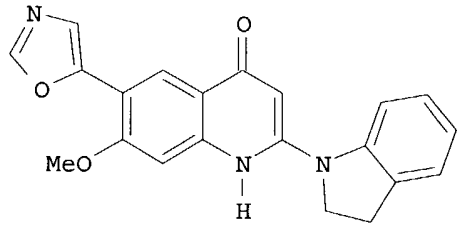


II



III

AB Quinolone derivs. I are described [wherein: X = O or S; R1 = aliphatic, cycloaliph., or cycloalkylalkyl; R2 = cyano or (un)substituted heteroarom.; R3 = H, alkyl, cyano, CO2H, CO2R6, or CONR7R8; R4 = Alk1-L1-Alk2-R9; R5 = H or alkyl; or NR4R5 = (un)substituted heterocycloaliph. ring optionally fused to (un)substituted monocyclic C 6-12 aromatic group or (un)substituted monocyclic C1-9 heteroarom.; R6 = alkyl; R7, R8 = H, alkyl; Alk1 = bond or (un)substituted aliphatic chain; L1 = bond, linker atom or group; Alk2 = bond or C1-3 alkylene chain; R9 = H, (un)substituted (hetero)cycloaliph. or (hetero)aromatic; provided that R4 ≠ H, and with 2 excluded compds.; including salts, solvates, hydrates, tautomers, isomers, or N-oxides]. The compds. are potent inhibitors of IMP dehydrogenase (IMPDH), and are of use as immunosuppressants, anti-cancer agents, anti-inflammatory agents, antipsoriatics, and anti-viral agents. Synthetic examples include 67 invention compds. (7 claimed) and 41 intermediates. For instance, condensation of the ketene dithioacetal 5-[bis(methylsulfanyl)methylene]-2,2-dimethyl-[1,3]dioxane-4,6-dione, first with 3-methoxy-4-(oxazol-5-yl)aniline in refluxing EtOH (83%), then with indoline using HgCl2 (82%), gave the vicinal diamine intermediate II. This compound was thermally cyclized by refluxing in di-Ph ether, to give 57% III, a specifically claimed compound When assayed against IMPDH-catalyzed, NAD-dependent oxidation of IMP in vitro, or in a human PMBC (peripheral blood mononuclear cell) proliferation assay, I had IC50 values of 5 μM or below (no addnl. data).

ACCESSION NUMBER: 2003:334904 CAPLUS
DOCUMENT NUMBER: 138:353840
TITLE: 2-Aminoquinolone derivatives for use as IMPDH inhibitors
INVENTOR(S): Haughan, Alan Findlay; Dyke, Hazel Joan; Buckley,

George Martin; Davies, Natasha; Hannah, Duncan Robert; Richard, Marianna Dilani; Sharpe, Andrew; Williams, Sophie Caroline
PATENT ASSIGNEE(S): Celltech R & D Limited, UK
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035066	A1	20030501	WO 2002-GB4754	20021022

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

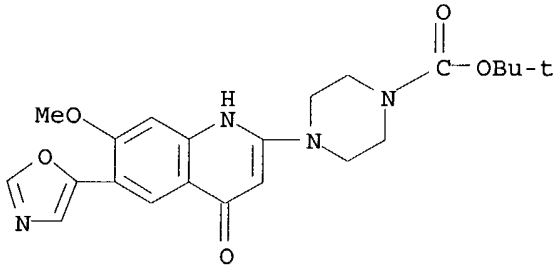
US 2003105073	A1	20030605	US 2002-277497	20021022

PRIORITY APPLN. INFO.: GB 2001-25365 A 20011023
GB 2002-5372 A 20020307

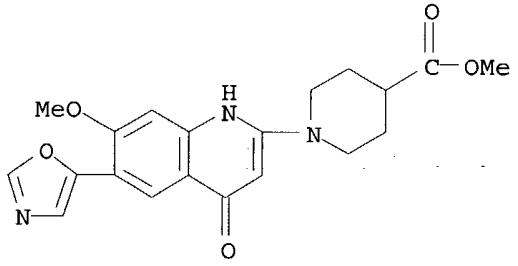
OTHER SOURCE(S): MARPAT 138:353840

IT **519052-60-9P**, 4-[7-Methoxy-6-(oxazol-5-yl)-4-oxo-1,4-dihydroquinolin-2-yl]piperazine-1-carboxylic acid tert-butyl ester **519052-67-6P**, 1-[7-Methoxy-6-(oxazol-5-yl)-4-oxo-1,4-dihydroquinolin-2-yl]piperidine-4-carboxylic acid methyl ester **519052-74-5P**, 7-Methoxy-6-(oxazol-5-yl)-2-(2-oxopyrrolidin-1-yl)-1H-quinolin-4-one **519053-04-4P**, 7-Methoxy-6-(oxazol-5-yl)-2-(piperazin-1-yl)-1H-quinolin-4-one dihydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aminoquinolone derivs. as IMPDH inhibitors)

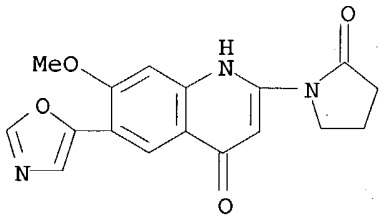
RN 519052-60-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



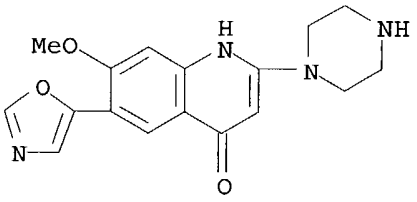
RN 519052-67-6 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 519052-74-5 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(2-oxo-1-pyrrolidinyl)-
(9CI) (CA INDEX NAME)



RN 519053-04-4 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(1-piperazinyl)-,
dihydrochloride (9CI) (CA INDEX NAME)

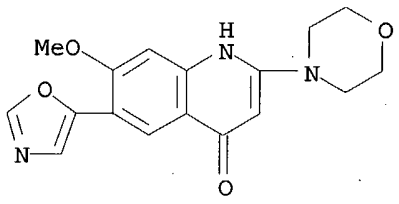


●2 HCl

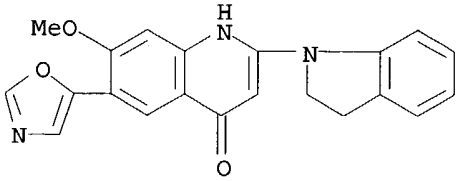
IT **519052-55-2P**, 7-Methoxy-2-(morpholin-4-yl)-6-(oxazol-5-yl)-1H-quinolin-4-one **519052-65-4P**, 2-(2,3-Dihydroindol-1-yl)-7-methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one **519052-77-8P**, 7-Methoxy-2-(2-methylpyrrolidin-1-yl)-6-(oxazol-5-yl)-1H-quinolin-4-one **519052-78-9P**, 1-(7-Methoxy-6-(oxazol-5-yl)-4-oxo-1,4-dihydroquinolin-2-yl)piperidine-4-carboxylic acid amide **519052-79-0P**, 7-Methoxy-6-(oxazol-5-yl)-2-[4-(pyrrolidin-1-yl)piperidin-1-yl]-1H-quinolin-4-one **519052-81-4P**, 2-(3,4-Dihydro-1H-isoquinolin-2-yl)-7-methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one **519052-87-0P**, 2-(1,3-Dihydroisoindol-2-yl)-7-methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one **519052-91-6P**, 2-(6,7-Dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-7-methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one **519052-92-7P**, 2-(5-Bromo-2,3-dihydroindol-

1-yl)-7-methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one **519053-00-0P**, 7-Methoxy-6-(oxazol-5-yl)-2-(4-phenylpiperidin-1-yl)-1H-quinolin-4-one **519053-02-2P**, 7-Methoxy-2-(2-methyl-2,3-dihydroindol-1-yl)-6-(oxazol-5-yl)-1H-quinolin-4-one **519053-05-5P**, 2-(4-Acetylpiperazin-1-yl)-7-methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one **519053-07-7P**, 3-[4-[7-Methoxy-6-(oxazol-5-yl)-4-oxo-1,4-dihydroquinolin-2-yl]piperazin-1-yl]propanoic acid methyl ester formate salt **519053-09-9P**, 2-[4-(2,2-Dimethylpropyl)piperazin-1-yl]-7-methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one formate **519053-11-3P**, 7-Methoxy-6-(oxazol-5-yl)-2-[4-(pyridin-3-ylmethyl)piperazin-1-yl]-1H-quinolin-4-one formate **519053-12-4P**, 2-(Azetidin-1-yl)-7-methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one **519053-13-5P**, 7-Methoxy-6-(oxazol-5-yl)-2-(piperidin-1-yl)-1H-quinolin-4-one **519053-14-6P**, 7-Methoxy-2-[(S)-2-(methoxymethyl)pyrrolidin-1-yl]-6-(oxazol-5-yl)-1H-quinolin-4-one **519053-16-8P**, 7'-Methoxy-6'-(oxazol-5-yl)-3,4-dihydro-2H,1'H-[1,2']-biquinolinyl-4'-one **519053-18-0P**, 7-Methoxy-6-(oxazol-5-yl)-2-(pyrrolidin-1-yl)-1H-quinolin-4-one **519053-20-4P**, 1-[7-Methoxy-6-(oxazol-5-yl)-4-oxo-1,4-dihydroquinolin-2-yl]piperidine-4-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aminoquinolone derivs. as IMPDH inhibitors)

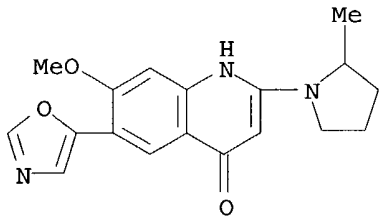
RN 519052-55-2 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-(4-morpholinyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



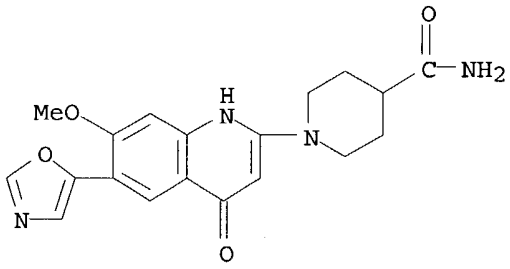
RN 519052-65-4 CAPLUS
CN 4(1H)-Quinolinone, 2-(2,3-dihydro-1H-indol-1-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



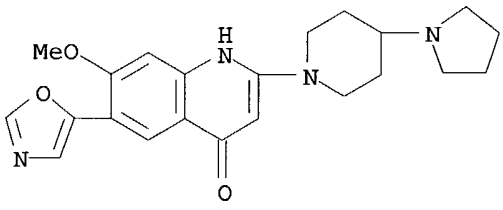
RN 519052-77-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-(2-methyl-1-pyrrolidinyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



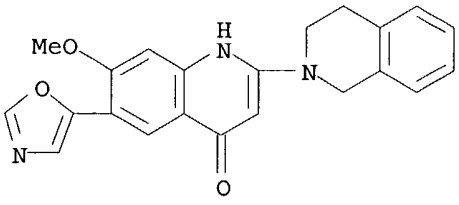
RN 519052-78-9 CAPLUS
CN 4-Piperidinecarboxamide, 1-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)



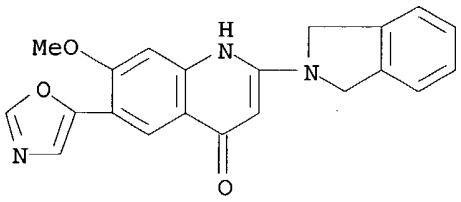
RN 519052-79-0 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[4-(1-pyrrolidinyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



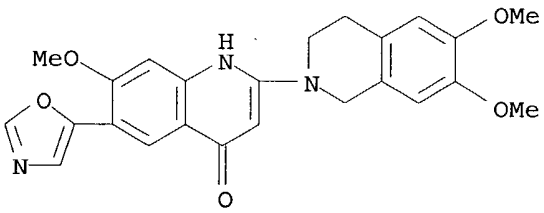
RN 519052-81-4 CAPLUS
CN 4(1H)-Quinolinone, 2-(3,4-dihydro-2(1H)-isoquinolinyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



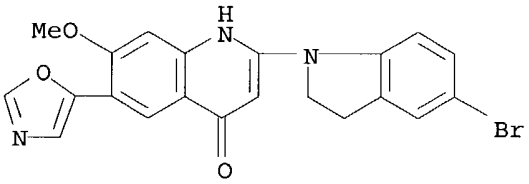
RN 519052-87-0 CAPLUS
CN 4(1H)-Quinolinone, 2-(1,3-dihydro-2H-isoindol-2-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



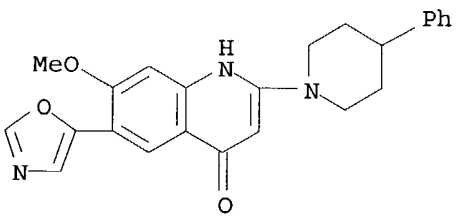
RN 519052-91-6 CAPLUS
CN 4(1H)-Quinolinone, 2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



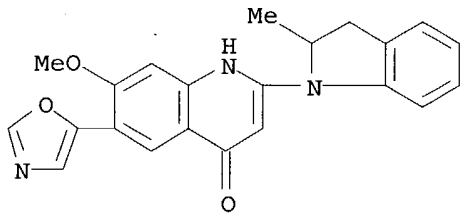
RN 519052-92-7 CAPLUS
CN 4(1H)-Quinolinone, 2-(5-bromo-2,3-dihydro-1H-indol-1-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



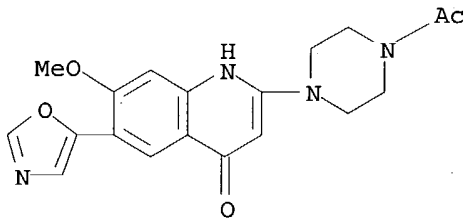
RN 519053-00-0 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(4-phenyl-1-piperidinyl)-(9CI) (CA INDEX NAME)



RN 519053-02-2 CAPLUS
CN 4(1H)-Quinolinone, 2-(2,3-dihydro-2-methyl-1H-indol-1-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



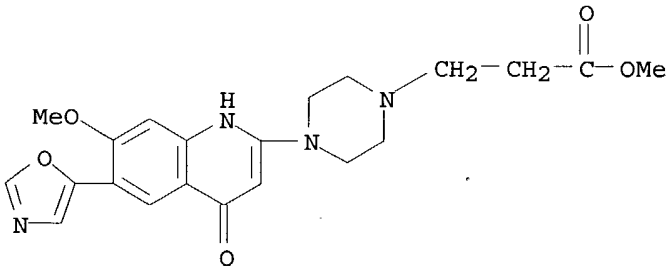
RN 519053-05-5 CAPLUS
CN Piperazine, 1-acetyl-4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)



RN 519053-07-7 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-, methyl ester, formate (9CI) (CA INDEX NAME)

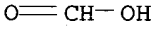
CM 1

CRN 519053-06-6
CMF C21 H24 N4 O5



CM 2

CRN 64-18-6
CMF C H2 O2

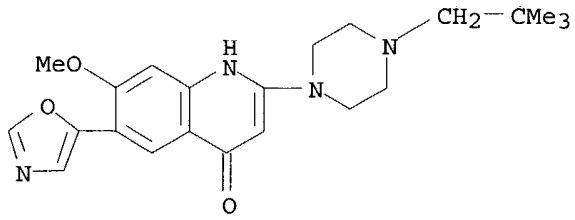


RN 519053-09-9 CAPLUS
CN Formic acid, compd. with 2-[4-(2,2-dimethylpropyl)-1-piperazinyl]-7-

methoxy-6-(5-oxazolyl)-4(1H)-quinolinone (9CI) (CA INDEX NAME)

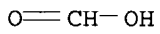
CM 1

CRN 519053-08-8
CMF C22 H28 N4 O3



CM 2

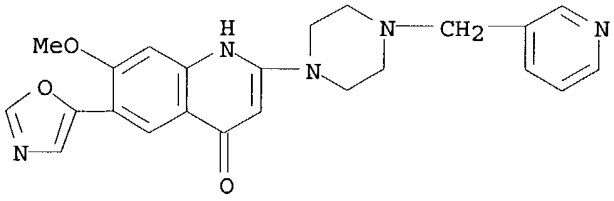
CRN 64-18-6
CMF C H2 O2



RN 519053-11-3 CAPLUS
CN Formic acid, compd. with 7-methoxy-6-(5-oxazolyl)-2-[4-(3-pyridinylmethyl)-1-piperazinyl]-4(1H)-quinolinone (9CI) (CA INDEX NAME)

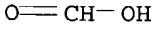
CM 1

CRN 519053-10-2
CMF C23 H23 N5 O3

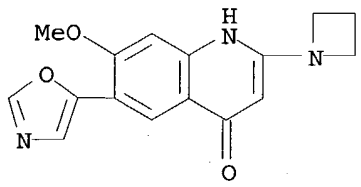


CM 2

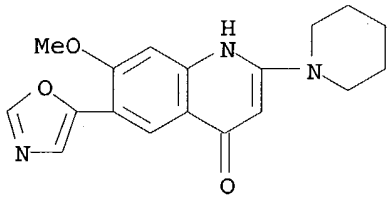
CRN 64-18-6
CMF C H2 O2



RN 519053-12-4 CAPLUS
CN 4(1H)-Quinolinone, 2-(1-azetidinyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

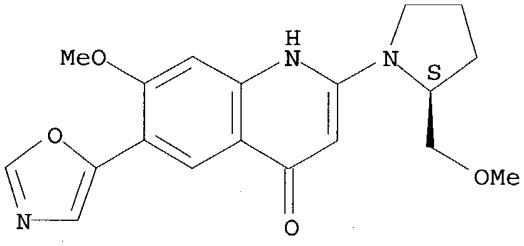


RN 519053-13-5 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

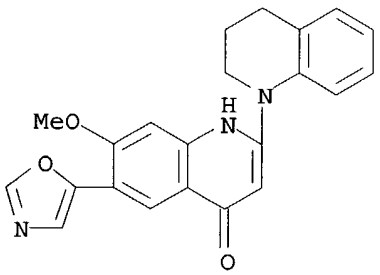


RN 519053-14-6 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

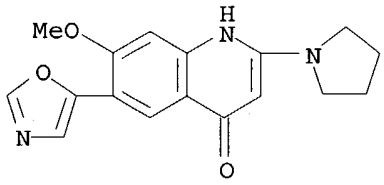


RN 519053-16-8 CAPLUS
CN [1(2H),2'-Biquinolin]-4'(1'H)-one, 3,4-dihydro-7'-methoxy-6'-(5-oxazolyl)- (9CI) (CA INDEX NAME)

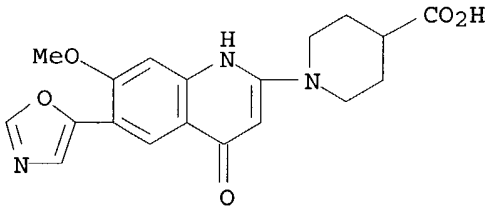


RN 519053-18-0 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



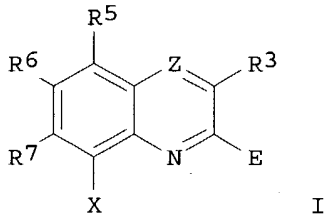
RN 519053-20-4 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

GI



I

AB The invention concerns compds. quinoline and quinoxaline derivs. (shown as I; variables defined below; e.g. 4,8-dihydroxy-5,7-dichloroquinoline-2-carboxylic acid), their preparation and their uses, in particular in therapeutic treatments and vaccines or for developing active compds. For I: E = COOH, COOR1, CH2OH, CHO, CH2COOH, CH2COOR1, C(O)NHR2, or 1H-tetrazol-5-yl; R1 = (C1-C12)alkyl or (C6-C18)aryl(C1-C12)alkyl; R2 = H, (C1-C12)alkyl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, hydroxy; R3 = H, halo, hydroxy, (C1-C12)alkyl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl or (C3-C17)heteroaryl. Z = N or CR4; R4 = H, (C1-C12)alkyl, (C2-C12)alkyn-1-yl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, OR8, NR9R9, (C1-C17)heteroaryl or (C2-C12)alken-1-yl; R5, R6 and R7 = H, halo, (C1-C12)alkyl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl , NR9R9', COR10, (C2-C12)alken-1-yl, (C2-C12)alkyn-1-yl, (C1-C17)heteroaryl, (C3-C17)heteroaryl(C1-C12)alkyl, cyano or nitro; -R8 = H, (C1-C12)alkyl, (C6-C18)aryl(C1-C12)alkyl. R9 = H, (C1-C12)alkyl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, acyl, tert-butoxycarbonyl, (C1-C17)heteroaryl or (C6-C18)arylsulfonyl or (C1-C12)alkylsulfonyl; R9', which may be same or different than R9 = H, (C1-C12)alkyl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, acyl, tert-butoxycarbonyl, (C1-C17)heteroaryl or (C6-C18)arylsulfonyl or (C1-C12)alkylsulfonyl; NR9R9' = cycloheteroalkyl: N(CH2)m(CH2)nY (n = 2 or 3, m = 2 or 3 and Y = CH2, SO2, or NR11, O, S); R10 = H, (C1-C12)alkyl or (C6-C18)aryl or NHR2. R11 = H, (C1-C12)alkyl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, (C1-C17)heteroaryl, (C1-C17)heteroaryl(C1-C12)alkyl or COR10; X = halo, OR8, NR9R9', (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, (C3-C12)alkyl, (C2-C12)alken-1-yl, (C2-C12)alkyn-1-yl, (C1-C17)heteroaryl, COR10, cyano or nitro; addnl. details are given in the claims. Test results for inhibition of factor Xa by .apprx.50 examples of I are included; for example, 4,8-dihydroxy-5,7-dichloroquinoline-2-carboxylic acid exhibits IC50 = 4.6 μM and 163 % of the inhibitory activity of xanthurenic acid at 10 μM. More than 100 example prepns. of I are included. For example, Me 4-hydroxy-6-bromo-8-methoxyquinoline-2-carboxylate was prepared in 64% yield from Me 2-[(4-bromo-2-methoxyphenyl)amino]but-2-enedioate in Ph2O at 250° for 5-15 min; the reactant was prepared in 93% yield from 2-methoxy-4-bromoaniline and Me acetylenedicarboxylate in MeOH at reflux for 1 h.

ACCESSION NUMBER: 2003:97401 CAPLUS
DOCUMENT NUMBER: 138:153554
TITLE: Preparation of quinoline and quinoxaline derivatives as inhibitors of factor Xa with therapeutic uses
INVENTOR(S): Schmitt, Martine; Klotz, Evelyne; Macher, Jean-Paul; Bourguignon, Jean-Jacques
PATENT ASSIGNEE(S): NEURO3D, Fr.
SOURCE: PCT Int. Appl., 283 pp.
CODEN: PIXXD2

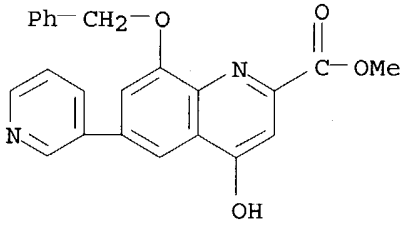
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003010146	A1	20030206	WO 2002-FR2594	20020719
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2827599	A1	20030124	FR 2001-9730	20010720

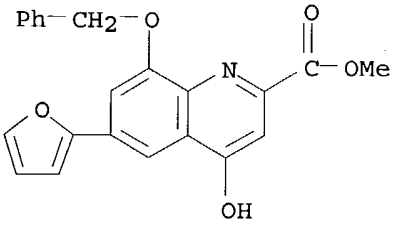
PRIORITY APPLN. INFO.: FR 2001-9730 A 20010720
OTHER SOURCE(S): MARPAT 138:153554

IT **495407-39-1P**, Methyl 8-benzyloxy-4-hydroxy-6-(pyridin-3-yl)quinoline-2-carboxylate **495410-02-1P**, Methyl 8-Benzyloxy-6-(furan-2-yl)-4-hydroxyquinoline-2-carboxylate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of quinoline and quinoxaline derivs. as inhibitors of factor Xa with therapeutic uses)

RN 495407-39-1 CAPLUS
CN 2-Quinolinecarboxylic acid, 4-hydroxy-8-(phenylmethoxy)-6-(3-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



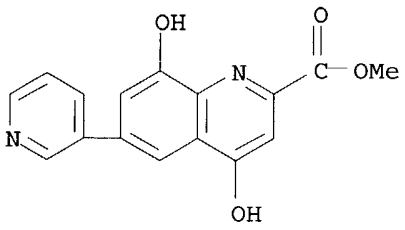
RN 495410-02-1 CAPLUS
CN 2-Quinolinecarboxylic acid, 6-(2-furanyl)-4-hydroxy-8-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



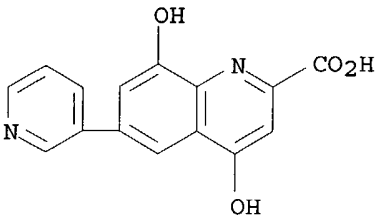
IT **495407-38-0P**, Methyl 4,8-Dihydroxy-6-(pyridin-3-yl)quinoline-2-carboxylate **495408-97-4P**, 4,8-Dihydroxy-6-(pyridin-3-

yl)quinoline-2-carboxylic acid **495410-51-0P**,
4,8-Dihydroxy-6-(furan-2-yl)quinoline-2-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline and quinoxaline derivs. as inhibitors of factor Xa with therapeutic uses)

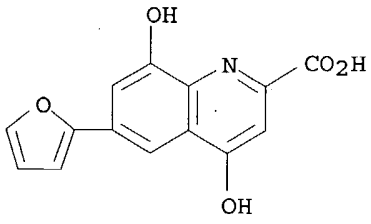
RN 495407-38-0 CAPLUS
CN 2-Quinolinecarboxylic acid, 4,8-dihydroxy-6-(3-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 495408-97-4 CAPLUS
CN 2-Quinolinecarboxylic acid, 4,8-dihydroxy-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

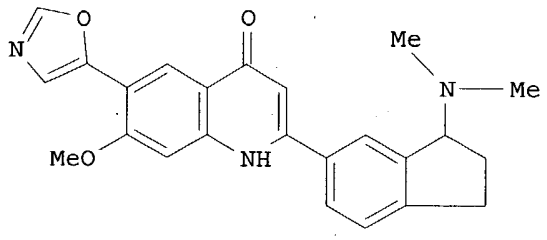


RN 495410-51-0 CAPLUS
CN 2-Quinolinecarboxylic acid, 6-(2-furanyl)-4,8-dihydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
GI



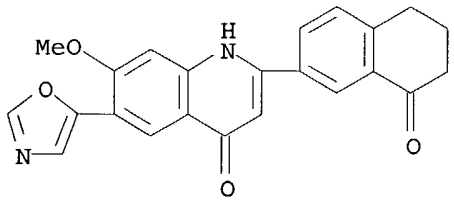
I

AB The synthesis and the structure-activity relationships of analogs derived from the introduction of basic residues on ring D of quinolone-based inhibitors of IMPDH are described. This led to the identification of compound I as a potent inhibitor of IMPDH with significantly improved aqueous solubility over the lead compound

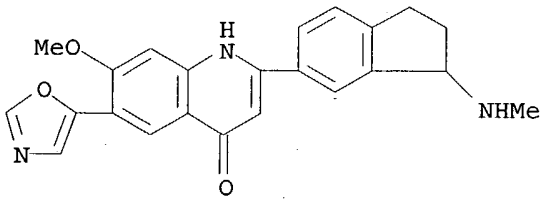
ACCESSION NUMBER: 2003:91235 CAPLUS
DOCUMENT NUMBER: 139:78399
TITLE: Quinolone-Based IMPDH inhibitors: introduction of basic residues on ring D and SAR of the corresponding mono, di and benzofused analogues
AUTHOR(S): Dhar, T. G. Murali; Watterson, Scott H.; Chen, Ping; Shen, Zhongqi; Gu, Henry H.; Norris, Derek; Carlsen, Marianne; Haslow, Kristin D.; Pitts, William J.; Guo, Junqing; Chorba, John; Fleener, Catherine A.; Rouleau, Katherine A.; Townsend, Robert; Hollenbaugh, Diane; Iwanowicz, Edwin J.
CORPORATE SOURCE: Bristol-Myers Squibb PRI, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(3), 547-551
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:78399

IT **371250-92-9P 371251-12-6P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis and structure-activity relations of IMPDH inhibitors)

RN 371250-92-9 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(5,6,7,8-tetrahydro-8-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)

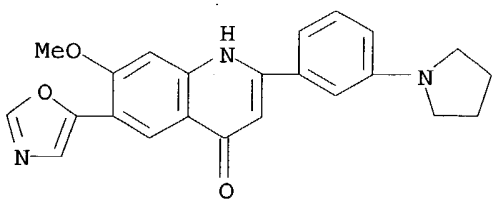


RN 371251-12-6 CAPLUS
CN 4(1H)-Quinolinone, 2-[2,3-dihydro-3-(methylamino)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

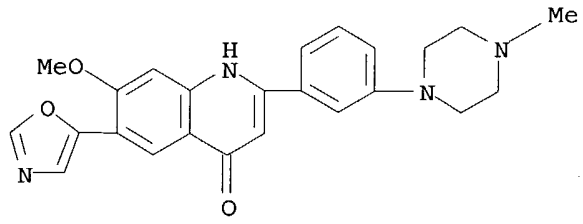


IT **371249-72-8P 371249-86-4P 371249-88-6P**
371250-27-0P 371250-61-2P 371250-72-5P
371250-73-6P 371250-75-8P 371250-86-1P
371250-93-0P 371250-95-2P 371250-99-6P
371251-01-3P 371251-04-6P 371251-05-7P
371251-13-7P 371251-16-0P 371251-19-3P
371251-51-3P 371251-57-9P 371251-73-9P
371251-81-9P 371251-92-2P 371251-98-8P
371251-99-9P 371252-09-4P 371252-11-8P
371252-12-9P 371252-13-0P 371252-17-4P
371252-19-6P 371252-22-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and structure-activity relations of IMPDH inhibitors)

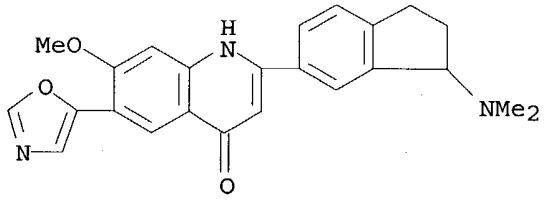
RN 371249-72-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



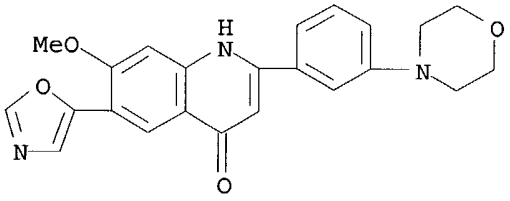
RN 371249-86-4 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



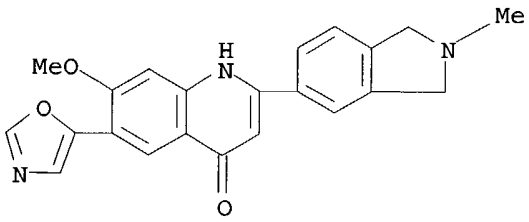
RN 371249-88-6 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-(dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



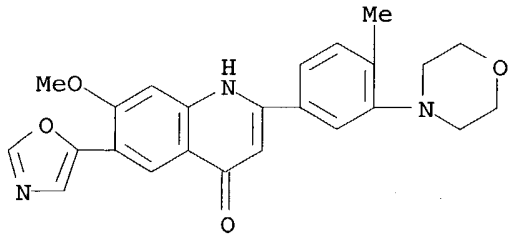
RN 371250-27-0 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(4-morpholinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



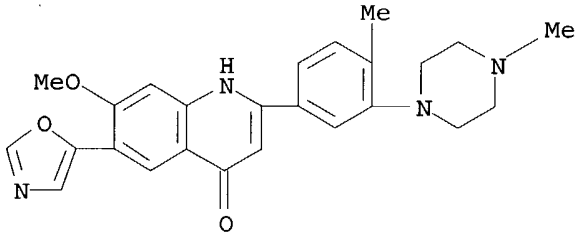
RN 371250-61-2 CAPLUS
CN 4(1H)-Quinolinone, 2-(2,3-dihydro-2-methyl-1H-isoindol-5-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



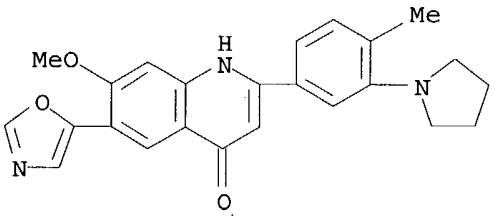
RN 371250-72-5 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(4-morpholinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



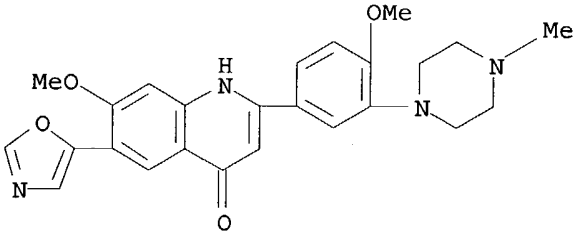
RN 371250-73-6 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371250-75-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(1-pyrrolidinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

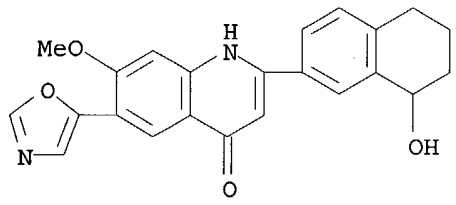


RN 371250-86-1 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

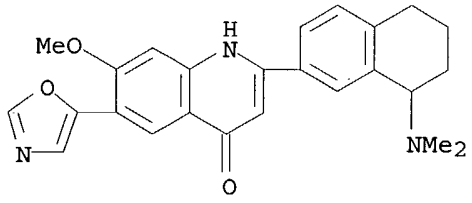


RN 371250-93-0 CAPLUS

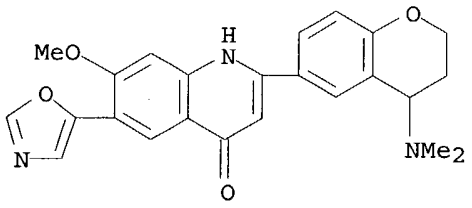
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(5,6,7,8-tetrahydro-8-hydroxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



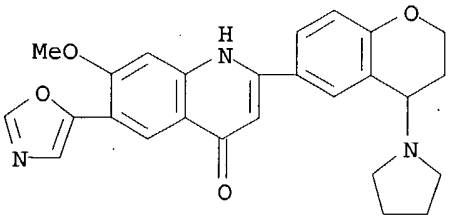
RN 371250-95-2 CAPLUS
CN 4(1H)-Quinolinone, 2-[8-(dimethylamino)-5,6,7,8-tetrahydro-2-naphthalenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



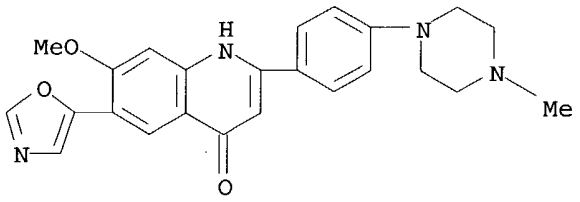
RN 371250-99-6 CAPLUS
CN 4(1H)-Quinolinone, 2-[4-(dimethylamino)-3,4-dihydro-2H-1-benzopyran-6-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



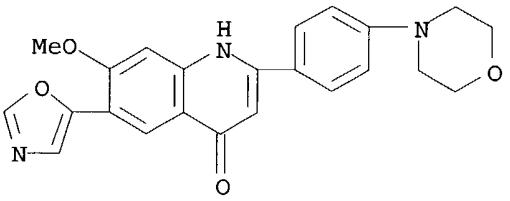
RN 371251-01-3 CAPLUS
CN 4(1H)-Quinolinone, 2-[3,4-dihydro-4-(1-pyrrolidinyl)-2H-1-benzopyran-6-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



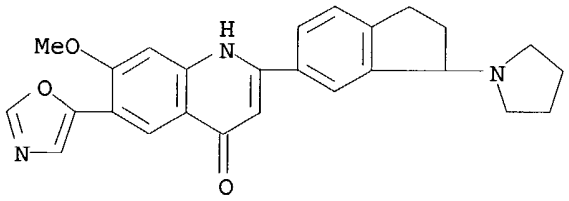
RN 371251-04-6 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



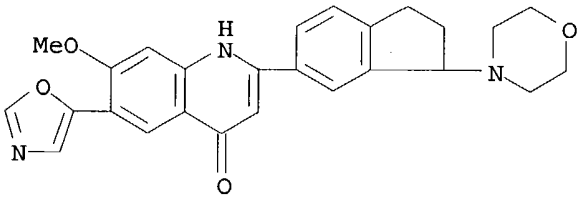
RN 371251-05-7 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-(4-morpholinyl)phenyl]-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)



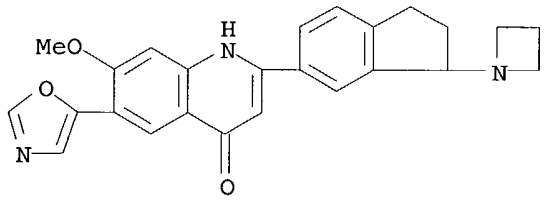
RN 371251-13-7 CAPLUS
CN 4(1H)-Quinolinone, 2-[2,3-dihydro-3-(1-pyrrolidinyl)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



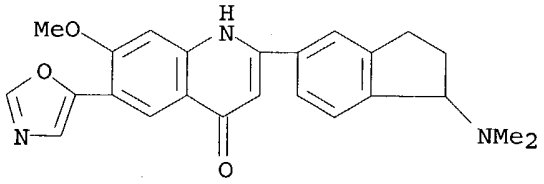
RN 371251-16-0 CAPLUS
CN 4(1H)-Quinolinone, 2-[2,3-dihydro-3-(4-morpholinyl)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371251-19-3 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-(1-azetidinyl)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

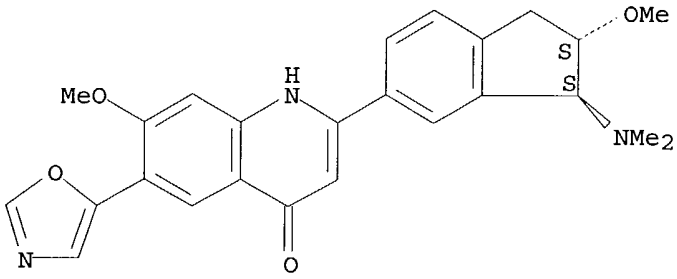


RN 371251-51-3 CAPLUS
CN 4(1H)-Quinolinone, 2-[1-(dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

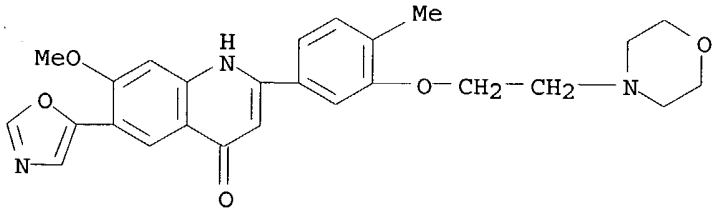


RN 371251-57-9 CAPLUS
CN 4(1H)-Quinolinone, 2-[(2R,3R)-3-(dimethylamino)-2,3-dihydro-2-methoxy-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-, rel- (9CI) (CA INDEX NAME)

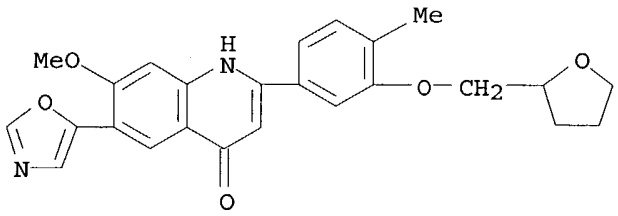
Relative stereochemistry.



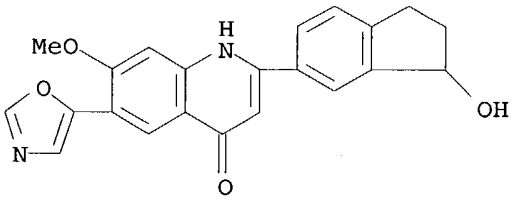
RN 371251-73-9 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[2-(4-morpholinyl)ethoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371251-81-9 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[(tetrahydro-2-furanyl)methoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

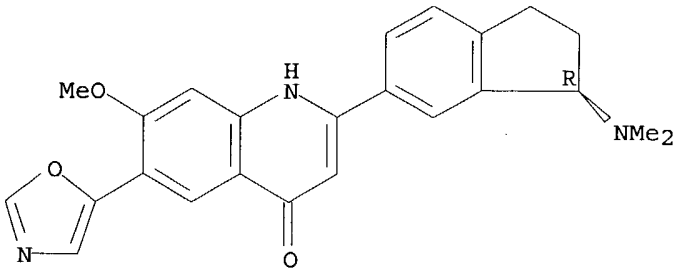


RN 371251-92-2 CAPLUS
CN 4(1H)-Quinolinone, 2-(2,3-dihydro-3-hydroxy-1H-inden-5-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



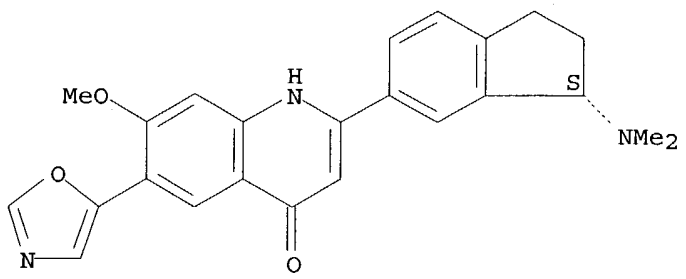
RN 371251-98-8 CAPLUS
CN 4(1H)-Quinolinone, 2-[(3R)-3-(dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

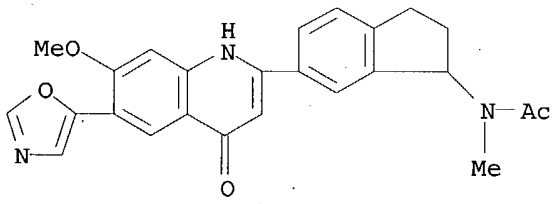


RN 371251-99-9 CAPLUS
CN 4(1H)-Quinolinone, 2-[(3S)-3-(dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

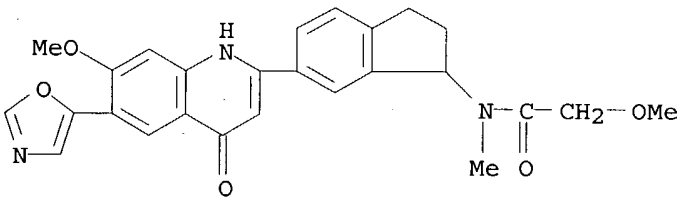
Absolute stereochemistry.



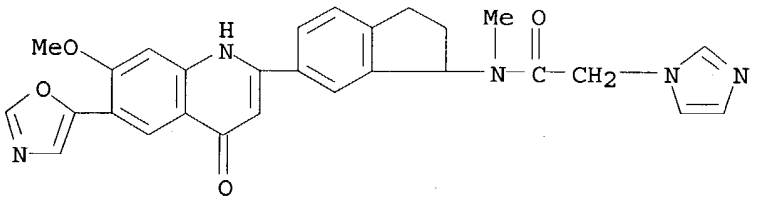
RN 371252-09-4 CAPLUS
CN Acetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-N-methyl- (9CI) (CA INDEX NAME)



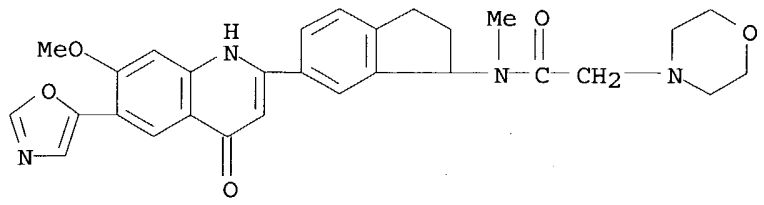
RN 371252-11-8 CAPLUS
CN Acetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-2-methoxy-N-methyl- (9CI) (CA INDEX NAME)



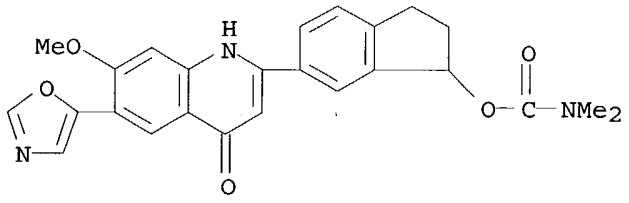
RN 371252-12-9 CAPLUS
CN 1H-Imidazole-1-acetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-N-methyl- (9CI) (CA INDEX NAME)



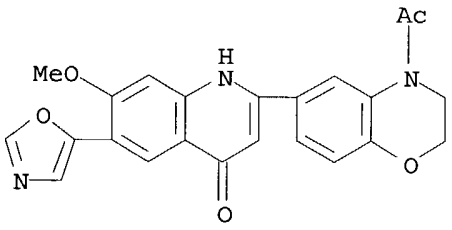
RN 371252-13-0 CAPLUS
CN 4-Morpholineacetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-N-methyl- (9CI) (CA INDEX NAME)



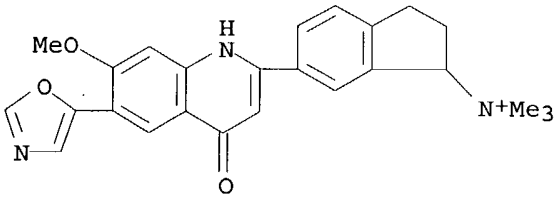
RN 371252-17-4 CAPLUS
CN Carbamic acid, dimethyl-, 6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl ester (9CI) (CA INDEX NAME)



RN 371252-19-6 CAPLUS
CN 2H-1,4-Benzoxazine, 4-acetyl-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



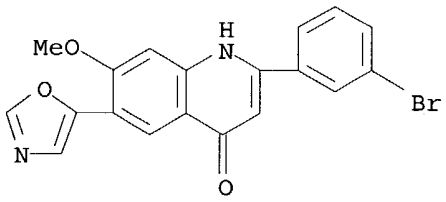
RN 371252-22-1 CAPLUS
CN 1H-Inden-1-aminium, 6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)



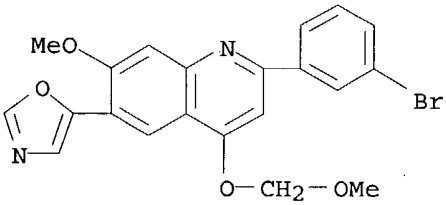
● I^-

IT **371249-69-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and structure-activity relations of IMPDH inhibitors)

RN 371249-69-3 CAPLUS
CN 4(1H)-Quinolinone, 2-(3-bromophenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



IT **371249-73-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and structure-activity relations of IMPDH inhibitors)
RN 371249-73-9 CAPLUS
CN Quinoline, 2-(3-bromophenyl)-7-methoxy-4-(methoxymethoxy)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



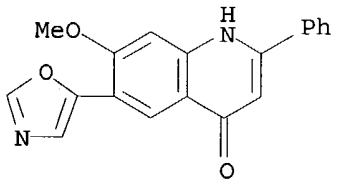
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AB A series of novel quinolone-based small mol. inhibitors of inosine monophosphate dehydrogenase (IMPDH) was explored. The synthesis and the structure-activity relationships derived from in vitro studies are described.

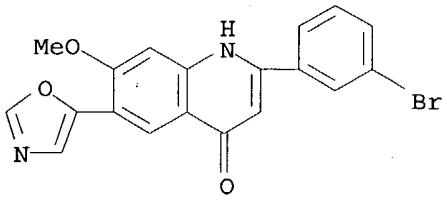
ACCESSION NUMBER: 2003:91234 CAPLUS
DOCUMENT NUMBER: 139:78398
TITLE: Novel inhibitors of IMPDH a highly potent and selective quinolone-based series
AUTHOR(S): Watterson, Scott H.; Carlsen, Marianne; Murali Dhar, T. G.; Shen, Zhongqi; Pitts, William J.; Guo, Junqing; Gu, Henry H.; Norris, Derek; Chorba, John; Chen, Ping; Cheney, Daniel; Witmer, Mark; Fleener, Catherine A.; Rouleau, Katherine; Townsend, Robert; Hollenbaugh, Diane L.; Iwanowicz, Edwin J.
CORPORATE SOURCE: Bristol-Myers Squibb PRI, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(3), 543-546
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:78398

IT **371249-67-1P 371249-69-3P 371249-75-1P**
371249-97-7P 371249-98-8P 371250-00-9P
371250-01-0P 371250-11-2P 371250-12-3P
371250-15-6P 371250-16-7P 371250-17-8P
371250-23-6P 371250-25-8P 371250-29-2P
371250-53-2P 371250-59-8P 371250-62-3P
371250-66-7P 371250-69-0P 371251-03-5P
552889-32-4P 552889-33-5P 552889-34-6P
552889-35-7P 552889-36-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(quinolone-based inhibitors of inosinate dehydrogenase)

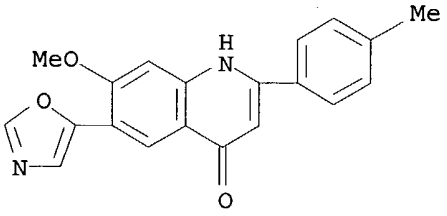
RN 371249-67-1 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-phenyl- (9CI) (CA INDEX NAME)



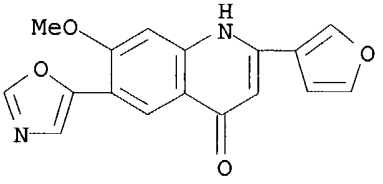
RN 371249-69-3 CAPLUS
CN 4(1H)-Quinolinone, 2-(3-bromophenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



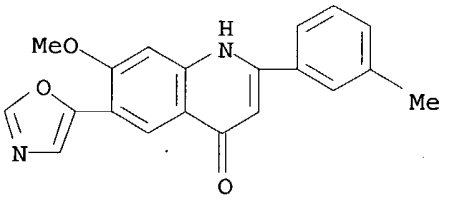
RN 371249-75-1 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-(4-methylphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



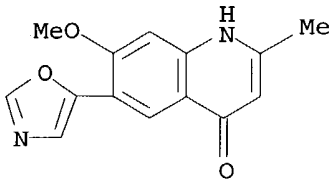
RN 371249-97-7 CAPLUS
CN 4(1H)-Quinolinone, 2-(3-furanyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



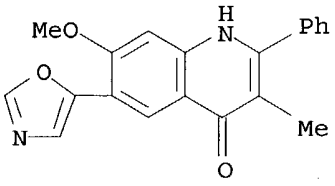
RN 371249-98-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-(3-methylphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



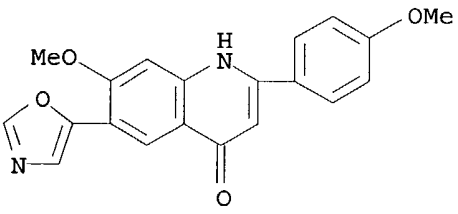
RN 371250-00-9 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-methyl-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



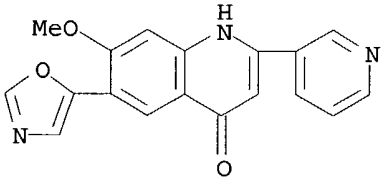
RN 371250-01-0 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-3-methyl-6-(5-oxazolyl)-2-phenyl- (9CI) (CA INDEX NAME)



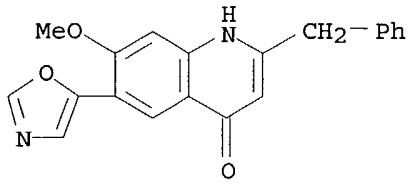
RN 371250-11-2 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-(4-methoxyphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



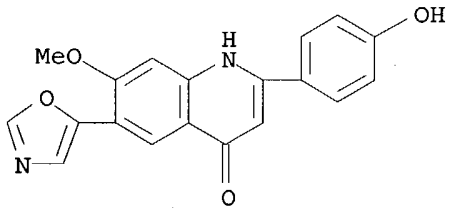
RN 371250-12-3 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



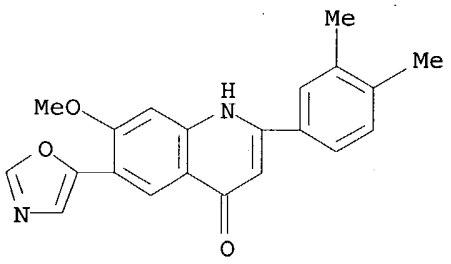
RN 371250-15-6 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(phenylmethyl)- (9CI) (CA INDEX NAME)



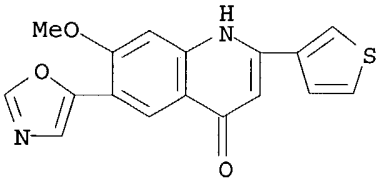
RN 371250-16-7 CAPLUS
CN 4(1H)-Quinolinone, 2-(4-hydroxyphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



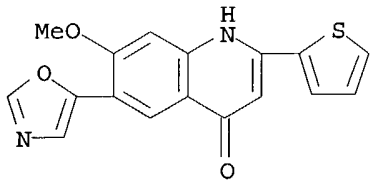
RN 371250-17-8 CAPLUS
CN 4(1H)-Quinolinone, 2-(3,4-dimethylphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



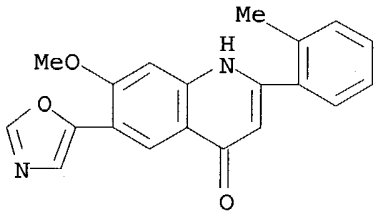
RN 371250-23-6 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(3-thienyl)- (9CI) (CA INDEX NAME)



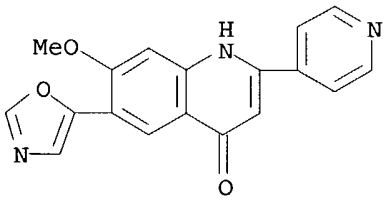
RN 371250-25-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)



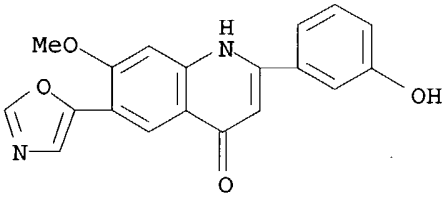
RN 371250-29-2 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-(2-methylphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



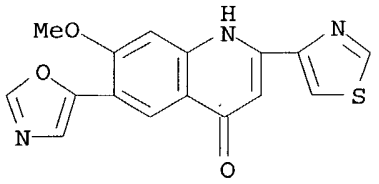
RN 371250-53-2 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



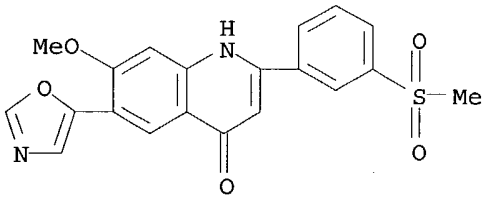
RN 371250-59-8 CAPLUS
CN 4(1H)-Quinolinone, 2-(3-hydroxyphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



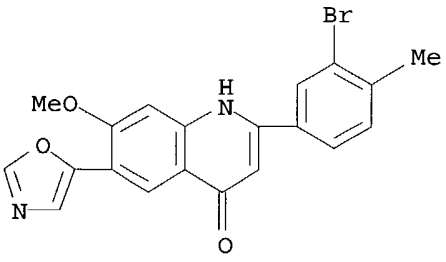
RN 371250-62-3 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(4-thiazolyl)- (9CI) (CA INDEX NAME)



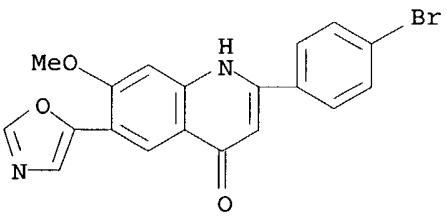
RN 371250-66-7 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(methylsulfonyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



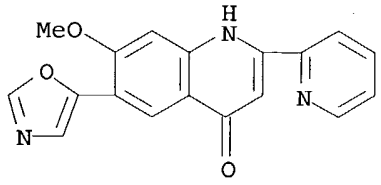
RN 371250-69-0 CAPLUS
CN 4(1H)-Quinolinone, 2-(3-bromo-4-methylphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



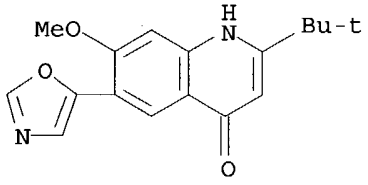
RN 371251-03-5 CAPLUS
CN 4(1H)-Quinolinone, 2-(4-bromophenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



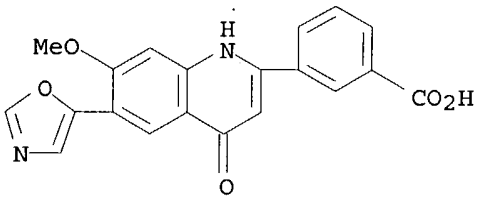
RN 552889-32-4 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



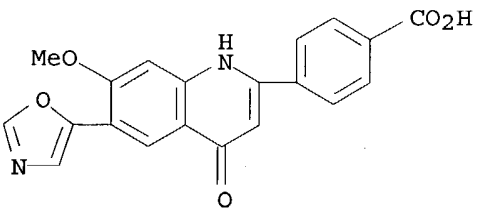
RN 552889-33-5 CAPLUS
CN 4(1H)-Quinolinone, 2-(1,1-dimethylethyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



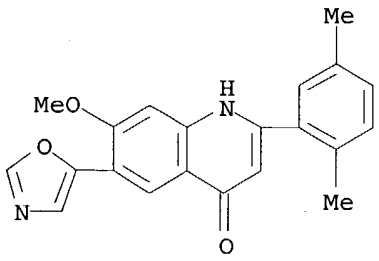
RN 552889-34-6 CAPLUS
CN Benzoic acid, 3-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)



RN 552889-35-7 CAPLUS
CN Benzoic acid, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)



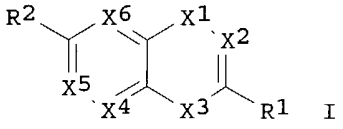
RN 552889-36-8 CAPLUS
CN 4(1H)-Quinolinone, 2-(2,5-dimethylphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
GI

applicant



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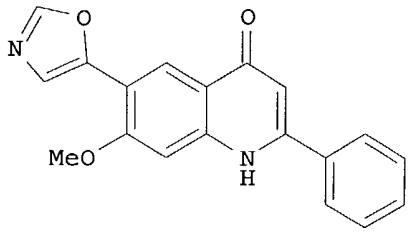


II

AB Title compds. I [wherein X1 = CO, SO, or SO2; X2 = CR3 or N; X3 = NH, O, or S; X4 = CR4 or N; X5 = CR5 or N; X6 = CR6 or N] were prepared were prepared as inosine monophosphate dehydrogenase (IMPDH) enzyme inhibitors. For example, acetalization of 4-nitro-2-methoxytoluene with AcOH (51%), reduction to the aldehyde (91%), and cycloaddn. with (p-tolylsulfonyl)methyl isocyanate gave 5-(4-nitro-2-methoxyphenyl)oxazole (84%), which was reduced to the amine (95%). Alkylation with Et benzoylacetate and cyclization afforded the 6-(5-oxazolyl)-4(1H)-quinolinone II. Thus, I are useful as therapeutic agents for IMPDH-associated disorders, such as allograft rejection (no data).

ACCESSION NUMBER: 2001:798220 CAPLUS
DOCUMENT NUMBER: 135:344472
TITLE: Preparation of 6-(5-oxazolyl)-4(1H)-quinolinones as inhibitors of IMPDH enzyme
INVENTOR(S): Iwanowicz, Edwin J.; Watterson, Scott H.; Dhar, T. G. Murali; Pitts, William J.; Gu, Henry H.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 263 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081340	A2	20011101	WO 2001-US12900	20010419
WO 2001081340	A3	20020523		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1276739	A2	20030122	EP 2001-928708	20010419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003531205	T2	20031021	JP 2001-578430	20010419
US 2002040022	A1	20020404	US 2001-840503	20010423

PRIORITY APPLN. INFO.: US 2000-199420P P 20000424

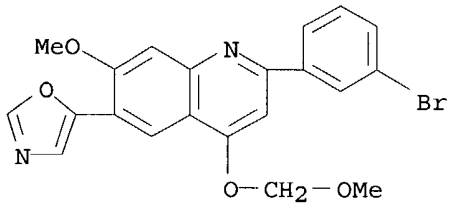
WO 2001-US12900 W 20010419

OTHER SOURCE(S): MARPAT 135:344472

IT **371249-73-9P 371249-74-0P 371251-26-2P**, 7-Methoxy-2-[3-[(4-methoxyphenyl)methoxy]phenyl]-6-(5-oxazolyl)-4-(phenylmethoxy)quinoline **371251-27-3P**, 3-[7-Methoxy-6-(5-oxazolyl)-4-(phenylmethoxy)-2-quinolinyl]phenol **371251-28-4P**, 2-[3-[7-Methoxy-6-(5-oxazolyl)-4-(phenylmethoxy)-2-quinolinyl]phenoxy]-N,N-dimethylethanamine **371251-69-3P**, 7-Methoxy-4-(methoxymethoxy)-2-[4-methyl-3-(phenylmethoxy)phenyl]-6-(5-oxazolyl)quinoline **371251-71-7P**, 5-[7-Methoxy-4-(methoxymethoxy)-6-(5-oxazolyl)-2-quinolinyl]-2-methylphenol **371252-10-7P**, 2-[2,3-Dihydro-3-(methylamino)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone hydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of oxazolylquinolinones as inhibitors of IMPDH enzyme for treatment of transplant rejection and other IMPDH-associated disorders)

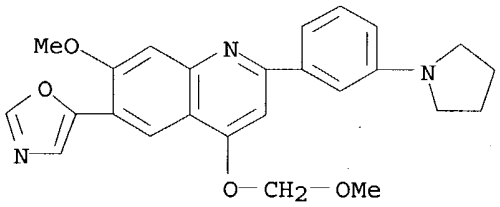
RN 371249-73-9 CAPLUS

CN Quinoline, 2-(3-bromophenyl)-7-methoxy-4-(methoxymethoxy)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



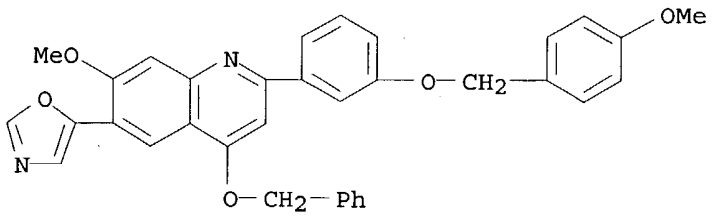
RN 371249-74-0 CAPLUS

CN Quinoline, 7-methoxy-4-(methoxymethoxy)-6-(5-oxazolyl)-2-[3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)

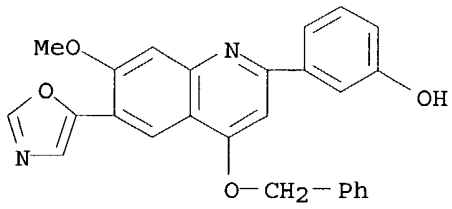


RN 371251-26-2 CAPLUS

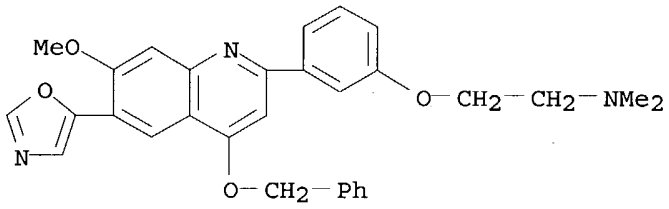
CN Quinoline, 7-methoxy-2-[3-[(4-methoxyphenyl)methoxy]phenyl]-6-(5-oxazolyl)-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



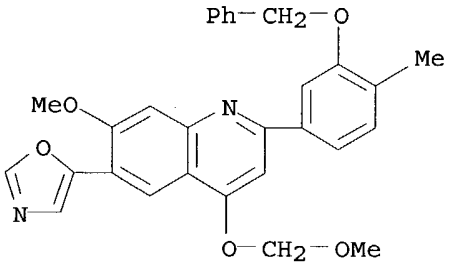
RN 371251-27-3 CAPLUS
CN Phenol, 3-[7-methoxy-6-(5-oxazolyl)-4-(phenylmethoxy)-2-quinolinyl]- (9CI) (CA INDEX NAME)



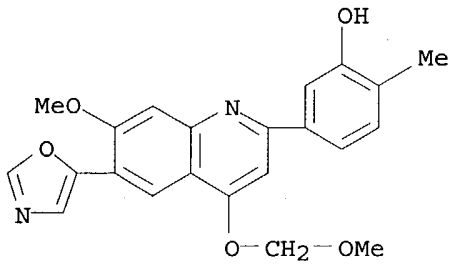
RN 371251-28-4 CAPLUS
CN Ethanamine, 2-[3-[7-methoxy-6-(5-oxazolyl)-4-(phenylmethoxy)-2-quinolinyl]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



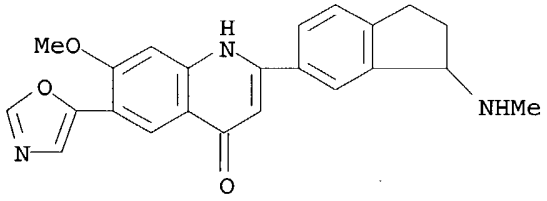
RN 371251-69-3 CAPLUS
CN Quinoline, 7-methoxy-4-(methoxymethoxy)-2-[4-methyl-3-(phenylmethoxy)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371251-71-7 CAPLUS
CN Phenol, 5-[7-methoxy-4-(methoxymethoxy)-6-(5-oxazolyl)-2-quinolinyl]-2-methyl- (9CI) (CA INDEX NAME)

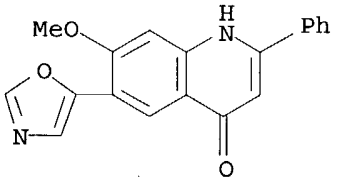


RN 371252-10-7 CAPLUS
CN 4(1H)-Quinolinone, 2-[2,3-dihydro-3-(methylamino)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-, hydrochloride (9CI) (CA INDEX NAME)



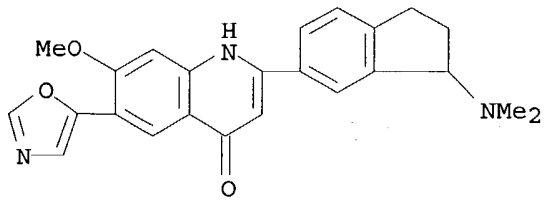
● x HCl

IT **371249-67-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-(5-oxazolyl)-4(1H)-quinolinones as inhibitors of IMPDH enzyme)
RN 371249-67-1 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-phenyl- (9CI) (CA INDEX NAME)



IT **371249-88-6P**, 2-[2,3-Dihydro-3-(dimethylamino)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of oxazolylquinolinones as inhibitors of IMPDH enzyme for treatment of transplant rejection and other IMPDH-associated disorders)
RN 371249-88-6 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-(dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

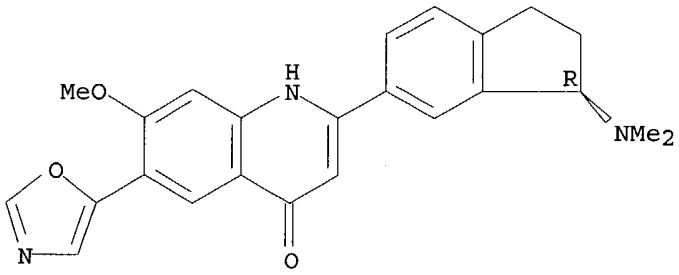


IT **371251-98-8P**, (R)-2-[3-(Dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371251-99-9P**, (S)-2-[3-(Dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolylquinolinones as inhibitors of IMPDH enzyme for treatment of transplant rejection and other IMPDH-associated disorders)

RN 371251-98-8 CAPLUS

CN 4(1H)-Quinolinone, 2-[(3R)-3-(dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

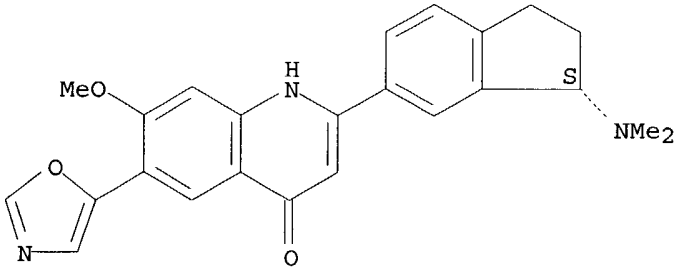
Absolute stereochemistry.



RN 371251-99-9 CAPLUS

CN 4(1H)-Quinolinone, 2-[(3S)-3-(dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



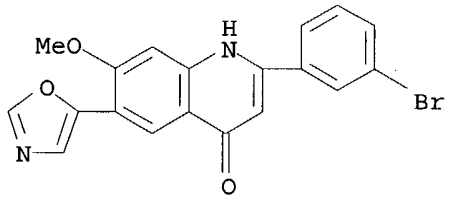
IT **371249-69-3P 371249-72-8P 371249-75-1P**
371249-77-3P 371249-80-8P, 3-[1,4-Dihydro-7-methoxy-6-(5-

oxazolyl)-4-oxo-2-quinolinyl]benzoic acid methyl ester **371249-84-2P**, 2-[3-(Hydroxymethyl)phenyl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371249-85-3P**, 2-[3-(1-Hydroxy-1-methylethyl)phenyl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371249-86-4P**, 7-Methoxy-2-[3-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)-4(1H)-quinolinone **371249-91-1P**, 7-Methoxy-2-[3-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)-4(1H)-quinolinone trifluoroacetic acid salt **371249-93-3P**, 2-(2,3-Dihydro-3-methoxy-1H-inden-5-yl)-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371249-97-7P 371249-98-8P 371249-99-9P 371250-00-9P 371250-01-0P 371250-03-2P 371250-04-3P 371250-05-4P 371250-06-5P 371250-07-6P 371250-09-8P 371250-11-2P 371250-12-3P 371250-14-5P 371250-15-6P 371250-16-7P 371250-17-8P 371250-18-9P 371250-20-3P 371250-22-5P 371250-23-6P 371250-25-8P 371250-27-0P 371250-29-2P 371250-31-6P 371250-33-8P 371250-35-0P 371250-37-2P 371250-39-4P 371250-41-8P 371250-43-0P 371250-45-2P 371250-47-4P 371250-48-5P 371250-49-6P 371250-50-9P 371250-51-0P 371250-52-1P 371250-53-2P 371250-54-3P 371250-55-4P 371250-56-5P 371250-57-6P 371250-58-7P**, 7-Methoxy-2-[3-[(4-methoxyphenyl)methoxy]phenyl]-6-(5-oxazolyl)-4(1H)-quinolinone **371250-59-8P**, 2-(3-Hydroxyphenyl)-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371250-60-1P**, 2-[3-[2-(Dimethylamino)ethoxy]phenyl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371250-61-2P**, 2-(2,3-Dihydro-2-methyl-1H-isoindol-5-yl)-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371250-62-3P 371250-63-4P 371250-64-5P 371250-65-6P 371250-66-7P 371250-67-8P 371250-68-9P 371250-69-0P 371250-70-3P 371250-71-4P 371250-72-5P 371250-73-6P 371250-74-7P 371250-75-8P 371250-76-9P 371250-77-0P 371250-78-1P 371250-79-2P 371250-80-5P 371250-81-6P 371250-82-7P 371250-83-8P 371250-84-9P 371250-85-0P 371250-86-1P 371250-87-2P 371250-88-3P 371250-89-4P 371250-90-7P 371250-91-8P 371250-92-9P 371250-93-0P 371250-94-1P 371250-95-2P 371250-96-3P 371250-97-4P 371250-98-5P 371250-99-6P 371251-00-2P 371251-01-3P 371251-02-4P 371251-03-5P 371251-04-6P 371251-05-7P 371251-06-8P 371251-12-6P**, 2-[2,3-Dihydro-3-(methylamino)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371251-13-7P**, 2-[2,3-Dihydro-3-(1-pyrrolidinyl)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371251-16-0P**, 2-[2,3-Dihydro-3-(4-morpholinyl)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371251-19-3P**, 2-[3-(1-Azetidinyl)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371251-21-7P**, 7-Methoxy-2-[(3-methylphenyl)methyl]-6-(5-oxazolyl)-4(1H)-quinolinone **371251-29-5P**, 7-Methoxy-2-[3-[2-(4-morpholinyl)ethoxy]phenyl]-6-(5-oxazolyl)-4(1H)-quinolinone **371251-36-4P**, 3-Hydroxy-7-methoxy-6-(5-oxazolyl)-2-phenyl-4(1H)-quinolinone **371251-40-0P**, 3-Hydroxy-7-methoxy-2-(2-methylphenyl)-6-(5-oxazolyl)-4(1H)-quinolinone **371251-41-1P**, 3-Hydroxy-7-methoxy-2-(3-methylphenyl)-6-(5-oxazolyl)-4(1H)-quinolinone **371251-42-2P**, 3-Hydroxy-7-methoxy-2-(4-methylphenyl)-6-(5-oxazolyl)-4(1H)-quinolinone **371251-43-3P**, 2-(3,4-Dimethylphenyl)-

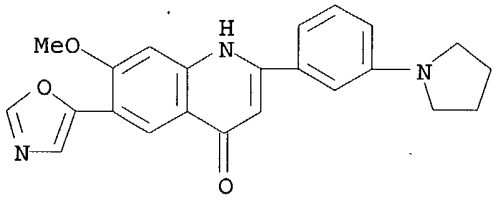
3-hydroxy-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371251-44-4P**
, 3-Hydroxy-7-methoxy-2-(4-methoxyphenyl)-6-(5-oxazolyl)-4(1H)-quinolinone
371251-45-5P, 2-(4-Chloro-3-methylphenyl)-3-hydroxy-7-methoxy-6-(5-
oxazolyl)-4(1H)-quinolinone **371251-47-7P**, 2-(4-Chloro-3-
methylphenyl)-3-hydroxy-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone
trifluoroacetate **371251-48-8P**, 2-(2,3-Dihydro-3-methoxy-1H-inden-
5-yl)-3-hydroxy-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone
371251-50-2P, 3-Hydroxy-7-methoxy-2-[2-(methylsulfonyl)phenyl]-6-
(5-oxazolyl)-4(1H)-quinolinone **371251-51-3P**,
2-[1-(Dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-
4(1H)-quinolinone **371251-53-5P**, 2-(2,3-Dihydro-3-methoxy-2,2-
dimethyl-1H-inden-5-yl)-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone
371251-55-7P, 2-(2,3-Dihydro-3-methoxy-1,1-dimethyl-1H-inden-5-yl)-
7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371251-57-9P**,
trans-2-[3-(Dimethylamino)-2,3-dihydro-2-methoxy-1H-inden-5-yl]-7-methoxy-
6-(5-oxazolyl)-4(1H)-quinolinone **371251-60-4P**,
trans-2-[3-(Dimethylamino)-2,3-dihydro-2-hydroxy-1H-inden-5-yl]-7-methoxy-
6-(5-oxazolyl)-4(1H)-quinolinone **371251-61-5P**,
trans-6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1-
(dimethylamino)-2,3-dihydro-1H-inden-2-ol methylcarbamate
371251-62-6P, Ethylcarbamic acid trans-6-[1,4-dihydro-7-methoxy-6-
(5-oxazolyl)-4-oxo-2-quinolinyl]-1-(dimethylamino)-2,3-dihydro-1H-inden-2-
yl ester **371251-63-7P**, (1-Methylethyl)carbamic acid
trans-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1-
(dimethylamino)-2,3-dihydro-1H-inden-2-yl ester **371251-64-8P**,
(2-Chloroethyl)carbamic acid trans-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-
4-oxo-2-quinolinyl]-1-(dimethylamino)-2,3-dihydro-1H-inden-2-yl ester
371251-65-9P, Imidodicarbonic acid trans-6-[1,4-dihydro-7-methoxy-
6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1-(dimethylamino)-2,3-dihydro-1H-inden-
2-yl methyl ester **371251-66-0P**, 7-Methoxy-2-[4-methyl-3-
(phenylmethoxy)phenyl]-6-(5-oxazolyl)-4(1H)-quinolinone
371251-68-2P, 2-(3-Hydroxy-4-methylphenyl)-7-methoxy-6-(5-
oxazolyl)-4(1H)-quinolinone **371251-70-6P**, 7-Methoxy-2-[3-(2-
methoxyethoxy)-4-methylphenyl]-6-(5-oxazolyl)-4(1H)-quinolinone
371251-72-8P, 7-Methoxy-2-[4-methyl-3-[(1-methyl-3-
piperidinyl)methoxy]phenyl]-6-(5-oxazolyl)-4(1H)-quinolinone
371251-73-9P 371251-74-0P 371251-75-1P
371251-76-2P 371251-77-3P 371251-78-4P
371251-79-5P 371251-80-8P 371251-81-9P
371251-82-0P, 6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-
quinolinyl]-2,3-dihydro-N,N,N-trimethyl-1H-inden-1-aminium
371251-83-1P, 2-[3-(Dimethylamino)-2,3-dihydro-1H-inden-5-yl]-3-
hydroxy-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371251-92-2P**,
2-(2,3-Dihydro-3-hydroxy-1H-inden-5-yl)-7-methoxy-6-(5-oxazolyl)-4(1H)-
quinolinone **371251-94-4P**, 2-(3,4-Dimethoxyphenyl)-7-methoxy-6-(5-
oxazolyl)-4(1H)-quinolinone **371251-97-7P**, 2-[5-
[(Dimethylamino)methyl]-3-thienyl]-7-methoxy-6-(5-oxazolyl)-4(1H)-
quinolinone **371252-06-1P 371252-09-4P**,
N-[6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-
1H-inden-1-yl]-N-methylacetamide **371252-11-8P**,
N-[6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-
1H-inden-1-yl]-2-methoxy-N-methylacetamide **371252-12-9P**,
N-[6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-
1H-inden-1-yl]-N-methyl-1H-imidazol-1-acetamide **371252-13-0P**,
N-[6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-
1H-inden-1-yl]-N-methyl-4-morpholineacetamide **371252-14-1P**,
N-[6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-
1H-inden-1-yl]-N-methyl-2H-1,2,3-triazol-2-acetamide **371252-15-2P**
, N-[6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-
dihydro-1H-inden-1-yl]-N-methyl-1H-1,2,3-triazol-1-acetamide

371252-16-3P 371252-17-4P, Dimethylcarbamic acid 6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl ester **371252-18-5P**, 2-[2,3-Dihydro-1-(1-pyrrolidinyl)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone **371252-19-6P**, 4-Acetyl-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-3,4-dihydro-2H-1,4-benzoxazine **371252-21-0P**, 7-Methoxy-2-[4-(4-morpholinylmethyl)phenyl]-6-(5-oxazolyl)-4(1H)-quinolinone **371252-22-1P**, 6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-N,N,N-trimethyl-1H-inden-1-aminium iodide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolylquinolinones as inhibitors of IMPDH enzyme for treatment of transplant rejection and other IMPDH-associated disorders)

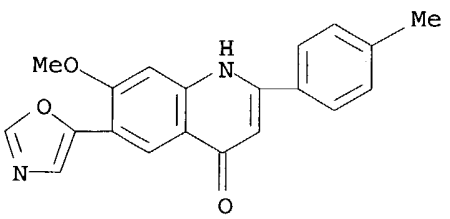
RN 371249-69-3 CAPLUS
CN 4(1H)-Quinolinone, 2-(3-bromophenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371249-72-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)

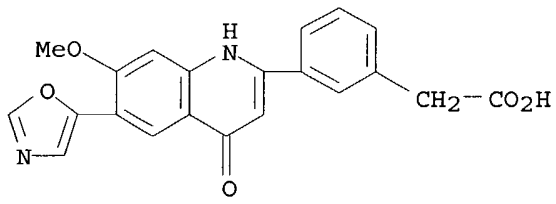


RN 371249-75-1 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-(4-methylphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

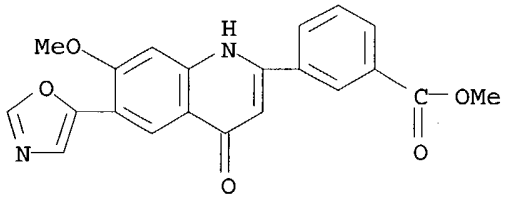


RN 371249-77-3 CAPLUS
CN Benzeneacetic acid, 3-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-

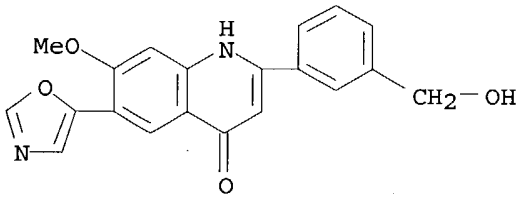
quinolinyl]- (9CI) (CA INDEX NAME)



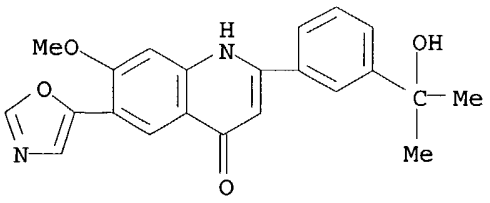
RN 371249-80-8 CAPLUS
CN Benzoic acid, 3-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-, methyl ester (9CI) (CA INDEX NAME)



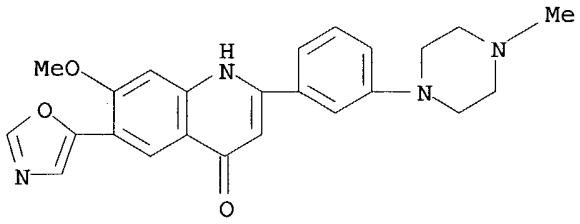
RN 371249-84-2 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-(hydroxymethyl)phenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371249-85-3 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-(1-hydroxy-1-methylethyl)phenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



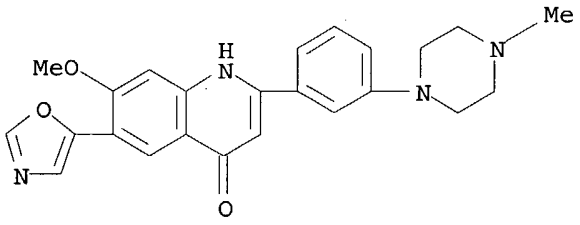
RN 371249-86-4 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371249-91-1 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

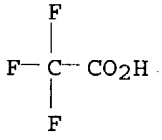
CM 1

CRN 371249-86-4
CMF C24 H24 N4 O3

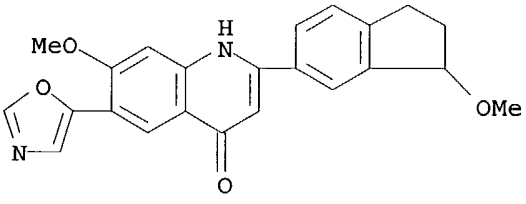


CM 2

CRN 76-05-1
CMF C2 H F3 O2

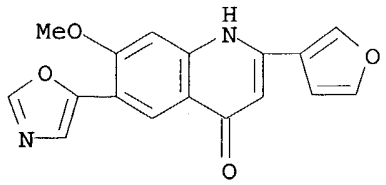


RN 371249-93-3 CAPLUS
CN 4(1H)-Quinolinone, 2-(2,3-dihydro-3-methoxy-1H-inden-5-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

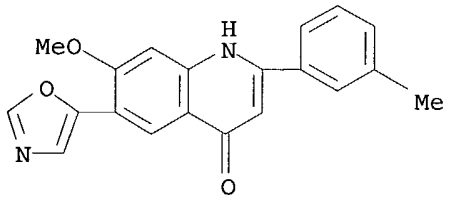


RN 371249-97-7 CAPLUS

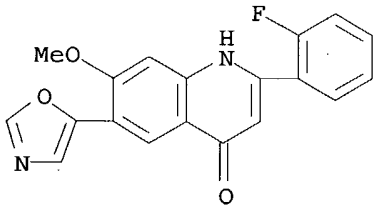
CN 4(1H)-Quinolinone, 2-(3-furanyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



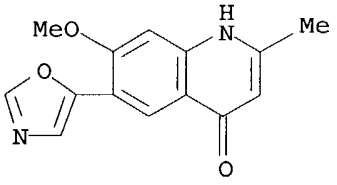
RN 371249-98-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-(3-methylphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



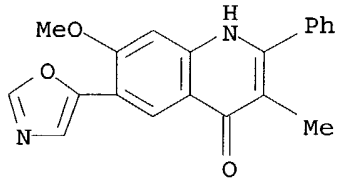
RN 371249-99-9 CAPLUS
CN 4(1H)-Quinolinone, 2-(2-fluorophenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



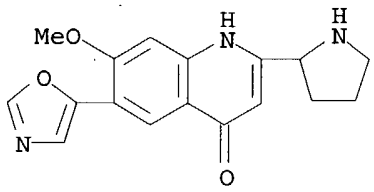
RN 371250-00-9 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-methyl-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



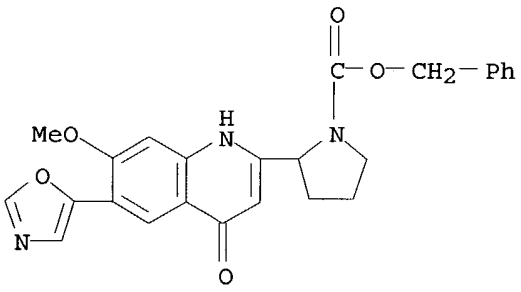
RN 371250-01-0 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-3-methyl-6-(5-oxazolyl)-2-phenyl- (9CI) (CA INDEX NAME)



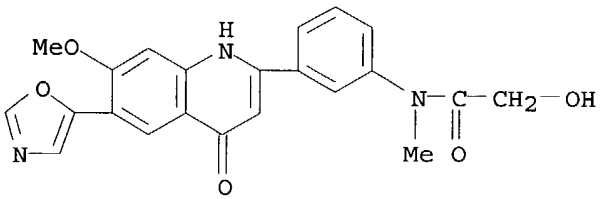
RN 371250-03-2 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(2-pyrrolidinyl)- (9CI) (CA INDEX NAME)



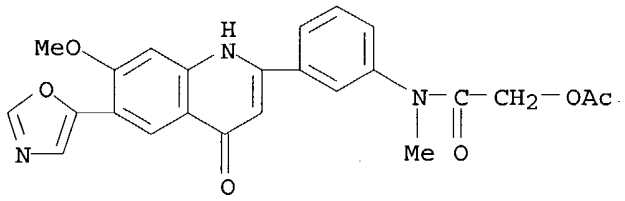
RN 371250-04-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



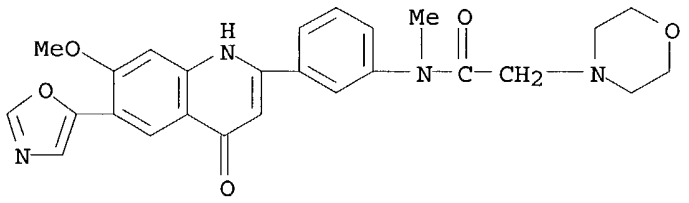
RN 371250-05-4 CAPLUS
CN Acetamide, N-[3-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]phenyl]-2-hydroxy-N-methyl- (9CI) (CA INDEX NAME)



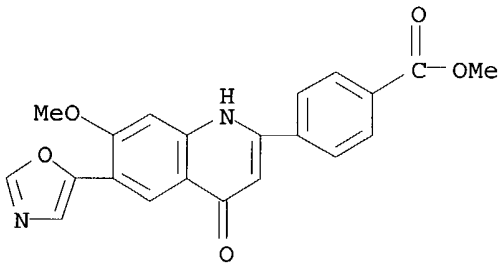
RN 371250-06-5 CAPLUS
CN Acetamide, 2-(acetyloxy)-N-[3-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



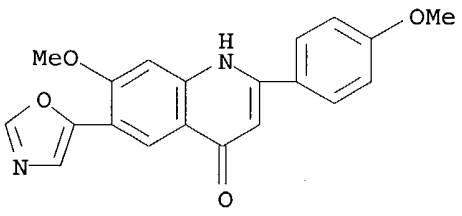
RN 371250-07-6 CAPLUS
CN 4-Morpholineacetamide, N-[3-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



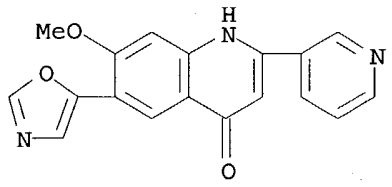
RN 371250-09-8 CAPLUS
CN Benzoic acid, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-, methyl ester (9CI) (CA INDEX NAME)



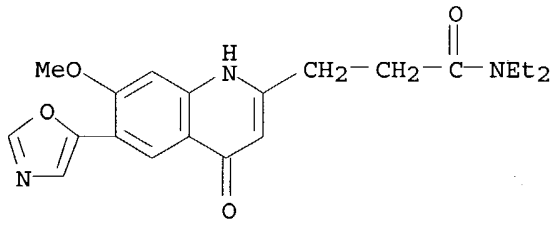
RN 371250-11-2 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-(4-methoxyphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



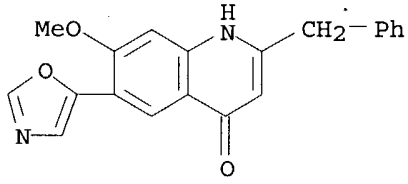
RN 371250-12-3 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



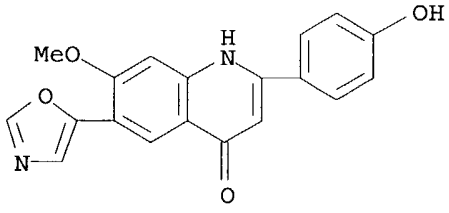
RN 371250-14-5 CAPLUS
CN 2-Quinolinepropanamide, N,N-diethyl-1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo- (9CI) (CA INDEX NAME)



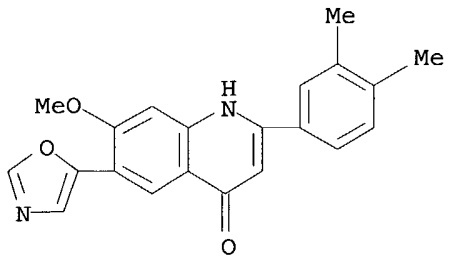
RN 371250-15-6 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(phenylmethyl)- (9CI) (CA INDEX NAME)



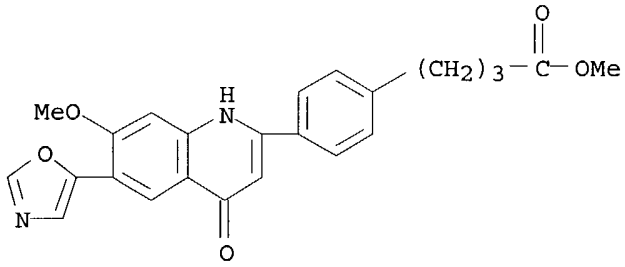
RN 371250-16-7 CAPLUS
CN 4(1H)-Quinolinone, 2-(4-hydroxyphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



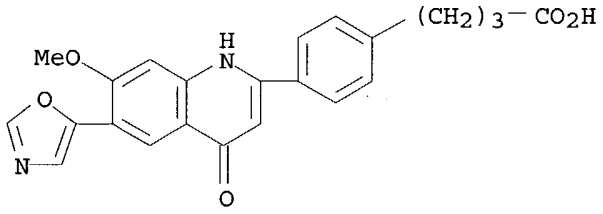
RN 371250-17-8 CAPLUS
CN 4(1H)-Quinolinone, 2-(3,4-dimethylphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



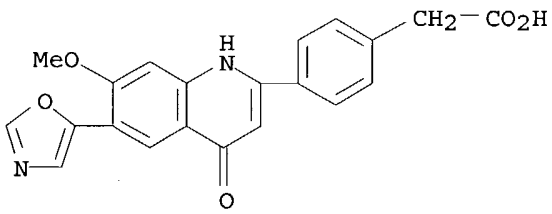
RN 371250-18-9 CAPLUS
CN Benzenebutanoic acid, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 371250-20-3 CAPLUS
CN Benzenebutanoic acid, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)

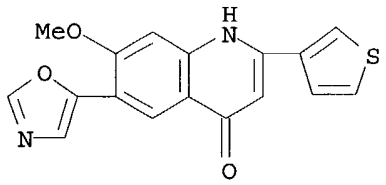


RN 371250-22-5 CAPLUS
CN Benzeneacetic acid, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)

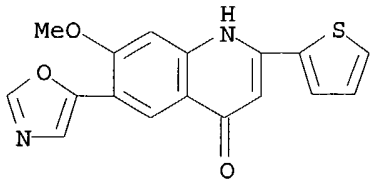


RN 371250-23-6 CAPLUS

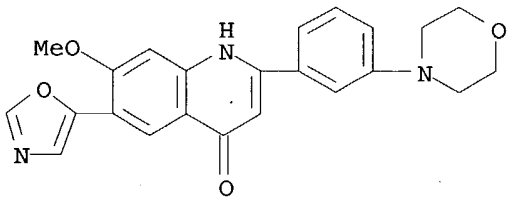
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(3-thienyl)- (9CI) (CA INDEX NAME)



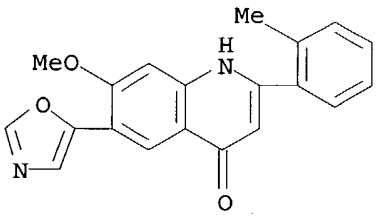
RN 371250-25-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)



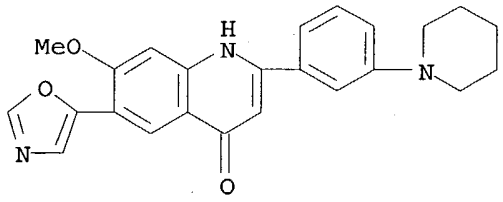
RN 371250-27-0 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(4-morpholinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



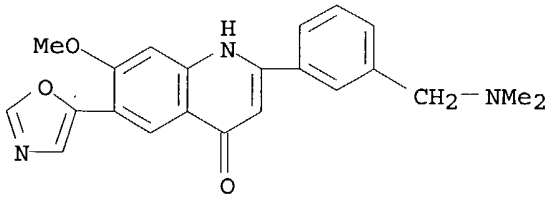
RN 371250-29-2 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-(2-methylphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



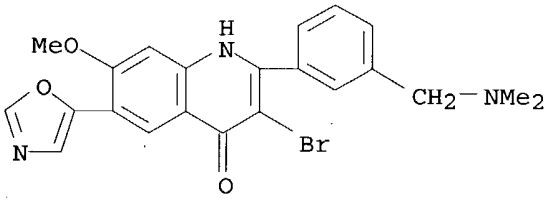
RN 371250-31-6 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[3-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



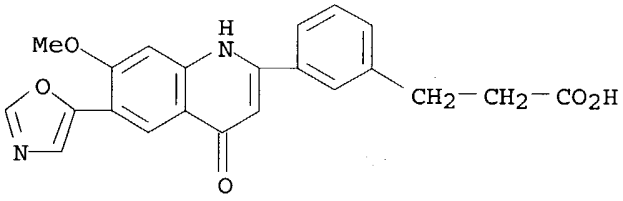
RN 371250-33-8 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-[(dimethylamino)methyl]phenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



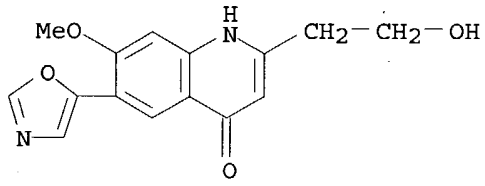
RN 371250-35-0 CAPLUS
CN 4(1H)-Quinolinone, 3-bromo-2-[3-[(dimethylamino)methyl]phenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



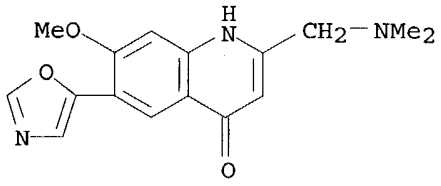
RN 371250-37-2 CAPLUS
CN Benzenepropanoic acid, 3-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)



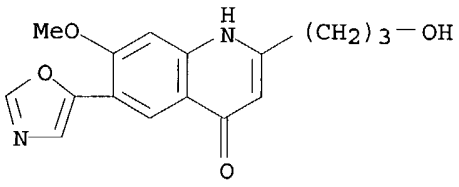
RN 371250-39-4 CAPLUS
CN 4(1H)-Quinolinone, 2-(2-hydroxyethyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



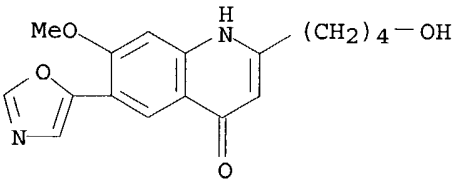
RN 371250-41-8 CAPLUS
CN 4(1H)-Quinolinone, 2-[(dimethylamino)methyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



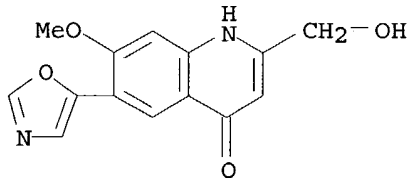
RN 371250-43-0 CAPLUS
CN 4(1H)-Quinolinone, 2-(3-hydroxypropyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



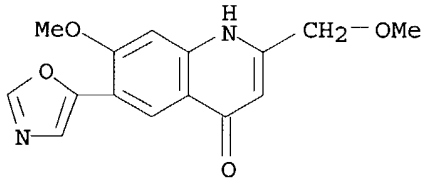
RN 371250-45-2 CAPLUS
CN 4(1H)-Quinolinone, 2-(4-hydroxybutyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



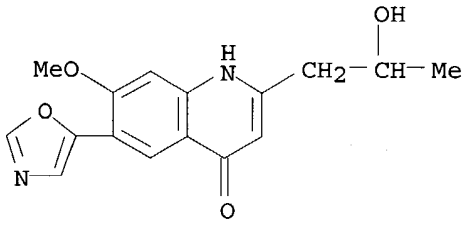
RN 371250-47-4 CAPLUS
CN 4(1H)-Quinolinone, 2-(hydroxymethyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



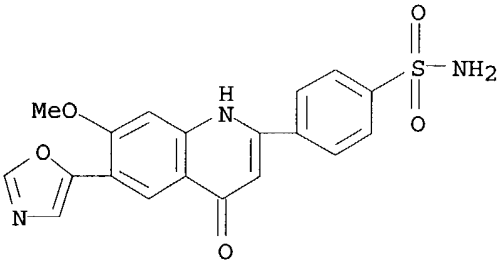
RN 371250-48-5 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-(methoxymethyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



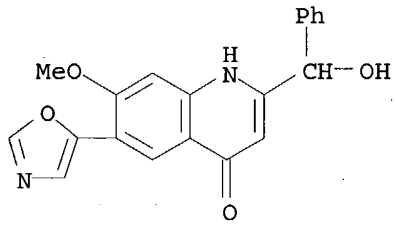
RN 371250-49-6 CAPLUS
CN 4(1H)-Quinolinone, 2-(2-hydroxypropyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



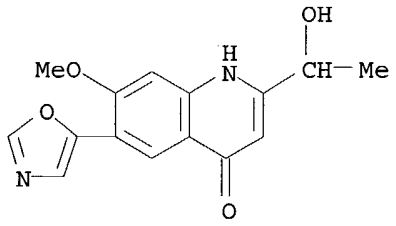
RN 371250-50-9 CAPLUS
CN Benzenesulfonamide, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)



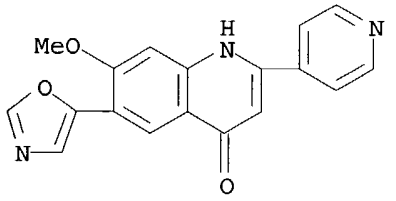
RN 371250-51-0 CAPLUS
CN 4(1H)-Quinolinone, 2-(hydroxyphenylmethyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371250-52-1 CAPLUS
CN 4(1H)-Quinolinone, 2-(1-hydroxyethyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

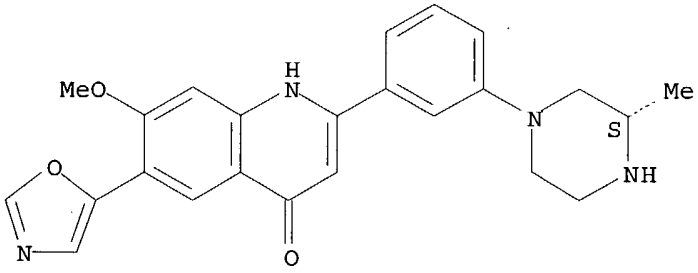


RN 371250-53-2 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



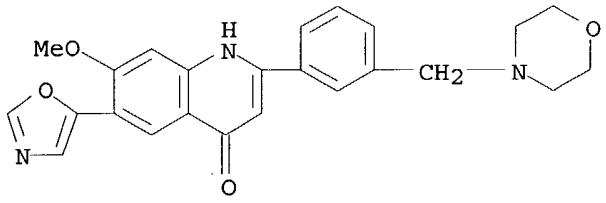
RN 371250-54-3 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-[(3S)-3-methyl-1-piperazinyl]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

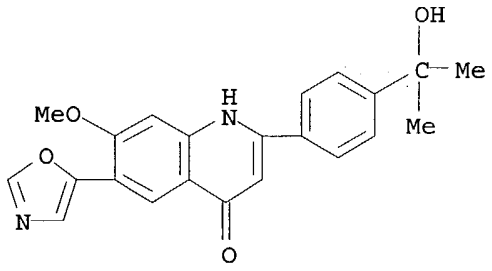


RN 371250-55-4 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(4-morpholinylmethyl)phenyl]-6-(5-

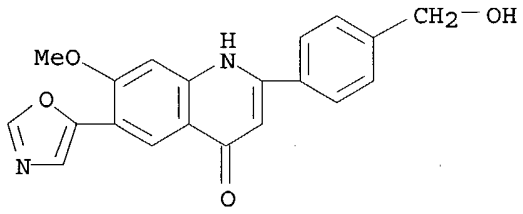
oxazolyl)- (9CI) (CA INDEX NAME)



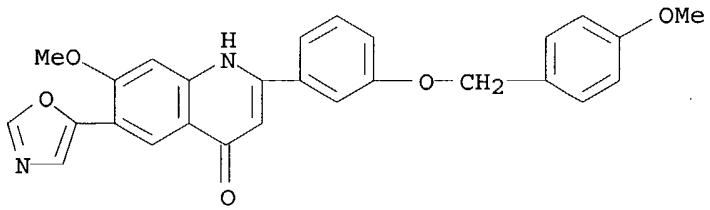
RN 371250-56-5 CAPLUS
CN 4(1H)-Quinolinone, 2-[4-(1-hydroxy-1-methylethyl)phenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371250-57-6 CAPLUS
CN 4(1H)-Quinolinone, 2-[4-(hydroxymethyl)phenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

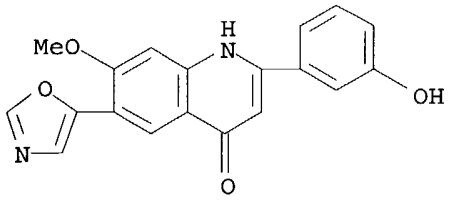


RN 371250-58-7 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-[(4-methoxyphenyl)methoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

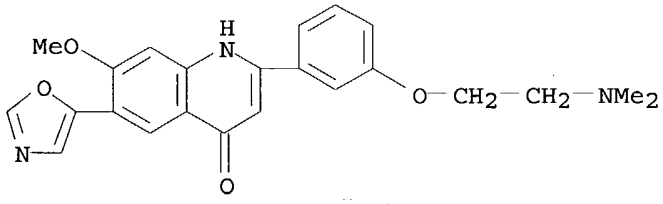


RN 371250-59-8 CAPLUS

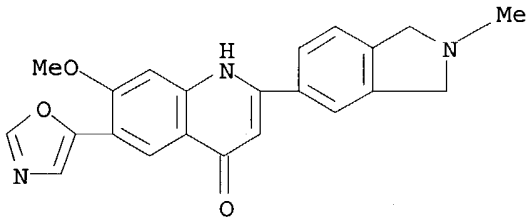
CN 4(1H)-Quinolinone, 2-(3-hydroxyphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



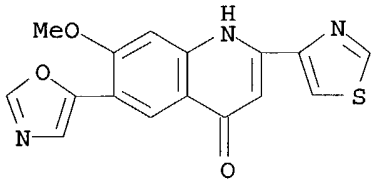
RN 371250-60-1 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-[2-(dimethylamino)ethoxy]phenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



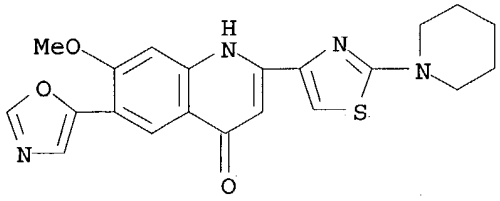
RN 371250-61-2 CAPLUS
CN 4(1H)-Quinolinone, 2-(2,3-dihydro-2-methyl-1H-isoindol-5-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



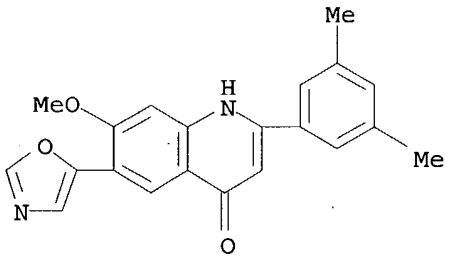
RN 371250-62-3 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(4-thiazolyl)- (9CI) (CA INDEX NAME)



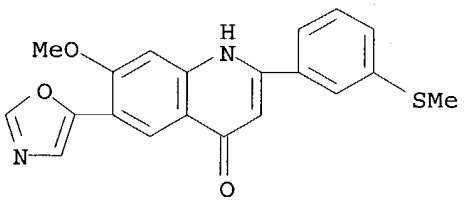
RN 371250-63-4 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[2-(1-piperidinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



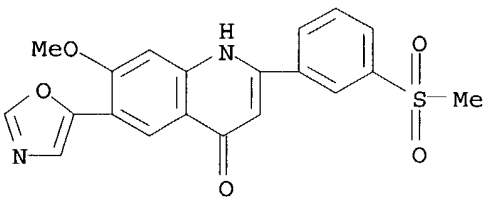
RN 371250-64-5 CAPLUS
CN 4(1H)-Quinolinone, 2-(3,5-dimethylphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



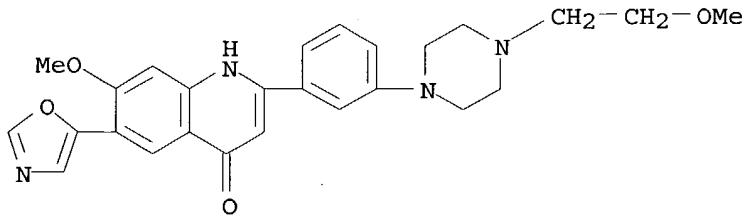
RN 371250-65-6 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(methylthio)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



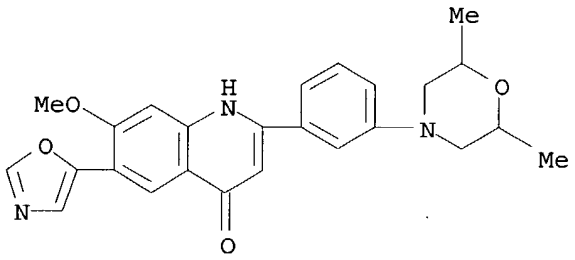
RN 371250-66-7 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(methylsulfonyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



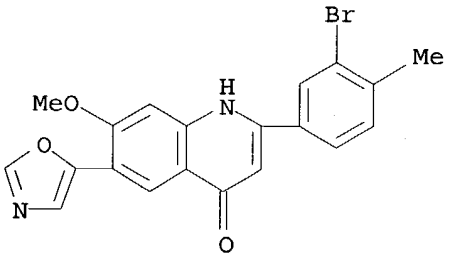
RN 371250-67-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



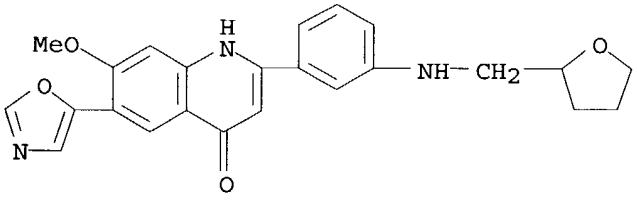
RN 371250-68-9 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-(2,6-dimethyl-4-morpholinyl)phenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



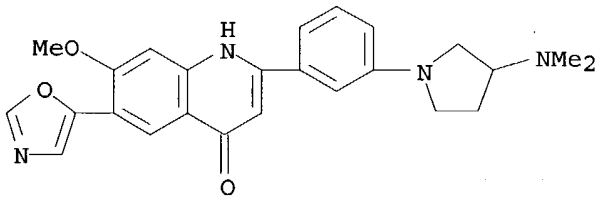
RN 371250-69-0 CAPLUS
CN 4(1H)-Quinolinone, 2-(3-bromo-4-methylphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



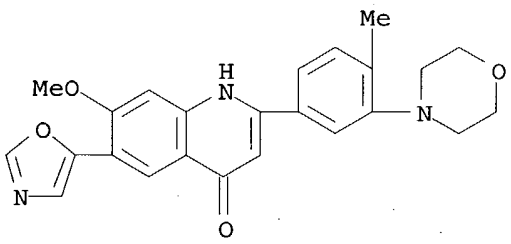
RN 371250-70-3 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[3-[[(tetrahydro-2-furanyl)methyl]amino]phenyl]- (9CI) (CA INDEX NAME)



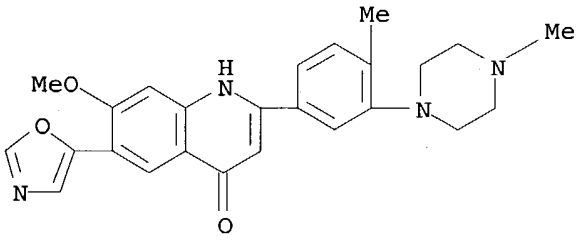
RN 371250-71-4 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



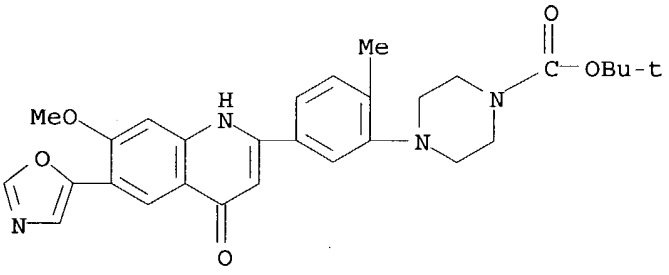
RN 371250-72-5 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(4-morpholinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



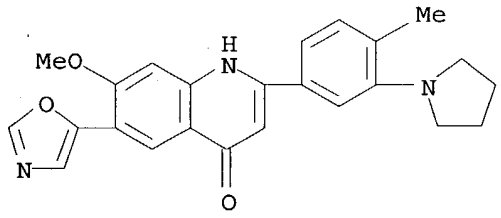
RN 371250-73-6 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



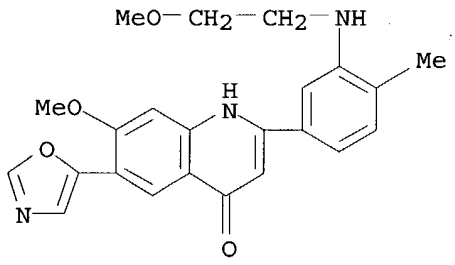
RN 371250-74-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[5-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2-methylphenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



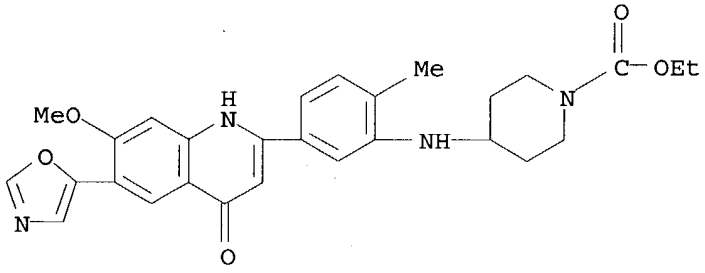
RN 371250-75-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(1-pyrrolidinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371250-76-9 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-[(2-methoxyethyl)amino]-4-methylphenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

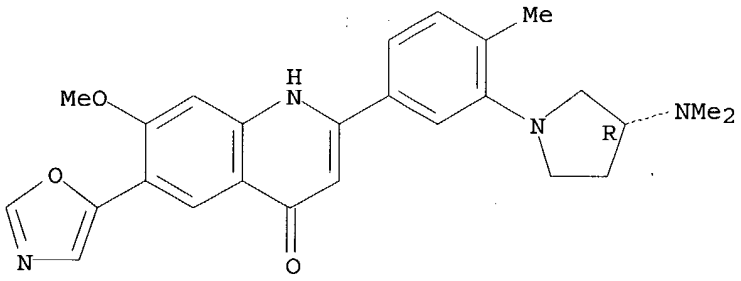


RN 371250-77-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[5-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2-methylphenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

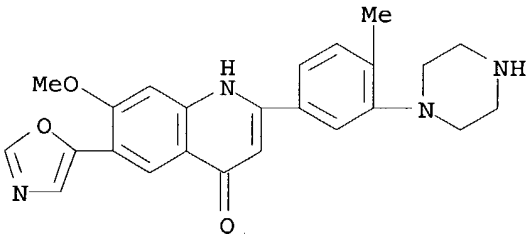


RN 371250-78-1 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-[(3R)-3-(dimethylamino)-1-pyrrolidinyl]-4-methylphenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

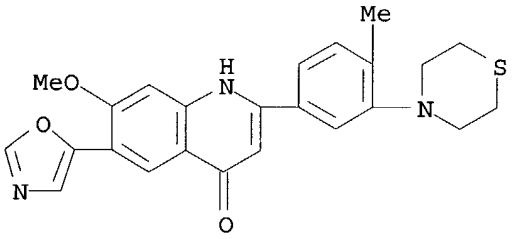
Absolute stereochemistry.



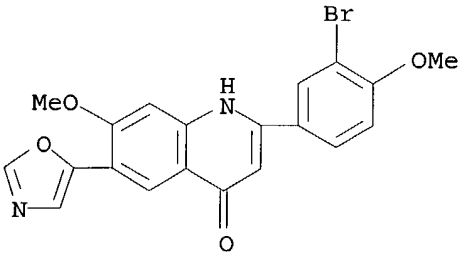
RN 371250-79-2 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(1-piperazinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



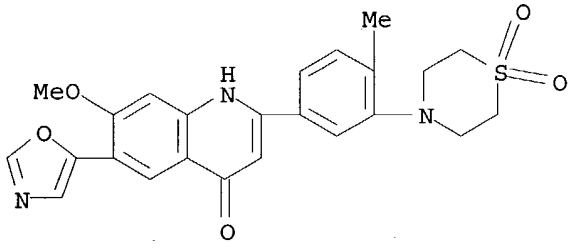
RN 371250-80-5 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(4-thiomorpholinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



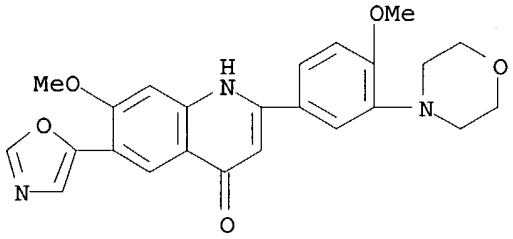
RN 371250-81-6 CAPLUS
CN 4(1H)-Quinolinone, 2-(3-bromo-4-methoxyphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371250-82-7 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-(1,1-dioxido-4-thiomorpholinyl)-4-methylphenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

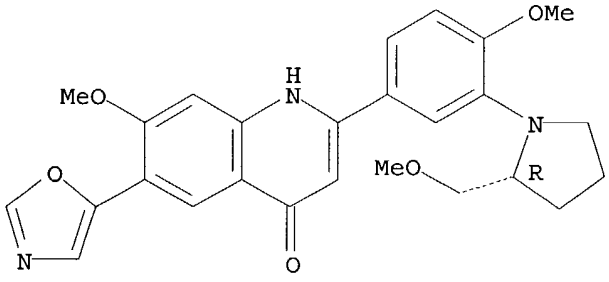


RN 371250-83-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methoxy-3-(4-morpholinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

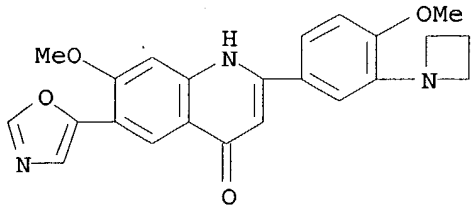


RN 371250-84-9 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methoxy-3-[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

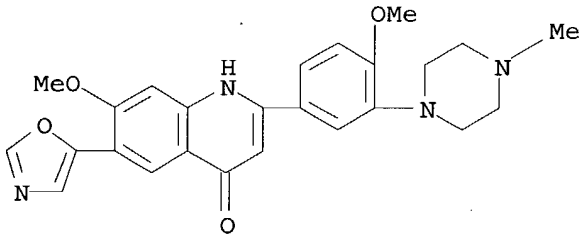
Absolute stereochemistry.



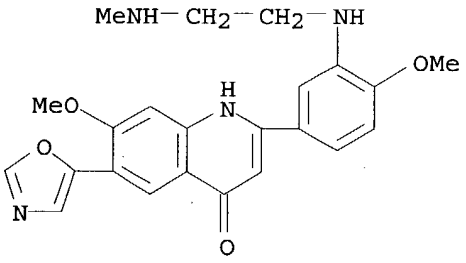
RN 371250-85-0 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-(1-azetidinyl)-4-methoxyphenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371250-86-1 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

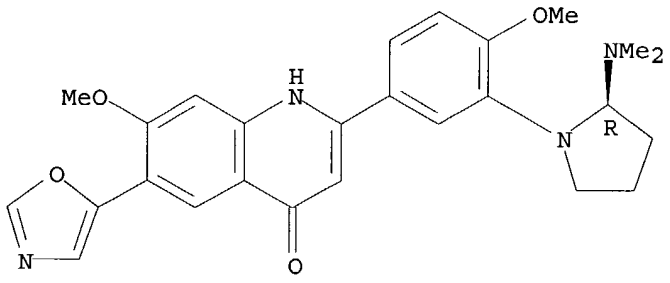


RN 371250-87-2 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methoxy-3-[[2-(methylamino)ethyl]amino]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

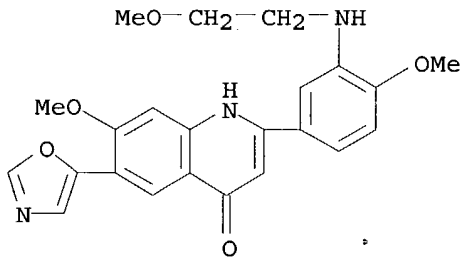


RN 371250-88-3 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-[(2R)-2-(dimethylamino)-1-pyrrolidinyl]-4-methoxyphenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

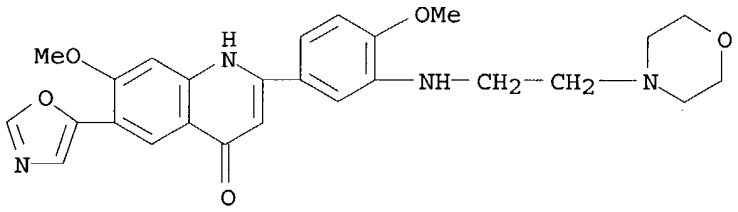
Absolute stereochemistry.



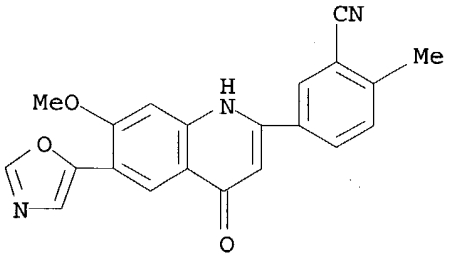
RN 371250-89-4 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methoxy-3-[(2-methoxyethyl)amino]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



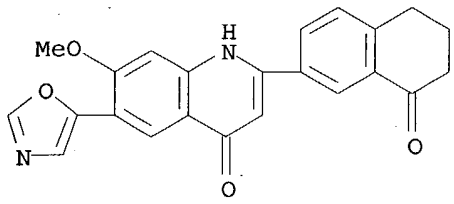
RN 371250-90-7 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methoxy-3-[[2-(4-morpholinyl)ethyl]amino]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



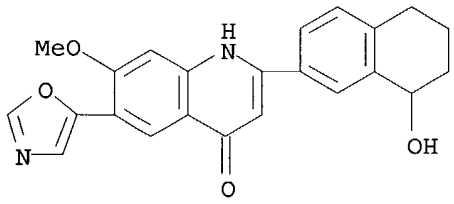
RN 371250-91-8 CAPLUS
CN Benzonitrile, 5-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2-methyl- (9CI) (CA INDEX NAME)



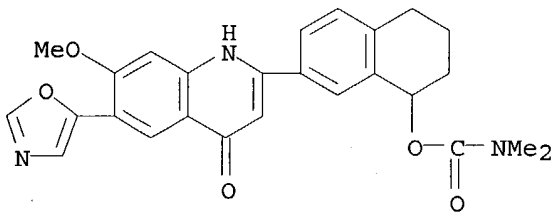
RN 371250-92-9 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(5,6,7,8-tetrahydro-8-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)



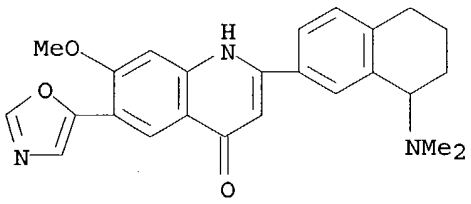
RN 371250-93-0 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(5,6,7,8-tetrahydro-8-hydroxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



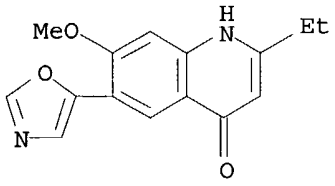
RN 371250-94-1 CAPLUS
CN Carbamic acid, dimethyl-, 7-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1,2,3,4-tetrahydro-1-naphthalenyl ester (9CI) (CA INDEX NAME)



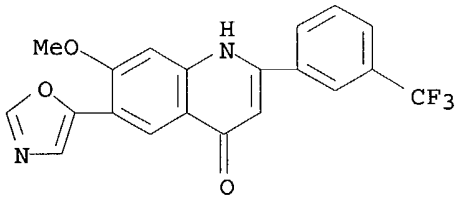
RN 371250-95-2 CAPLUS
CN 4(1H)-Quinolinone, 2-[8-(dimethylamino)-5,6,7,8-tetrahydro-2-naphthalenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



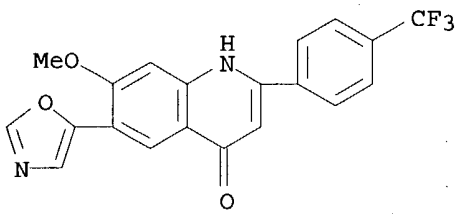
RN 371250-96-3 CAPLUS
CN 4(1H)-Quinolinone, 2-ethyl-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



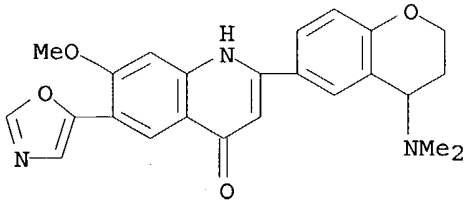
RN 371250-97-4 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



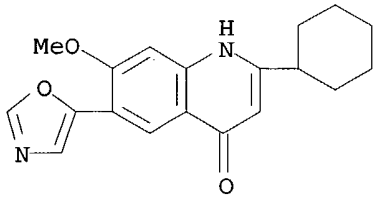
RN 371250-98-5 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



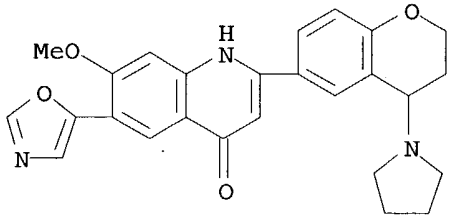
RN 371250-99-6 CAPLUS
CN 4(1H)-Quinolinone, 2-[4-(dimethylamino)-3,4-dihydro-2H-1-benzopyran-6-yl]- 7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



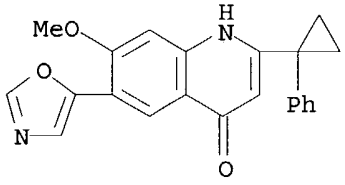
RN 371251-00-2 CAPLUS
CN 4(1H)-Quinolinone, 2-cyclohexyl-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



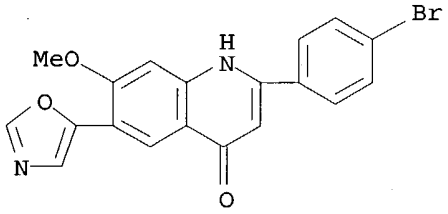
RN 371251-01-3 CAPLUS
CN 4(1H)-Quinolinone, 2-[3,4-dihydro-4-(1-pyrrolidinyl)-2H-1-benzopyran-6-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



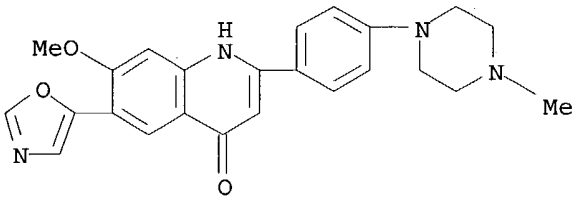
RN 371251-02-4 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(1-phenylcyclopropyl)- (9CI) (CA INDEX NAME)



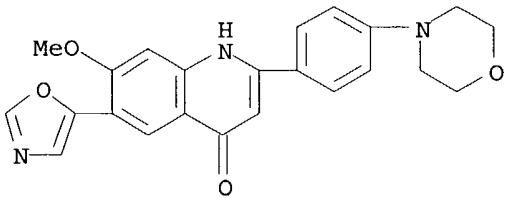
RN 371251-03-5 CAPLUS
CN 4(1H)-Quinolinone, 2-(4-bromophenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



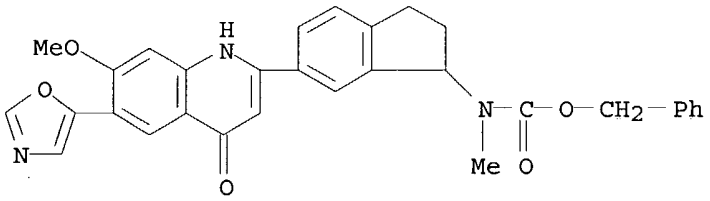
RN 371251-04-6 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



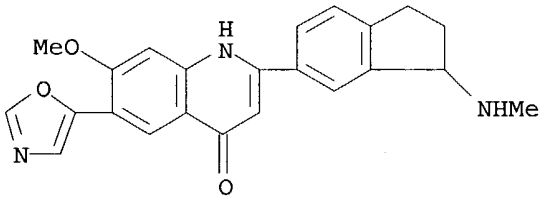
RN 371251-05-7 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-(4-morpholinyl)phenyl]-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)



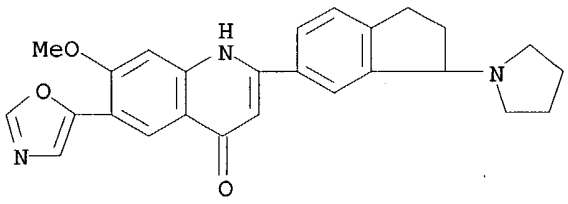
RN 371251-06-8 CAPLUS
CN Carbamic acid, [6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



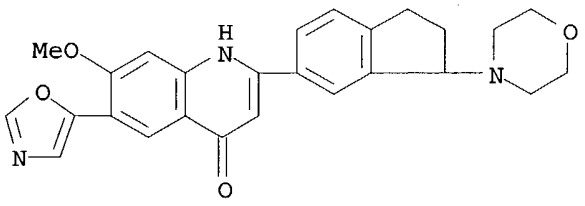
RN 371251-12-6 CAPLUS
CN 4(1H)-Quinolinone, 2-[2,3-dihydro-3-(methylamino)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



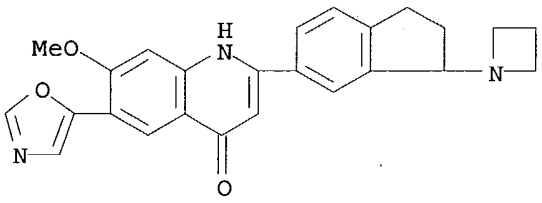
RN 371251-13-7 CAPLUS
CN 4(1H)-Quinolinone, 2-[2,3-dihydro-3-(1-pyrrolidinyl)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



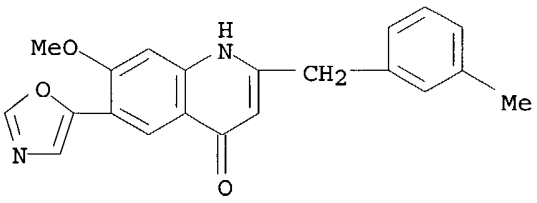
RN 371251-16-0 CAPLUS
CN 4(1H)-Quinolinone, 2-[2,3-dihydro-3-(4-morpholinyl)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



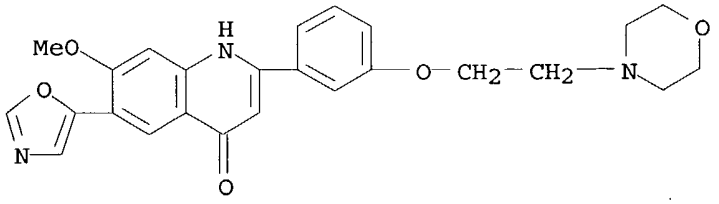
RN 371251-19-3 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-(1-azetidinyl)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



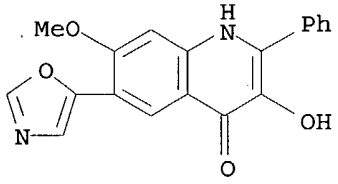
RN 371251-21-7 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[(3-methylphenyl)methyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



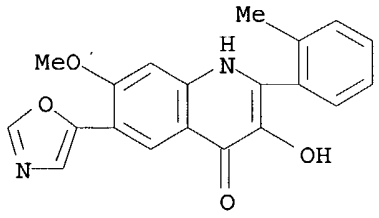
RN 371251-29-5 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-[2-(4-morpholinyl)ethoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



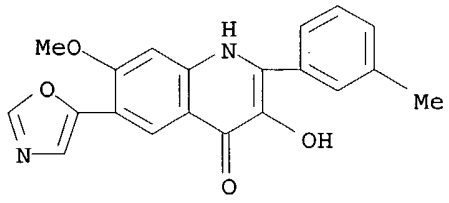
RN 371251-36-4 CAPLUS
CN 4(1H)-Quinolinone, 3-hydroxy-7-methoxy-6-(5-oxazolyl)-2-phenyl- (9CI) (CA INDEX NAME)



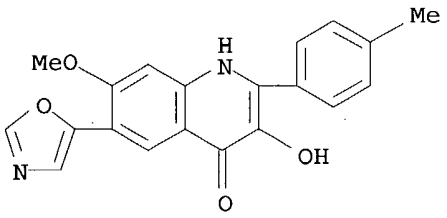
RN 371251-40-0 CAPLUS
CN 4(1H)-Quinolinone, 3-hydroxy-7-methoxy-2-(2-methylphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



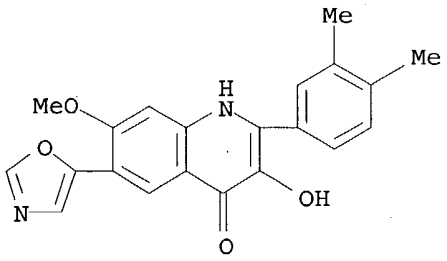
RN 371251-41-1 CAPLUS
CN 4(1H)-Quinolinone, 3-hydroxy-7-methoxy-2-(3-methylphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



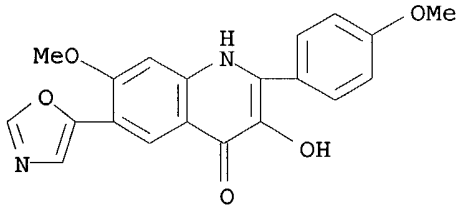
RN 371251-42-2 CAPLUS
CN 4(1H)-Quinolinone, 3-hydroxy-7-methoxy-2-(4-methylphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



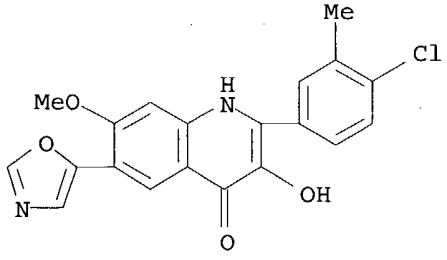
RN 371251-43-3 CAPLUS
CN 4(1H)-Quinolinone, 2-(3,4-dimethylphenyl)-3-hydroxy-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371251-44-4 CAPLUS
CN 4(1H)-Quinolinone, 3-hydroxy-7-methoxy-2-(4-methoxyphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371251-45-5 CAPLUS
CN 4(1H)-Quinolinone, 2-(4-chloro-3-methylphenyl)-3-hydroxy-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

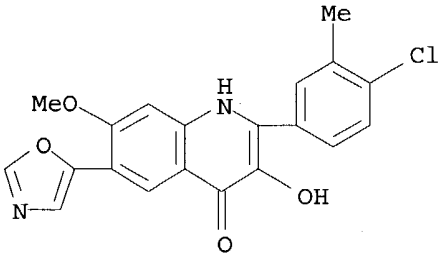


RN 371251-47-7 CAPLUS
CN 4(1H)-Quinolinone, 2-(4-chloro-3-methylphenyl)-3-hydroxy-7-methoxy-6-(5-

oxazolyl)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

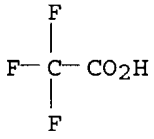
CM 1

CRN 371251-45-5
CMF C20 H15 Cl N2 O4

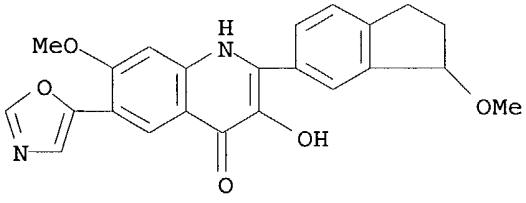


CM 2

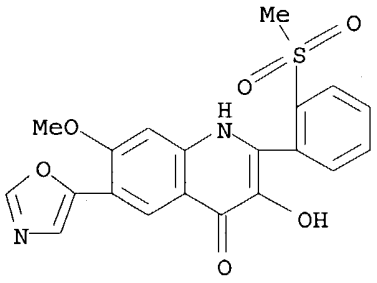
CRN 76-05-1
CMF C2 H F3 O2



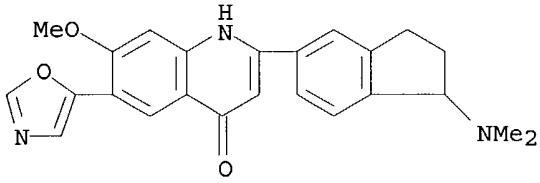
RN 371251-48-8 CAPLUS
CN 4(1H)-Quinolinone, 2-(2,3-dihydro-3-methoxy-1H-inden-5-yl)-3-hydroxy-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



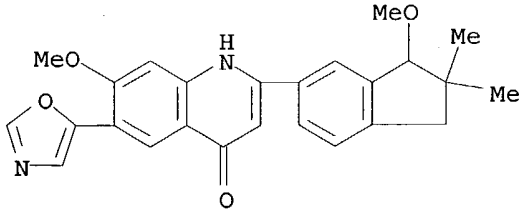
RN 371251-50-2 CAPLUS
CN 4(1H)-Quinolinone, 3-hydroxy-7-methoxy-2-[2-(methylsulfonyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



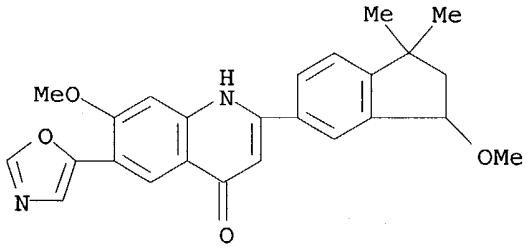
RN 371251-51-3 CAPLUS
CN 4(1H)-Quinolinone, 2-[1-(dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371251-53-5 CAPLUS
CN 4(1H)-Quinolinone, 2-(2,3-dihydro-3-methoxy-2,2-dimethyl-1H-inden-5-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



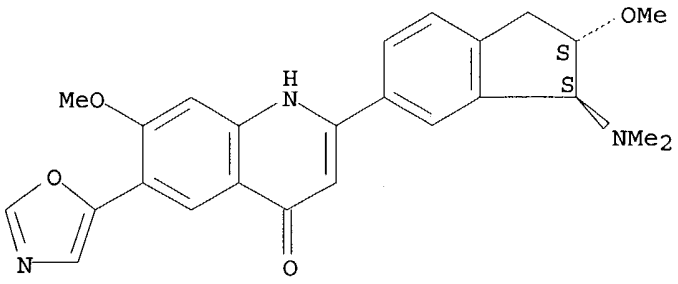
RN 371251-55-7 CAPLUS
CN 4(1H)-Quinolinone, 2-(2,3-dihydro-3-methoxy-1,1-dimethyl-1H-inden-5-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371251-57-9 CAPLUS
CN 4(1H)-Quinolinone, 2-[(2R,3R)-3-(dimethylamino)-2,3-dihydro-2-methoxy-1H-

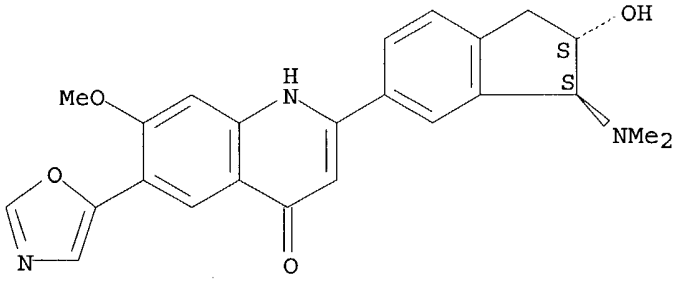
inden-5-yl]-7-methoxy-6-(5-oxazolyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



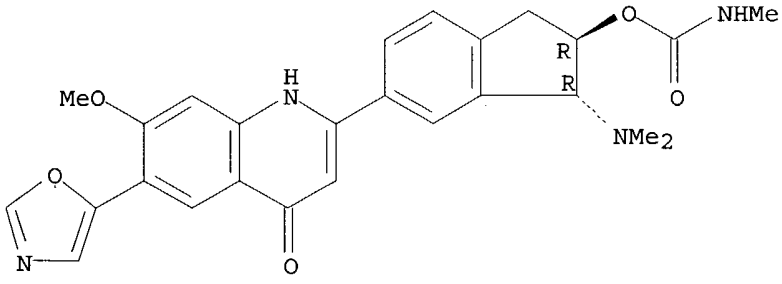
RN 371251-60-4 CAPLUS
CN 4(1H)-Quinolinone, 2-[(2R,3R)-3-(dimethylamino)-2,3-dihydro-2-hydroxy-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



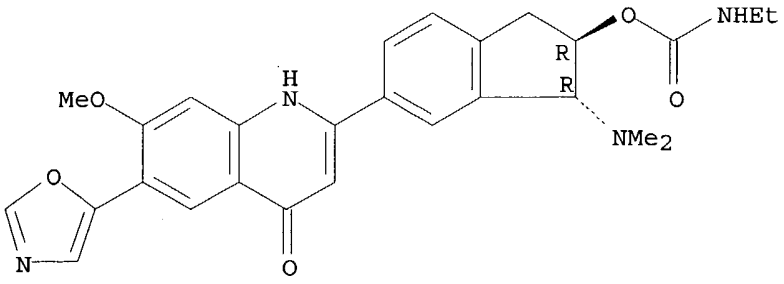
RN 371251-61-5 CAPLUS
CN 4(1H)-Quinolinone, 2-[(2R,3R)-3-(dimethylamino)-2,3-dihydro-2-[[(methylamino)carbonyl]oxy]-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



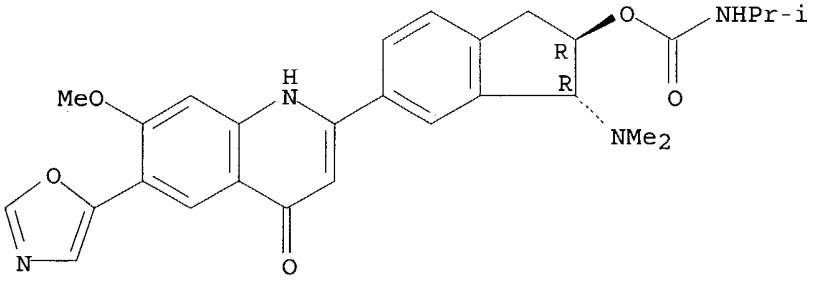
RN 371251-62-6 CAPLUS
CN Carbamic acid, ethyl-, (1R,2R)-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1-(dimethylamino)-2,3-dihydro-1H-inden-2-yl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



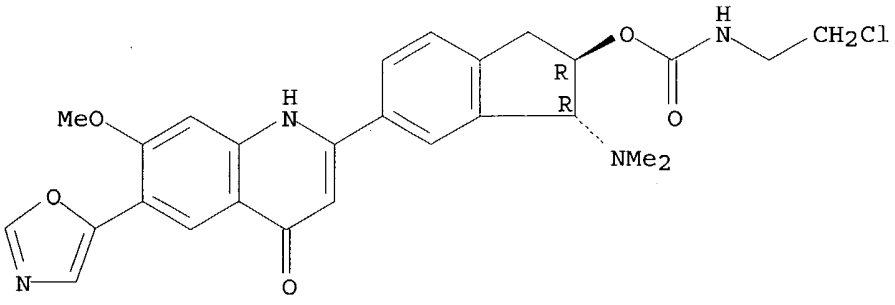
RN 371251-63-7 CAPLUS
CN Carbamic acid, (1-methylethyl)-, (1R,2R)-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1-(dimethylamino)-2,3-dihydro-1H-inden-2-yl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



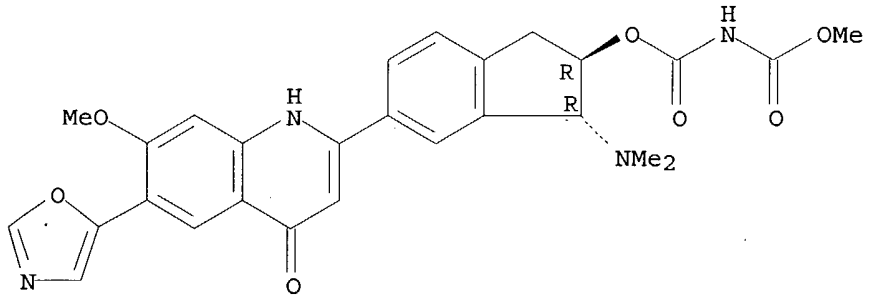
RN 371251-64-8 CAPLUS
CN Carbamic acid, (2-chloroethyl)-, (1R,2R)-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1-(dimethylamino)-2,3-dihydro-1H-inden-2-yl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

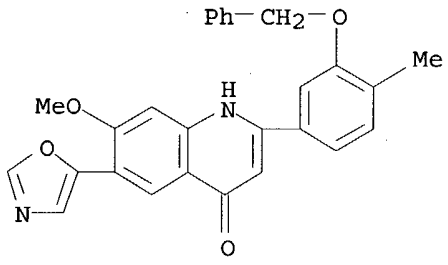


RN 371251-65-9 CAPLUS
CN Imidodicarbonic acid, (1R,2R)-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1-(dimethylamino)-2,3-dihydro-1H-inden-2-yl methyl ester, rel- (9CI) (CA INDEX NAME)

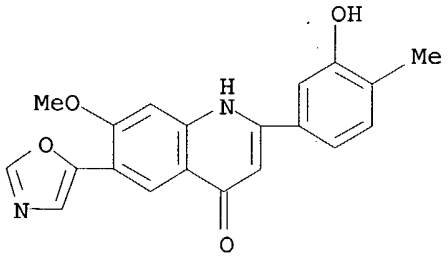
Relative stereochemistry.



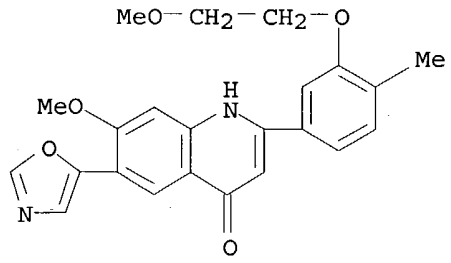
RN 371251-66-0 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(phenylmethoxy)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



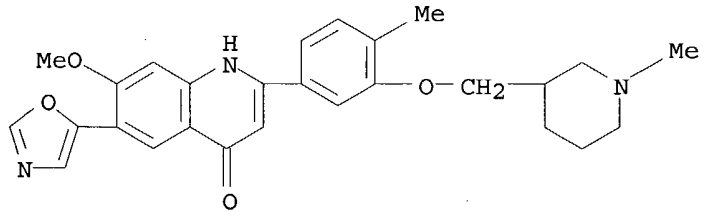
RN 371251-68-2 CAPLUS
CN 4(1H)-Quinolinone, 2-(3-hydroxy-4-methylphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



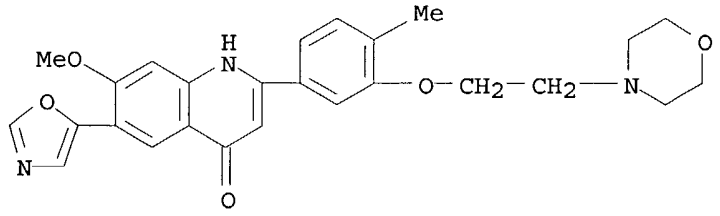
RN 371251-70-6 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(2-methoxyethoxy)-4-methylphenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



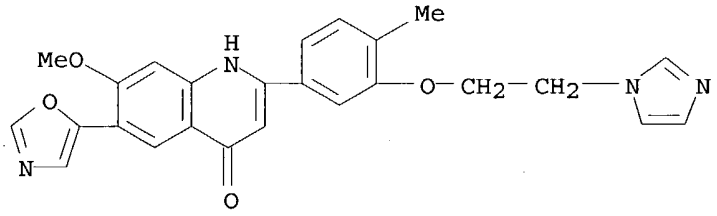
RN 371251-72-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[(1-methyl-3-piperidinyl)methoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



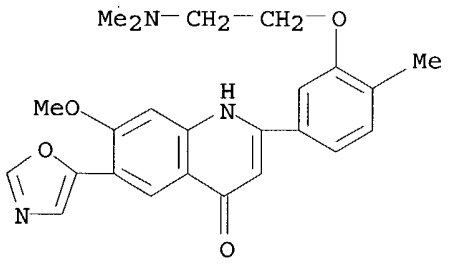
RN 371251-73-9 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[2-(4-morpholinyl)ethoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



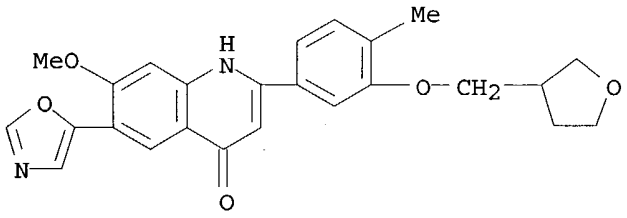
RN 371251-74-0 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-[2-(1H-imidazol-1-yl)ethoxy]-4-methylphenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



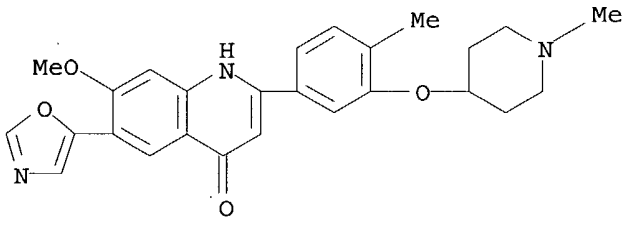
RN 371251-75-1 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-[2-(dimethylamino)ethoxy]-4-methylphenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371251-76-2 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[(tetrahydro-3-furanyl)methoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

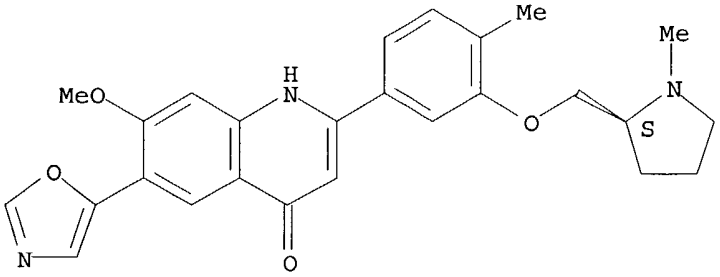


RN 371251-77-3 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[(1-methyl-4-piperidinyl)oxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

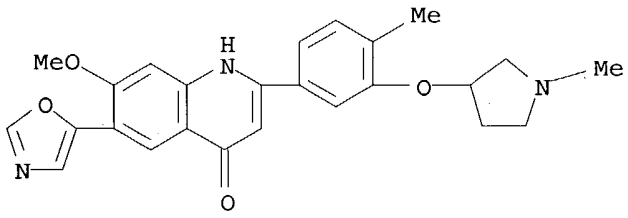


RN 371251-78-4 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

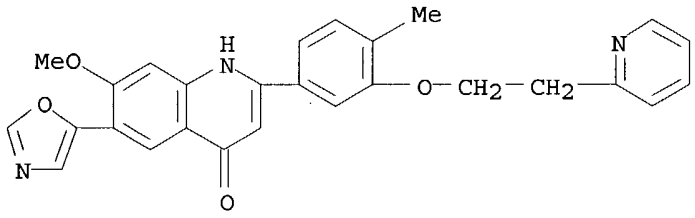
Absolute stereochemistry.



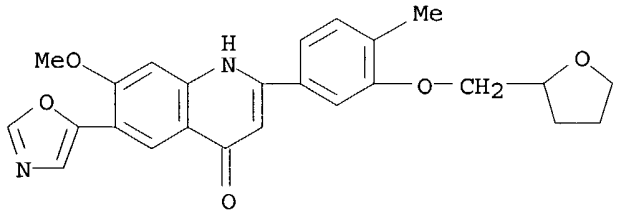
RN 371251-79-5 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[(1-methyl-3-pyrrolidinyl)oxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



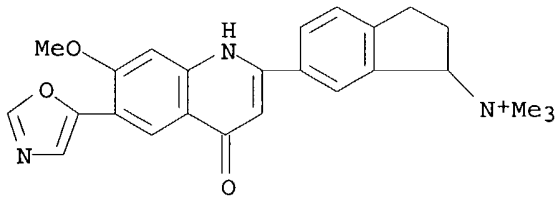
RN 371251-80-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[2-(2-pyridinyl)ethoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



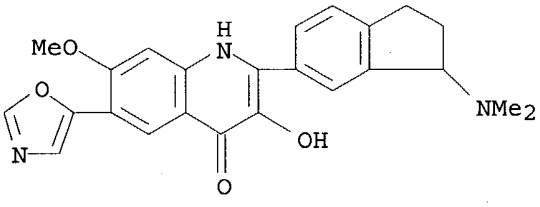
RN 371251-81-9 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[(tetrahydro-2-furanyl)methoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



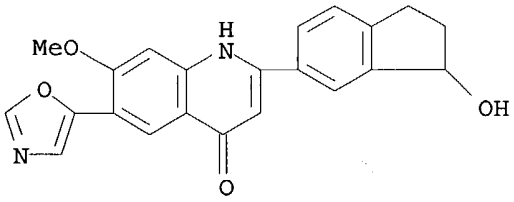
RN 371251-82-0 CAPLUS
CN 1H-Inden-1-aminium, 6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-N,N,N-trimethyl- (9CI) (CA INDEX NAME)



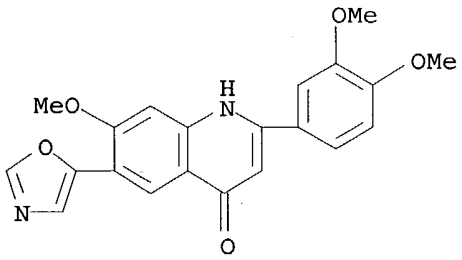
RN 371251-83-1 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-(dimethylamino)-2,3-dihydro-1H-inden-5-yl]-3-hydroxy-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



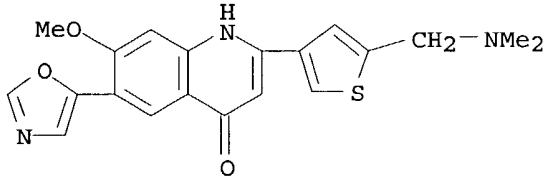
RN 371251-92-2 CAPLUS
CN 4(1H)-Quinolinone, 2-(2,3-dihydro-3-hydroxy-1H-inden-5-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371251-94-4 CAPLUS
CN 4(1H)-Quinolinone, 2-(3,4-dimethoxyphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

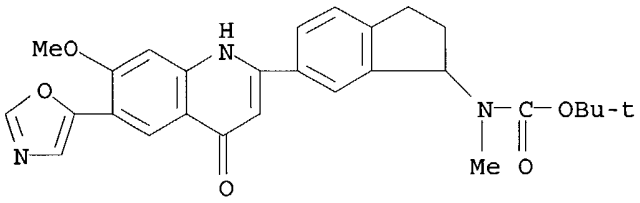


RN 371251-97-7 CAPLUS
CN 4(1H)-Quinolinone, 2-[5-[(dimethylamino)methyl]-3-thienyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

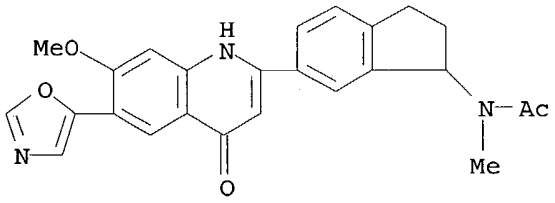


RN 371252-06-1 CAPLUS
CN Carbamic acid, [6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-

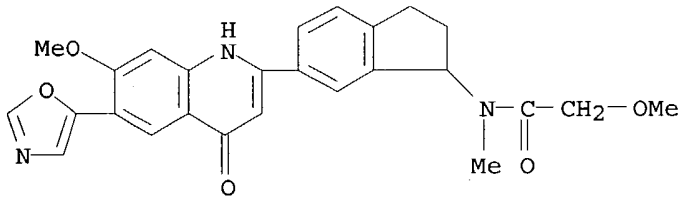
quinolinyl]-2,3-dihydro-1H-inden-1-yl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



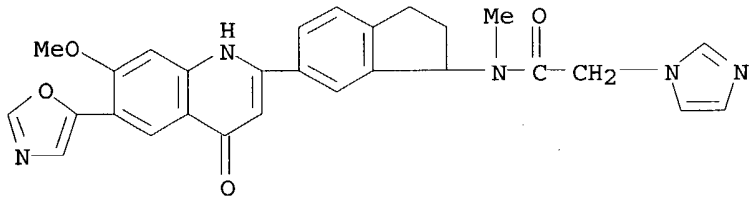
RN 371252-09-4 CAPLUS
CN Acetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-N-methyl- (9CI) (CA INDEX NAME)



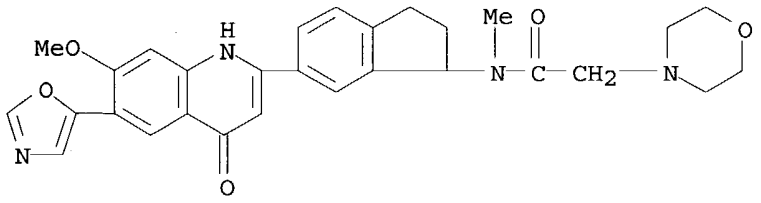
RN 371252-11-8 CAPLUS
CN Acetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-2-methoxy-N-methyl- (9CI) (CA INDEX NAME)



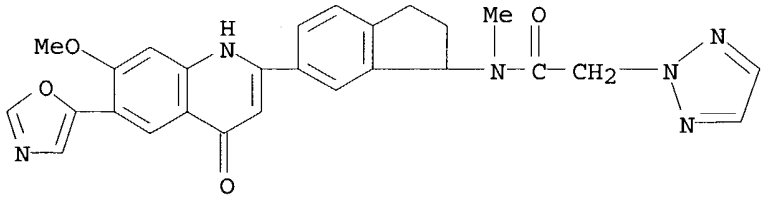
RN 371252-12-9 CAPLUS
CN 1H-Imidazole-1-acetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-N-methyl- (9CI) (CA INDEX NAME)



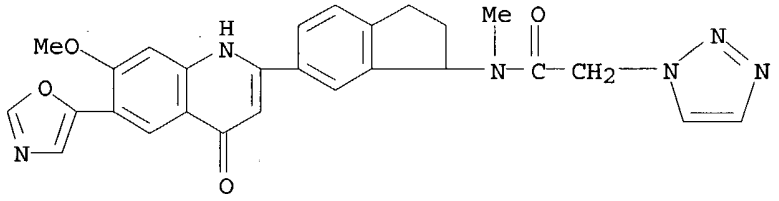
RN 371252-13-0 CAPLUS
CN 4-Morpholineacetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-N-methyl- (9CI) (CA INDEX NAME)



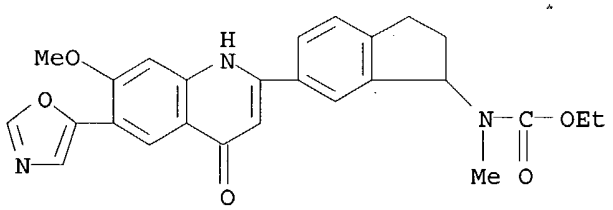
RN 371252-14-1 CAPLUS
CN 2H-1,2,3-Triazole-2-acetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-N-methyl- (9CI) (CA INDEX NAME)



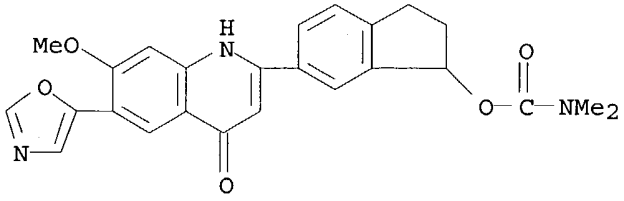
RN 371252-15-2 CAPLUS
CN 1H-1,2,3-Triazole-1-acetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-N-methyl- (9CI) (CA INDEX NAME)



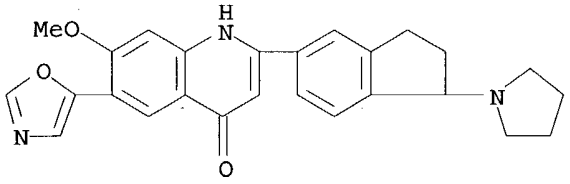
RN 371252-16-3 CAPLUS
CN Carbamic acid, [6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]methyl-, ethyl ester (9CI) (CA INDEX NAME)



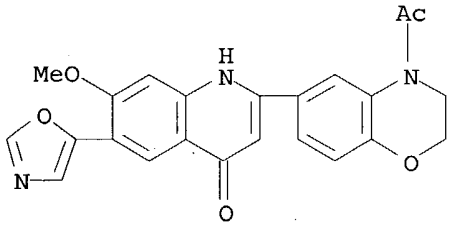
RN 371252-17-4 CAPLUS
CN Carbamic acid, dimethyl-, 6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl ester (9CI) (CA INDEX NAME)



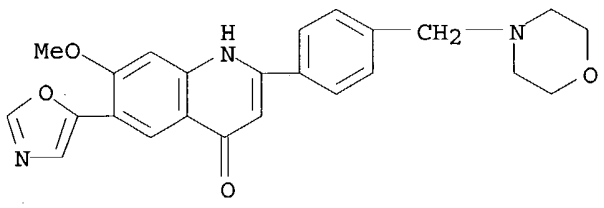
RN 371252-18-5 CAPLUS
CN 4(1H)-Quinolinone, 2-[2,3-dihydro-1-(1-pyrrolidinyl)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



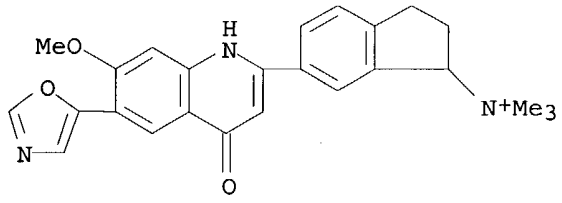
RN 371252-19-6 CAPLUS
CN 2H-1,4-Benzoxazine, 4-acetyl-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



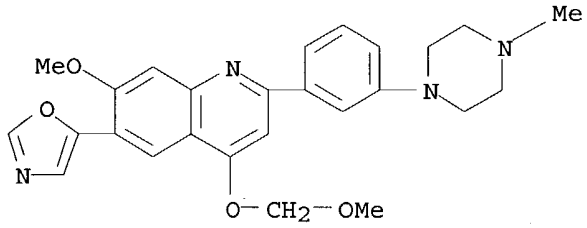
RN 371252-21-0 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[4-(4-morpholinylmethyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 371252-22-1 CAPLUS
CN 1H-Inden-1-aminium, 6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)



IT **371249-87-5**, 2-[3-(4-Methyl-1-piperazinyl)phenyl]-7-methoxy-4-methoxymethoxy-6-(5-oxazolyl)quinoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of oxazolylquinolinones as inhibitors of IMPDH enzyme for treatment of transplant rejection and other IMPDH-associated disorders)
RN 371249-87-5 CAPLUS
CN Quinoline, 7-methoxy-4-(methoxymethoxy)-2-[3-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)



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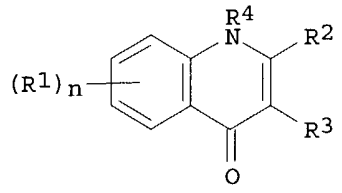

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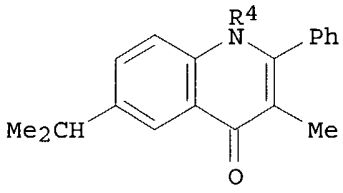


II

AB Title compds. I [R1 = alkyl, cycloalkyl, Ph, alkoxy, halo, NO2, NH2, (un)substituted heterocyclyl, etc.; n = 1, 2, 3; R2 = alkyl, (un)substituted Ph, heterocyclyl, etc.; R3 = H, alkyl, Ph, alkoxy, CN, etc.; R2R3 = fused ring system; R4 = alkyl, alkenyl, benzyl, (un)substituted phenyl] were prepared as antiviral agents. Thus, II (R4 = H) was prepared in 81% yield by reaction of 4-isopropylaniline with Et 2-benzoylpropionate in EtOH containing polyphosphoric acid at 160°, and subsequent ethylation by EtI in the presence of K2CO3 in DMF gave II (R4 = Et). I were tested against picornaviruses, rhinoviruses, and rotaviruses.

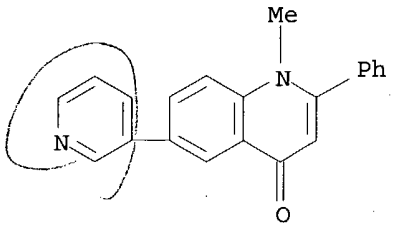
ACCESSION NUMBER: 2001:167663 CAPLUS
DOCUMENT NUMBER: 134:207726
TITLE: 1,2-Disubstituted 1,4-dihydro-4-oxoquinoline compounds and their antiviral activity
INVENTOR(S): Tamura, Takashi; Kuriyama, Haruo; Agoh, Masanobu; Agoh, Yumi; Soga, Manabu; Mori, Teruyo
PATENT ASSIGNEE(S): Maruishi Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 64 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1081138	A1	20010307	EP 2000-118673	20000829
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001064259	A2	20010313	JP 1999-242700	19990830
JP 3521264	B2	20040419		
JP 2001064261	A2	20010313	JP 1999-242701	19990830
JP 3259089	B2	20020218		
JP 2001089455	A2	20010403	JP 1999-262883	19990917
JP 2001089476	A2	20010403	JP 1999-262884	19990917
US 6541470	B1	20030401	US 2000-649596	20000829
EP 1380575	A1	20040114	EP 2003-18235	20000829
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 2004009977	A1	20040115	US 2003-369578	20030221

PRIORITY APPLN. INFO.:
JP 1999-242700 A 19990830
JP 1999-242701 A 19990830
JP 1999-262883 A 19990917
JP 1999-262884 A 19990917
EP 2000-118673 A3 20000829
US 2000-649596 A3 20000829

OTHER SOURCE(S): MARPAT 134:207726

IT **328398-76-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(1,2-disubstituted 1,4-dihydro-4-oxoquinolines as antiviral agents)
RN 328398-76-1 CAPLUS
CN 4(1H)-Quinolinone, 1-methyl-2-phenyl-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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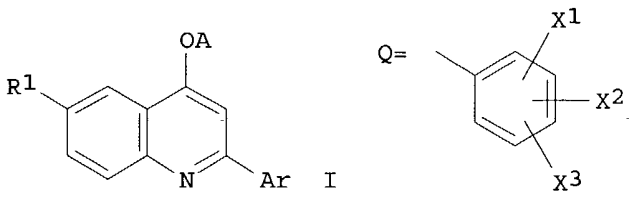
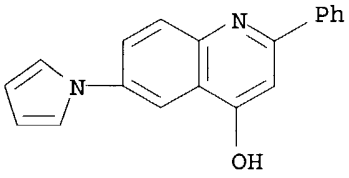


AB The title compds. [I; A = H, lower alkoxycarbonyl; Ar = 5- or 6-membered aromatic heterocyclyl, naphthyl, Q; wherein X1 - X3 = H, halo, NO2, HO, lower alkoxy, di-lower alkylphosphono, NH2, or lower alkyl or X1X2 = OCH2O; R1 = cyano, HC.tplbond.C, lower hydroxyalkyl, HOCH2C.tplbond.C, lower acyl, lower acyloxy-lower alkyl, lower alkoxy-lower alkyl, (un)substituted Ph, pyridyl, 1-pyrrolyl, 1-piperazinyl, 4-lower acyl-1-piperazinyl, (un)substituted pyrrolidinyl, 1-piperidinyl, morpholino; NR2R3; R2 = H, lower alkyl, lower hydroxyalkyl, lower acyloxy-lower alkyl; R3 = lower alkyl, lower hydroxyalkyl, lower acyloxy-lower alkyl], which can be administered orally and have a broad range of antitumor activity with a new mechanism of action, are prepared These compds. completely stop mitosis of cancer cells at the metaphase, inhibit the polymerization of tubulin proteins
(the formation of microtubule proteins from tubulin), and antagonize the binding of colchicine to tubulin proteins. They in vitro showed remarkable cytotoxicity for mouse leukemia (P388), human nasal cavity cancer (KB), and human large intestine cancer DLD-1 cells as well as mouse leukemia cells highly resistant to vincristine and adriamycin, and in vivo p.o. exhibited excellent antitumor activity for P388 ascites tumor, colon 26 mouse colon cancer, and M5076 mouse solid tumor. Thus, 5.0 g p-dimethylaminoaniline, 8.3 g N-p-methoxyphenylbenzoylacetamide, and 305 mg p-MeC6H4SO3H were added to benzene and refluxed for 6 h with removal of H2O to give 12.1 g N-p-methoxyphenyl-β-(p-dimethylaminophenylamino)cinnamamide, which (5.0 g) was added to 40 g polyphosphoric acid and heated at 130° for 2 h to give 2.8 g I (A = H, Ar = Ph, R1 = Me2N). I (A = H, Ar = 2-fluorophenyl, R1 = Et2N) in vitro showed IC50 of 0.0019, 0.0042, and 0.00083 μg/mL for inhibiting the proliferation of KB, DLD-1, and P388 cells, inhibited the binding of colchicine to tubulin protein preparation from rat brain with Ki of 2 + 10-6 M, and in vivo showed survival ratio T/C of 188% at 40 mg/kg i.p. in mice P388 ascites tumor.

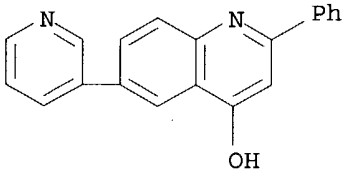
ACCESSION NUMBER: 1995:652336 CAPLUS
DOCUMENT NUMBER: 123:55705
TITLE: Preparation of 2-aryl-4-quinolinol derivatives as antitumor agents
INVENTOR(S): Koo, Noryuki; Fukuda, Yasumichi; Kusama, Yoshe; Ko, Hiroyuki; Oomori, Yasuo; Hosomi, Jiro; Shinoda, Yasuyoshi
PATENT ASSIGNEE(S): Kyorin Seiyaku Kk, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07033743	A2	19950203	JP 1993-181722	19930722

PRIORITY APPLN. INFO.: JP 1993-181722 19930722
OTHER SOURCE(S): MARPAT 123:55705
IT **164390-73-2P 164391-01-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylquinolinol derivs. as antitumor agents)
RN 164390-73-2 CAPLUS
CN 4-Quinolinol, 2-phenyl-6-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RN 164391-01-9 CAPLUS
CN 4-Quinolinol, 2-phenyl-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



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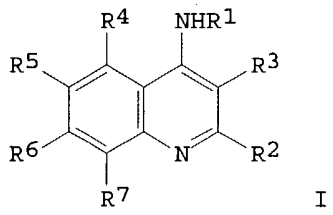


I

AB Title compds. I (R1 = C3-18 alkyl, (substituted) Ph; HO, PhCH2O, HO2C, hydroxy-C1-6 alkyl, heterocyclyl, HO2C-alkylaminocarbonyl; R2 = H, C1-6 alkyl, C3-7 cycloalkyl, (substituted) Ph, -phenylalkyl; R3, R4 = H; R5 = H, H2N, HO, 5-pyrazolyl, guanidine, etc.; R4R5 together with the C's to which they are attached from a pyrazolyl or triazolyl which can be labeled at the point of attachment to the quinoline ring; R6 = H, HO, H2N, guanidino, etc.; R7 = H, halo, HO, H2N, etc.) or a salt thereof, useful as immunostimulants (no data), are prepared 4-Hydroxy-6-nitro-2-phenylquinoline in POCl3 was added to DMF to give 6-chloro-2-nitro-2-phenylquinoline to which was added 4-(BuO)C6H4NH2 to give the 4-butoxy analog to which in MeOH was added HCO2H.NH4 and Pd/C to give title I (R1 = 4-butoxyphenyl, R2 = Ph, R3 = R4 = R6 = R7 = H, R5 = H2N) converted to 2HCl salt. Addition I were prepared among them pyrazolo and triazolo analogs.

ACCESSION NUMBER: 1993:449248 CAPLUS
DOCUMENT NUMBER: 119:49248
TITLE: Preparation of quinoline derivatives as immunostimulants
INVENTOR(S): Moyer, Mikel P.; McFarland, James W.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

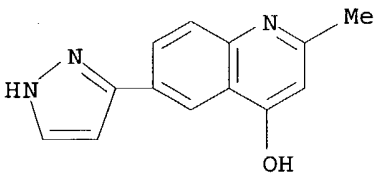
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9303030	A1	19930218	WO 1992-US5435	19920701
W: CA, FI, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
EP 597003	A1	19940518	EP 1992-916871	19920701
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06504294	T2	19940519	JP 1992-503553	19920701
CA 2114727	C	19961210	CA 1992-2114727	19920701
US 5506235	A	19960409	US 1994-190113	19940102

PRIORITY APPLN. INFO.: US 1991-740825 19910802
WO 1992-US5435 19920701

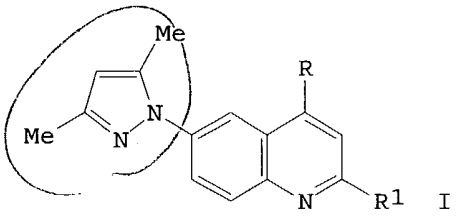
OTHER SOURCE(S): MARPAT 119:49248

IT **148018-26-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of immunostimulants)

RN 148018-26-2 CAPLUS
CN 4-Quinolinol, 2-methyl-6-(1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)


N
Me
N
HN
OH

L14 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
GI



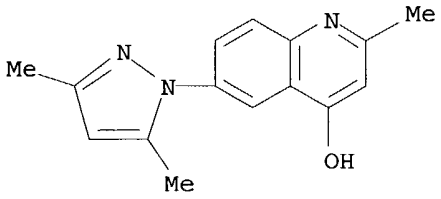
I

AB Cyclization of 3,5-dimethyl-1-(p-aminophenyl)-1H-pyrazole with dicarbonyl compds. such as malonic acid or Ac2CH2 gave title compds. such as I (R = R1 = Cl, Me). Addnl. title compds. were prepared by amine substitution of chloro derivs. Among the 12 title compds. prepared were I [R = Cl, R1 = morpholino, piperidino (II); R = Me, R2 = Cl). The title compds. were tested as bactericides. II inhibited growth of Salmonella typhi and Escherichia coli.

ACCESSION NUMBER: 1991:23862 CAPLUS
DOCUMENT NUMBER: 114:23862
TITLE: Synthesis of substituted 6-(3',5'-dimethyl-1H-pyrazol-1-yl)quinolines and evaluation of their biological activities
AUTHOR(S): Patel, Himatkumar V.; Vyas, Kavita A.; Fernandes, P. S.
CORPORATE SOURCE: Dep. Chem., St. Xavier's Coll., Bombay, 400 001, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1990), 29B(9), 836-42
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:23862

IT **131138-67-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 131138-67-5 CAPLUS
CN 4-Quinolinol, 6-(3,5-dimethyl-1H-pyrazol-1-yl)-2-methyl- (9CI) (CA INDEX NAME)



L14 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AB 6-Acetamido-4-amino-2-methylquinoline (I) (4.5 g.) in 40 mL. PhNO2 at 100° treated with 2.8 g. Me2SO4 (II), the precipitated I.Me2SO4 (III) collected after 1 h., washed with Me2CO, dried, dissolved in a min. of H2O, treated with C, filtered, and the filtrate saturated with NaCl gave I.MeCl (IV), m. 318° (from 95% alc.). IV in H2O with KI gave the I.MeI, m. 294-5° [from 50% aqueous alc. (V)]. III (4.4 g.) boiled 10 min. in 15 mL. 20% HCl and cooled gave 4,6-diamino-1,2-dimethylquinolinium chloride-HCl (VI), m. 292-3° (from HCl). An aqueous solution of VI made alkaline to brilliant yellow with Na2CO3 and a little NaCl added gave 4,6-diamino-1,2-dimethylquinolinium chloride (VII), m. 300-1° (from 95% alc.). 6-Amino-4-hydroxy-2-methylquinoline-2HCl (VIII) (6.45 g.), 4.6 g. 2-amino-4-chloro-6-methylpyrimidine (IX) [Ber. 32, 2924)(1899)], and 20 mL. H2O refluxed 6 h., the mixture cooled, made alkaline with NH3, and the precipitate filtered off, washed, and dried gave 7.8 g. 6-(2-amino-6-methyl-4-pyridmidylamino)-4-hydroxy-2-methylquinoline (XII), m. 356-7°. XII (10 mL.) and 20 mL. POCl3 cautiously mixed, then refluxed 20 min., cooled, and poured into 250 mL. dilute NaOH gave 6.3 g. 6-(2-amino-6-methyl-4-pyrimidylamino)-4-chloro-2-methylquinoline (XIII), m. 254° (from dry MeOH). 4,6-Diamino-2-methylquinoline (XIV) (13.2 g.), 10.8 g. IX, 150 mL. H2O, and 18 mL. 36% HCl (XV) refluxed 1 h., cooled, the mixture made just alkaline with NH3, and a little NaCl added gave 4-amino-6-(2-amino-6-methyl-4-pyrimidylamino) - 2 - methylquinoline-HCl (XVI), m. 345° (from V). XVI with NaOH gave the free base (XVII) which formed different hydrates of the same m.p., 302-3°. NH3 gas passed 3 h. into 4.3 g. XIII in PhOH heated to 100° and the cooled mixture poured into dilute NaOH gave a precipitate which, washed and crystallized from V, yielded XVII m. 299-300°. XVII (8 g.), 14 mL. MeI (XVIII), and 100 mL. alc. refluxed 6 h., the mixture cooled, filtered, and the residue recrystd. from V gave 4-amino-6-(2-amino-6-methyl-4-pyrimidylamino)-1,2-dimethylquinolinium iodide (XIX), m.322-3°. VII(5.6 g.), 3.6g. IX, 50 mL. H2O, and 6 mL. XV heated 1 h. on a steam bath, cooled, filtered, and the product crystallized from alc.-H2O (3:1) gave 4-amino-6-(2-amino-6-methyl-4-pyrimidylamino) - 1,2-dimethylquinolinium chloride-HCl (XX), m. 351-2°. XX with aqueous NaI gave the iodide-HI (or chloride-HI) (XXI), m. 316-17° (from V). Retreatment of XXI gave the iodide-HI, m. 323-4°. XX in H2O at 80° treated with Na2CO3 to faint alkalinity gave 4-amino-6-(2-amino-6-methyl-4-pyrimidylamino)-1,2-dimethylquinolinium chloride (XXII), m. 336-8° (from V). XXII in H2O with KI gave the iodide with 1 mol. H2O but otherwise identical to XIX. VII.HCl (5 g.) and 50 mL. N NaOH were refluxed 3 h. until the evolution of NH3 ceased, gave on cooling 6-amino-1,2-dimethyl-4(1H)-quinolone (XXII), m. 321-3° (from H2O). VII.HCl was unaffected by XV when heated 14 h. at 170-80° or refluxed 15 min. in aqueous solution at pH 11. XXII (0.9 g.), 0.6 g. IX, 1 mL. XV, and 10 mL. H2O refluxed 1 h. and the cooled solution made alkaline to Clayton yellow with NaOH gave 6-(2-amino-6-methyl-4-pyrimidylamino)-1,2-dimethyl-4(1H)-quinolone (XXIII), m. 365° (from V). XIX (2 g.) and 50 mL. N NaOH refluxed until NH3 evolution ceased and the solution cooled and filtered gave XXIII, m. 365°. XIV (4.2 g.), 3.4 g. 4-amino-2-chloro-6-methylpyrimidine (XXIV) (loc. cit.), 50 mL. H2O, and 6 mL. XV refluxed 4 h. and cooled gave 5 g. 4-amino-6-(4-amino-6-methyl-2-pyrimidylamino)-2-methylquinoline-2HCl (XXV), m. above 300°. The base (XXVI), from XXV in H2O with NaOH, m. 272-3° (from alc.). XXVI (3 g.), 7 mL. XVIII, and 50 mL. alc. refluxed 6 h. and cooled gave 2.3 g. of the methiodide (XXVII), m. 340°. XXIV (3.6 g.), 5.6 g. VII, 50 mL. H2O, and 6 mL. XV heated 1 h. at 100° gave upon cooling the chloride-HCl, m. 366°, of XXVI, which in hot H2O with Na2CO3, gave the chloride, m. above 380° (from V); the iodide, m. 340° (from V), was identical with XXVII. XIV (3.5 g.), 2.9 g.

6-amino-4-chloro-2-methylpyrimidine (XXVIII) (Baddiley, C.A. 37, 6667.3), 50 mL. H2O, and 2.2 mL. 10N HCl refluxed 4 h. gave upon cooling 4-amino-6-(4-amino-2-methyl-6-pyrimidylamino)-2-methylquinoline-2HCl, m. above 380° (from V); the base (XXIX), m. 292-4° (from V). XXIX (6 g.), 10 mL. XVIII, and 100 mL. alc. refluxed overnight gave upon cooling the iodide (XXX), m. 344° (from V). VII.HCl (5.6 g.), 3.6 g. XXVIII, and 50 mL. H2O refluxed 2 h. gave upon treatment with Na2CO3, the chloride, m. 358° (from V). Conversion to the iodide by the usual method gave XXX, m. 344°. XIV.HCl (10.2 g.), 6.7 g. 2,6-diamino-4-chloropyrimidine (XXXI) (Hull et al., C.A. 41, 3467b), and 9 mL. H2O heated 16 h. to 150-60°, and the cooled mixture dissolved in H2O, treated with C, and made alkaline gave 4-amino-6-(2,6-diamino-4-pyrimidylamino)-2-methylquinoline (XXXII), m. 335-6°. VII.HCl (4 g.), 2.2 g. XXXI, and 3.1 mL. HOAc heated 2 h. at 150-60°, gave, after making alkaline and adding NaI, the iodide (XXXIII), m. 314° (from V). 6-Acetamido-2-methylquinoline (XXXIV) (Hamer, C.A. 15, 4008) (30.4 g.) in 150 mL. hot PhNO2, 16 mL. II added, the mixture heated 0.5 h., cooled, and the solid boiled 15 min. in 160 mL. 20% HCl gave on cooling 6-amino-1,2-dimethylquinolinium chloride-HCl (XXXV), m. 267°, converted with Na2CO3 and NaCl in water to the chloride (XXXVI), m. 282-3° (from 95% EtOH). XXXVI, (4.2 g.), 2.7 g. IX, 25 mL. H2O, and 3 mL. XV refluxed 1 h. gave upon cooling and making alkaline 6-(2-amino-6-methyl-4-pyrimidylamino)-1,2-dimethylquinolinium chloride (XXXVII), m. 304-5° (from V). XXXV (5 g.), 2.8 g. XXIV 25 mL. H2O, and 1 mL. XV refluxed 1 h., and Na2CO3 added, then NaI, gave 6-(4-amino-6-methyl-2-pyrimidylamino)-1,2-dimethylquinolinium iodide (XXXVIII), m. 246-8° (from V). IX (7.2 g.) and 9 g. 6-aminoquinoline-HCl (XXXIX), in 50 mL. H2O and 1 mL. XV similarly condensed, treated with C, filtered, and made alkaline with NH3 gave 6-(2-amino-6-methyl-4-pyrimidylamino)quinoline (XL), m. 233-4°. To 16.3 g. 6-acetamidoquinoline in 100 mL. PhNO2 at 100°, was added 15 mL. II and the mixture heated 3 h., cooled, filtered, and dried to give the methosulfate (XLI), which, treated in cold H2O with C and an excess of KI added, gave 6-acetamido-1-methylquinolinium iodide, m. above 280°. XLI (12 g.) in 10 mL. H2O and 20 mL. HCl boiled 10 min., cooled, and Me2CO added precipitated 6.2 g. 6-amino-1-methylquinolinium chloride-HCl (XLII), m. 246-7°. XLII (2 g.) in 10 mL. H2O was made alkaline with Na2CO3 and 1 portion with NaCl gave 6-amino-1-methylquinolinium chloride (XLIII), m. 244°, and the other portion with NaI gave the iodide (XLIV), m. 194-5° (from EtOH). XLIII (4 g.) and 2.8 g. VII boiled 1 h. in 25 mL. H2O containing 3 mL. XV, NaHCO3 added, and the mixture cooled gave 6.6 g. 6-(2-amino-6-methyl-4-pyrimidylamino)-1-methylquinolinium chloride (XLV), m. 277-8°; iodide (XLVI), m. 258-9°. 6-(2-Amino-6-methyl-4-pyrimidylamino)quinoline (XLVII) (4 g.) and 2 g. II heated in 50 mL. PhNO2 10 min. at 100° yielded on cooling the yellow methosulfate (XLVIII), which with KI in H2O gave XLVI, m. 256-8°. XLVI.HI (XLIX), m. 314-15°. XLVII (2.5 g.), 14 mL. MeI, and 50 mL. alc. heated 18 h. on a steam bath, cooled, and the product crystallized from H2O also gave XLIX, which with NaHCO3 in H2O gave XLVI, m. 257°. 6-Aminoquinoline (L) (1.55 g.), 1.45 g. XIV, and 11 mL. aqueous N HCl refluxed 3 h. yielded upon cooling 2.05 g. 6-(4-amino-6-methyl-2-pyrimidylamino)quinoline-2HCl (LI), m. above 360°. LI with NaOH precipitated an oil which on recrystn. gave the hydrated base (LII), green prisms, m. 100-3°. 6-(4-Chloro-6-methyl-2-pyrimidylamino)quinoline (LIII) (C.A. 42, 2978i) (5 g.) and 25 mL. concentrated NH3 heated in a sealed tube for 12 h. at 175°, gave on cooling LII, eventually solidifying and m. 100-1°. XLIII (1.9 g.), 1.4 g. XIV, 20 mL. H2O, and 15 mL. XV refluxed 1 h. and treated while still warm with NaHCO3 then KI gave 6-(4-amino-6-methyl-2-pyrimidylamino)-1-methylquinolinium iodide (LIV), m. about 285°. L.HCl (10 g.) and 7.2 g. 4-amino-6-chloro-2-

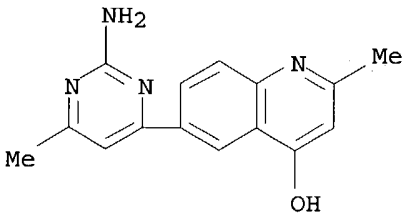
methylpyrimidine ground together, 10 mL. HOAc added, the mixture stirred, and heated 3 h. at 150-60°, the cooled mass treated in H2O, with C, filtered and NaOH added to the filtrate gave 12.3 g. 6-(6-amino-2-methyl-4-pyrimidylamino)quinoline (LV), m. 229-30° (from MeOH). LV (3 g.), 7 mL. MeI, and 50 mL. absolute alc. heated on a steam bath 18 h. yielded a solid which was collected hot, washed, and treated in 200 mL. H2O with NaHCO3, giving 2 g. 6-(6-amino-2-methyl-4-pyrimidylamino)-1-methylquinolinium iodide, m. 291-2° (from H2O). L.HCl (9 g.) and 7 g. 2,6-diamino-4-chloropyrimidine (LVI) in 5 mL. HOAc heated 18 h. at 130-40° and worked up as before gave 5.7 g. 6-(2,6-diamino-4-pyrimidylamino)quinoline (LVII), m. 240-5°. XLII (1 g.) with 0.7 g. LVI and 1 mL. HOAc heated 2 h. at 150-60° gave after the usual treatment with alkali and KI 1.1 g. 6-(2,6-diamino-4-pyrimidylamino)-1-methylquinolinium iodide (LVIII), m. 281° (from H2O). LVII (3 g.), 7 mL. MeI, and 50 mL. EtOH heated on a steam bath 6 h. gave a yellow product which, filtered off, dissolved in H2O, and made alkaline with NaHCO3 yielded a precipitate identical with LVIII.

ACCESSION NUMBER: 1954:42434 CAPLUS
DOCUMENT NUMBER: 48:42434
ORIGINAL REFERENCE NO.: 48:7611e-i,7612a-i,7613a-e
TITLE: Trypanocides. I. Pyrimidylaminoquinoline derivatives
AUTHOR(S): Barrett, P. A.; Curd, F. H. S.; Hepworth, W.
CORPORATE SOURCE: Imperial Chem. Inds., Manchester, UK
SOURCE: Journal of the Chemical Society, Abstracts (1953) 50-8
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

IT **646521-84-8**, 4-Quinolinol, 6-(2-amino-6-methyl-4-pyrimidinylamino)-2-methyl-
(and derivs., as trypanocides)

RN 646521-84-8 CAPLUS

CN 4-Quinolinol, 6-(2-amino-6-methyl-4-pyrimidinylamino)-2-methyl- (5CI) (CA INDEX NAME)



L14 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

AB Condensation of 2-amino-6-hydroxytriazolo-(4',5':4,5)-pyrimidine (I) in an alkaline medium with XCH2COOH, where X is an easily cleaved residue as halogen, produces 2-carboxymethylamino-6-hydroxytriazolo-(4',5':4,5)pyrimidine (II). Thus, a neutral solution of 100 g. ClCH2COOH and 143 g. NaOAc in 560 cc. H2O was added dropwise over several hrs. to a boiling solution of 40 g. I and 22 g. NaHCO3 in 1200 cc. H2O. The reaction mixture was refluxed 2 hrs., treated with charcoal, filtered, and acidified with 2N HCl, precipitating II, purified by repptn. with HCl from solution in dilute NaHCO3. II decomposed without melting above 300°. A 10-15% solution of the diethanolamine salt of II is nearly neutral. II is of therapeutic interest, having influence on cell growth.

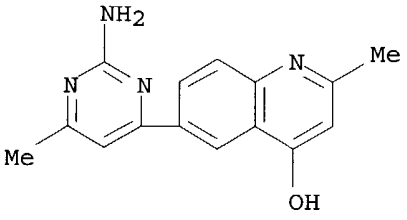
ACCESSION NUMBER: 1953:47908 CAPLUS
DOCUMENT NUMBER: 47:47908
ORIGINAL REFERENCE NO.: 47:8097b-d
TITLE: Triazolopyrimidines
PATENT ASSIGNEE(S): Cilag Ltd.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 279102		19520216	CH	

IT **646521-84-8**, 4-Quinolinol, 6-(2-amino-6-methyl-4-pyrimidinylamino)-2-methyl-
(and derivs.)

RN 646521-84-8 CAPLUS

CN 4-Quinolinol, 6-(2-amino-6-methyl-4-pyrimidinylamino)-2-methyl- (5CI) (CA INDEX NAME)



L14 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

AB A method for preparing osides by condensing sugars with 4-alky or 4-aryl derivs. of esculetin. One of the phenol groups of the esculetin is blocked and treated with an acetylated sugar. The oside obtained is deacetylated. An oside with the 2nd phenol group free can be prepared by previously blocking it with a residue readily replaceable by H. The resulting osides have vitamin P properties and the power of absorbing luminous radiations.

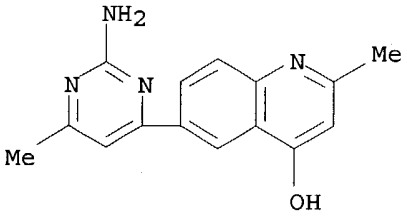
ACCESSION NUMBER: 1953:47905 CAPLUS
DOCUMENT NUMBER: 47:47905
ORIGINAL REFERENCE NO.: 47:8096i,8097a
TITLE: Condensation products of the sugars with derivatives of esculetin
INVENTOR(S): Velluz, Leon; Amiard, Gaston
PATENT ASSIGNEE(S): Usines chimiques des laboratoires francais
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2616889		19521104	US	

IT **646521-84-8**, 4-Quinolinol, 6-(2-amino-6-methyl-4-pyrimidinylamino)-2-methyl-
(and derivs.)

RN 646521-84-8 CAPLUS

CN 4-Quinolinol, 6-(2-amino-6-methyl-4-pyrimidinylamino)-2-methyl- (5CI) (CA INDEX NAME)



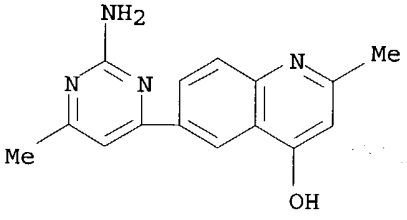
L14 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AB cf. C.A. 46, 9620i. Quaternary derivs. of compds. represented by the formula Pq-NH-Qq, in which Pq represents a 2- or 4-amino-substituted pyrimidine which is attached through a -NH-linkage to a 2- or 4-substituted quinoline nucleus (Qq), are useful as trypanocidal agents. Thus, 4-amino-6-(2-amino-6-methyl-4-pyrimidylamino)quinaldine (I) 28, MeI (II) 43, and EtOH 250 parts heated 16 h. at 100-10° and cooled gave a mixture (III) of 4-amino-6-(2-amino-6-methyl-4-pyrimidylamino)quinaldine 1,1'-dimethiodide and 4-amino-6-(2-amino-6-methyl-4-pyrimidylamino)quinaldine 1-methiodide hydroiodide, m. 300-10°. III 10 in hot H2O 700 and HCl 10 parts gave the dichloride (IV); IV 5 in 150 parts hot H2O and Na2CO3 (solution alkaline to Brilliant Yellow) saturated with NaCl gave a solid (V) which was filtered, extracted with 35 parts hot H2O, and the insol. material crystallized from a large volume H2O to give 4-amino-6-(2-amino-6-methyl-4-pyrimidylamino)quinaldine 1,1'-dimethochloride, colorless needles, m. 316° (decomposition). 4-Amino-6-(4-amino-6-methyl-2-pyrimidylamino)quinaldine (VI) 5.4, II 9, and MeOH 25 heated 6 h. at 115-20°, cooled, the solid filtered, extracted with H2O 13.5, the insol. residue extracted with hot H2O 20 and 30 parts, the 2 exts. combined and cooled gave 4-amino-6-(4-amino-6-methyl-2-pyrimidylamino)quinaldine 1,1'-dimethiodide, colorless prisms, m. 296-8° (from 50% aqueous alc.). 4-Amino-6-(2-amino-4-pyrimidylamino)quinaldine (VII) 5.3, MeCN 75, and II 9 parts refluxed 18 h. and cooled gave the dimethiodide, m. 302° (decomposition). 4-Amino-6-(2-amino-6-methyl-4-pyrimidylamino)quinaldine 1'-methiodide (VIII) 4.1, and dry PhNO2 (IX) 50 heated to 100°, Me2SO4 (X) 2 added, the whole stirred 21 h. at 105°, cooled, Me2CO 150 added, the solid filtered, dissolved in H2O 70 parts, and the aqueous solution saturated with NaCl gave 4-amino-6-(2-amino-6-methyl-4-pyrimidylamino)quinaldine 1,1'-dimethochloride, m. 316° (decomposition) (from H2O). Dry I 11.2, IX 142, and X 10.6 parts kept 3 h. at 120-2°, cooled, and the solid filtered, washed with cold MeOH, then boiling MeOH, gave 4-amino-6-(2-amino-6-methyl-4-pyrimidylamino)quinaldine 1,1'-dimethosulfate (XI), creamy white crystals, m. 259-60°. XI and NaCl gave the 1,1'-dimethochloride, m. 316-17° (decomposition) (from H2O); XI and NaI gave the 1,1'-dimethiodide, colorless needles, m. 312-13° (decomposition); and XI and NaBr gave the 1,1'-dimethobromide, colorless needles, m. 316° (decomposition) (from H2O). 4-Amino-6-(2-amino-6-methyl-4-pyrimidylamino)quinaldine 1-methosulfate (XII) 16.3, dry IX 142, and X 5.3 parts heated 12 h. at 120° gave XI. 4,6-Diaminoquinaldine (XIII) 13.2, 4-chloro-2-amino-6-methylpyrimidine 10.8, H2O 150, and concentrated HCl 21.2 parts refluxed 1 h., cooled, made just alkaline with NH3, and NaCl added gave I.HCl (XIV), colorless needles, m. 345° (decomposition) (from 50% aqueous alc.); XIV and aqueous NaOH gave I, colorless needles, m. 299-300° (from 60% aqueous alc.). 6-Amino-4-hydroxyquinaldine-HCl 6.45, 4-chloro-2-amino-6-methylpyrimidine 4.6, and H2O 20 parts refluxed 6 h., cooled, and made alkaline with NH3 gave 4-hydroxy-6-(2-amino-6-methyl-4-pyrimidylamino)quinaldine (XV), pale yellow needles, m. 356-7° (decomposition) (from aqueous EtOCH2CH2OH). XV 10 and POCl3 20 refluxed 20 min., and the whole cooled and poured into 5% NaOH 250 parts gave 4-chloro-6-(2-amino-6-methyl-4-pyrimidylamino)quinaldine (XVI), colorless needles, m. 254° (from dry MeOH). Into XVI 4.3 in PhOH 8 parts at 100° is bubbled NH3, the temperature raised to 180° for 3 h., and the whole cooled and poured into dilute NaOH to give I. XIII and 2-chloro-4-amino-6-methylpyrimidine as above gave VI, yellow prisms, m. 272-3° (from alc.), and XIII and 4-chloro-2-aminopyrimidine gave

VII, colorless needles, m. 268° (decomposition) (from 60% alc.). XIII and 4-chloro-2-amino-6-methylpyrimidine 1-methiodide gave 4-amino-6-(2-amino-6-methyl-4-pyrimidylamino)quinaldine 1-methiodide hydriodide (XVII), pale pink prisms, m. 292-3° (decomposition) (from H2O); XVII 5.6 in H2O and EtOH and 100 each 4% NaOH 11 parts gave VIII, m. 332° (decomposition). 4-Amino-6-(2-amino-6-methyl-4-pyrimidylamino)quinaldine in EtOCH2CH2OH 800 and X 26.4 parts stirred at room temperature 24 h. gave XII, pale yellow crystalline powder, m. 278.0-9.5° (from aqueous MeOH); XII and NaI gave the methiodide, m. 323-4° (from 58% aqueous alc.).

ACCESSION NUMBER: 1953:35048 CAPLUS
DOCUMENT NUMBER: 47:35048
ORIGINAL REFERENCE NO.: 47:5968b-i,5969a
TITLE: Quaternary salts of pyrimidylaminoquinolines
INVENTOR(S): Curd, Francis H. S.
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2585917		19520219	US	

IT **646521-84-8**, 4-Quinolinol, 6-(2-amino-6-methyl-4-pyrimidinylamino)-2-methyl-
(and derivs.)
RN 646521-84-8 CAPLUS
CN 4-Quinolinol, 6-(2-amino-6-methyl-4-pyrimidinylamino)-2-methyl- (5CI) (CA INDEX NAME)



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L10          0 S C6-NC5/ES
L11     588847 S NC5-C6/ES
L12          1 S L1  SUB=L11 SAMPLE
L13        220 S L1 FUL SUB=L11

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FULL ESTIMATED COST                               76.54     259.78

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